



ADVANCES IN HETEROCYCLIC CHEMISTRY

Volume 44

Alan R. Katritzky

Advances in

Heterocyclic Chemistry

Volume 44

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Advances in

HETEROCYCLIC CHEMISTRY

Edited by

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ACADEMIC PRESS, INC.

Harcourt Brace Jovanovich, Publishers

San Diego New York Berkeley Boston
London Sydney Tokyo Toronto

Volume 44

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ACADEMIC PRESS, INC.

San Diego, California 92101

United Kingdom Edition published by

ACADEMIC PRESS LIMITED

24-28 Oval Road, London NW1 7DX

LIBRARY OF CONGRESS CATALOG CARD NUMBER: 62-13037

ISBN 0-12-020644-7 (alk. paper)

PRINTED IN THE UNITED STATES OF AMERICA

88 89 90 91 9 8 7 6 5 4 3 2 1

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Preface

This volume commences with a comprehensive review of the Chichibabin reaction, so important in pyridine chemistry. This review gives new insights into the mechanisms and includes much work previously unpublished (or available only in the patent literature). It is authored by McGill and Rappa (Reilly Tar and Chemical Company, Indianapolis, Indiana), who have had much firsthand experience of Chichibabin chemistry.

Arán, Goya, and Ochoa (Institute of Medicinal Chemistry, Madrid, Spain) contribute the first comprehensive review of heterocycles containing the sulfamide moiety, covering a wide diversity of heterocyclic ring systems. The chapter by Comins and O'Connor, on regioselective substitution in aromatic six-membered nitrogen heterocycles, describes exciting work contributed by their laboratory and also includes a broad literature survey.

In Volumes 7 and 25 of this series, we published chapters titled "Literature of Heterocyclic Chemistry," which attempted to give a broad summary of reviews, logically classified by subject matter. A similar chapter was included in *Comprehensive Heterocyclic Chemistry*, Volume 1 (Pergamon, 1984). These overviews have here been updated by Dr. Belen'kii (Moscow, U.S.S.R.), who has been contributing surveys of this type for some years to the Russian journal *Khimiya Geterotsiklicheskikh Soedinenii*, but which have not been included in English translations of this journal.

A. R. KATRITZKY

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Advances in the Chichibabin Reaction

CHARLES K. MCGILL AND ANGELA RAPPA

*Reilly Tar and Chemical Corporation,
Indianapolis, Indiana 46204*

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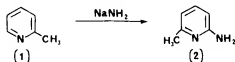
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I. Introduction

The Chichibabin reaction may be defined as the nucleophilic displacement by an amino group of a hydride ion attached to a ring carbon of an aromatic nitrogen heterocycle. The reaction was unexpectedly discovered by Chichibabin and Zeide, when they observed the formation of 2-amino-6-methylpyridine (2) while attempting to metallate 2-picoline (1) with sodium amide (Scheme 1). After this discovery, Chichibabin and his students explored the amination of many heterocycles. The reaction has been influential in the development of heterocyclic chemistry. It has become of great industrial importance as many aminopyridines are valuable intermediates, especially in the pharmaceutical field.

The Chichibabin reaction is usually done under heterogeneous conditions with sodium amide in inert aprotic solvents at elevated temperatures. Gas evolution and intense red color changes are typical indications of the progress of the reaction. The mechanism is still not clearly understood, due largely to the difficulties involved with handling the highly reactive alkali amides and investigating reaction kinetics at high temperatures under heterogeneous conditions.

In recent years, there have been rapid advances in the study of low-



SCHEME 1

temperature aminations in liquid ammonia under homogeneous conditions. Certain highly electron-deficient heterocycles, including diazines, triazines, and naphthyridines, are capable of being aminated with potassium amide in liquid ammonia. Since there are profound differences in the way the Chichibabin reaction proceeds under homogeneous and heterogeneous conditions, this article will discuss each method separately.

Two previous comprehensive reviews by Pozharskii and colleagues deal mostly with the Chichibabin reaction under heterogeneous conditions (71MI1; 78RCR1042). Extensive research by van der Plas and colleagues on aminations in liquid ammonia has been reviewed (85T237; 86MI1; 87KGS1011). Much of the work mentioned in Sections IV and V has been carried out by the authors and their colleagues at the Reilly Tar and Chemical Corporation Laboratories.

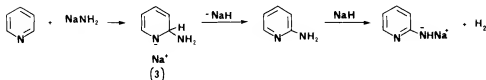
This article attempts to cover the literature since 1967. Chemical abstracts has been searched by indexes and by CAS "on line" computer search from Volume 66 through Issue 8 of Volume 107. References are given prior to 1967 only when they provide essential background information.

There are many references in the literature to nucleophilic substitution reactions of halogens and other leaving groups. These reactions are considered beyond the scope of this article, although they resemble the Chichibabin reaction. Furthermore, aminations of highly electron-deficient heterocycles requiring only ammonia for covalent amination are not included. The chemistry in this review is generally confined to substitution of hydrogen by an amino or alkylamino group. Occasionally there are some exceptions, especially in Section IV, where unusual results were observed.

II. Mechanisms in the Chichibabin Reaction

A. ADDITION-ELIMINATION

There is general agreement that the Chichibabin reaction proceeds through an addition-elimination mechanism, $S_N(AE)$, with formation of a Meisenheimer σ -adduct intermediate (3) (Scheme 2) (64TL3445; 70CRV667). The



SCHEME 2

replacement of hydrogen in a heterocyclic compound by an amino group is an example of a nucleophilic substitution of hydrogen, designated by the symbol S_NH (76RCR454; 88T1).

Precisely how the addition and elimination steps take place remains unclear (50M11). Observations have helped to clarify some of the details and these are presented in this section.

Although Chichibabin reactions are usually run under heterogeneous conditions in aprotic solvents, such as xylene or *N,N*-dimethylaniline at high temperature, a large number of nitrogen aromatic heterocycles can be aminated under homogeneous conditions in liquid ammonia at low temperature. These two conditions will be discussed separately.

1. Formation of σ -Adducts in Aprotic Solvents

a. *Definition of σ -Adducts.* An anionic σ -adduct or Meisenheimer adduct, long theorized as the first step in the Chichibabin reaction, may be defined as the formation of a new σ -bond by a nucleophilic anion with a ring carbon of the heteroaromatic substrate. Ring aromaticity is disrupted during σ -adduct formation (75M11; 83AHC(34)305; 84M11).

b. *Sorption Step.* In aprotic solvents, the alkali metal amides are mostly insoluble and the reaction proceeds under heterogeneous conditions. Under these conditions, the ring nitrogen of the substrate is believed to be first sorbed onto the surface of the tightly bound sodium amide, followed by the formation of a coordination complex with the sodium cation. The sorption and coordination processes have been verified by infrared spectroscopy and have been shown to be reversible and to precede adduct formation (78RCR1042). This coordination increases the effective partial positive charge on the α -carbon atom and directs the amide ion for attack at that position. Thus, 1,2-addition of sodium amide is greatly favored over 1,4-addition (49JOC310; 56AJC83; 57AJC211).

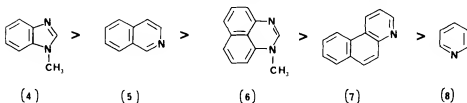
In the amination of pyridine, only a very small amount of 4-aminopyridine is obtained (62HC(14,3)1). Acridine, with no available α -carbon atom, undergoes amination in the 9-position with extreme difficulty in aprotic solvents (72CHE1518).

c. *Rate-Determining Step.* Under heterogeneous conditions, anionic σ -adducts are considered to be unstable due to the poor solvating power of the solvents (83RTC367). Consequently, the activation energy required for adduct formation may be comparable or higher than the energy required for hydride elimination. In such cases, the addition step becomes rate determining. During amination of 3-picoline with sodium amide in xylene, it has been

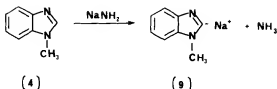
observed that the intense red color indicative of σ -adduct formation did not appear until reflux temperature was approached and hydrogen evolution had started (85UP1).

d. *Spectroscopic Characterization.* Until recently, no spectroscopic evidence had been found for σ -adducts of simple monoazine aromatic heterocycles such as pyridine. In 1980, Inoyatova and Otroshchenko reported the formation of σ -adducts of pyridine, 3-picoline, and anabasine upon treatment of these bases, neat, with sodium amide (80MI1). The σ -adducts were characterized by IR and ESR spectroscopy.

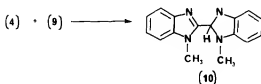
e. *Dianionic σ -Adducts.* Novikov *et al.* have studied the kinetics of the Chichibabin reaction (76CHE210). They measured the rate of gas evolution during the amination of various nitrogen-containing aromatic heterocycles with sodium amide in *o*-xylene at high temperature (typical heterogeneous conditions). The heterocycles chosen for the study, in order of decreasing ease of amination, were 1-methylbenzimidazole (4) > isoquinoline (5) > 1-methylperimidine (6) > benzo[*f*]quinoline (7) > pyridine (8). These compounds were chosen because they are known to give small amounts of



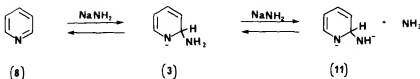
by-products during amination. A key observation during this study was the amount of ammonia evolved with hydrogen during amination (the amount of ammonia was corrected for the small amounts released from the pores of the crystalline sodium amide). The ammonia evolution varied for each heterocycle, from a low of $\sim 13\%$ of the total gas evolution for pyridine to 53% for 1-methylperimidine. Experimental data indicated that most of the ammonia was released at the start of the reaction and decreased as the reaction progressed. There are two possible sources of ammonia. One is the metallation of the azomethine C—H bond to form an organosodium compound (9), as illustrated with 4 in Scheme 3. This source of ammonia was considered insignificant, because it was shown that a 70% yield of 1,1'-dimethyl-2,3-dihydro-2,2'-dibenzimidazolyl (10) was obtained when 9 was reacted with 4 under Chichibabin conditions (Scheme 4). However, no appreciable amount of this dimer was formed during amination of 4 in xylene. In a similar fashion, only a trace of 2,2'-bipyridine was found among the by-products from



SCHEME 3



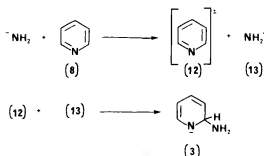
SCHEME 4



SCHEME 5

amination of pyridine (67M11). The main source of ammonia was thought to be further attack of amide ion on the anionic σ -adduct to give dianionic σ -adduct **11** as shown in Scheme 5.

f. *Evidence for Electron Transfer in σ -Adduct Formation.* Novikov and co-workers have presented evidence for an electron-transfer mechanism for the addition step (76CHE210). It was found that the rate of reaction was decreased in the presence of free radical scavengers, such as azobenzene, nitrobenzene, and oxygen. In the presence of oxygen, the rate was severely decreased and no color change was observed, indicating no formation of the σ -adduct. The effect was reversed when the oxygen was removed, thus eliminating the possibility of a chain reaction. It was proposed that an electron from the amide ion was transferred to the π -antibonding orbital of the heterocycle, forming a radical anion (**12**) and an amino radical (**13**). Combination of these radicals generated the σ -adduct (**3**), which then underwent the elimination step (Scheme 6).

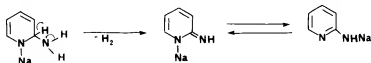


SCHEME 6

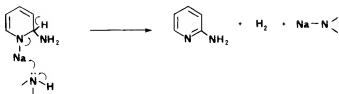
2. Hydride Ion Elimination in Aprotic Solvents

In aprotic solvents, the removal of a hydride ion from a σ -adduct requires elevated temperature. The hydride ion shows no tendency toward anionic stabilization and is difficult to remove from an sp^3 carbon (76RCR454). Oxidants have frequently been used in low-temperature aminations in liquid ammonia (see Section II.A.4) to facilitate hydride ion elimination. However, only one instance has been reported of the use of an oxidant for aminating heterocycles under heterogeneous conditions. It was reported that reaction times were shortened and yields were improved for the amination of pyridine and 2- and 4-picolines in Tetralin or polyalkylbenzenes by the addition of potassium or sodium nitrate (72MI1).

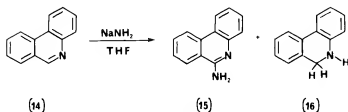
Several pathways have been proposed for the elimination step, which leads to an aromatic heterocycle and evolution of hydrogen. According to Bergstrom, loss of a hydride ion and a proton from the amino group gives a direct loss of hydrogen (Scheme 7) (38JOC411). Evidence for this scheme is presented by the fact that the nucleophile necessary for the Chichibabin reaction to proceed must contain at least one hydrogen atom that is capable of being split out as a proton, i.e., NH_2^- or RNH^- . Another mechanism which accounts for the evolution of hydrogen is shown in Scheme 8 (60HC(14, 1)1). A competing pathway may be elimination of the hydride ion as sodium hydride by thermolysis (Scheme 2). The sodium hydride immediately reacts with the amino compound with liberation of hydrogen (65CJC725).



SCHEME 7



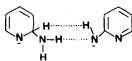
SCHEME 8



SCHEME 9

In some cases, where the substrate is a good hydride acceptor, hydride-ion transfer to the starting material can take place giving a dihydro derivative. For example, the amination of phenanthridine (**14**) with sodium amide in tetrahydrofuran gave a 70% yield of 6-aminophenanthridine (**15**) and a 20% yield of 5,6-dihydrophenanthridine (**16**) (Scheme 9) (73IJC825).

Autocatalysis. The kinetic curves developed by chemists in the U.S.S.R. (Section II.A.1.e) showing the dependence of the rate of gas evolution on time during the Chichibabin reaction revealed an interesting characteristic. It was observed that gas evolution began at a slow rate, followed by a sharp increase. This behavior was interpreted as evidence for the gradual accumulation of some compound in the reaction mixture during the induction period, which later catalyzed the amination process. The compound responsible was assumed to be simply the sodium salt of the aminoheterocyclic product. Indeed, introduction of such a sodium salt prior to the start of amination resulted in a rapid reaction with no observable induction period. The catalysis was theorized to result from a six-membered transition complex (**17**), which provides the required orientation of proton and hydride ion acceptors for hydrogen elimination. Proton abstraction should take place first, which then positions the transition complex structurally close to the dianionic σ -adduct (**11**).



(17)

The observations made during kinetic experiments, namely formation of ammonia and different rates of hydrogen evolution, have led the Soviet chemists to make certain assumptions regarding the mechanism of the Chichibabin reaction. The dianionic σ -adduct, produced in a certain amount in the first step, is a good hydride donor. Consequently, the reaction may proceed during the induction period by transfer of a hydride ion to any suitable hydride acceptor (in some cases the hydride ion is transferred to the substrate and a dihydro derivative of the starting material is formed), or by thermolysis. It should be noted that at sufficiently high temperatures, the reaction proceeds without an induction period. As the sodium salt of the aminoheterocyclic product develops to a certain concentration during the induction period, the principal pathway changes to proceed through the transition complex and thus gives the Chichibabin reaction autocatalytic character (76CHE210).

3. Formation of σ -Adducts in Protic Solvents

In protic solvents, the σ -adducts of some electron-deficient heterocycles form very rapidly, even at low temperatures.

Characterization. Spectroscopic techniques have made it possible to identify σ -adducts in liquid ammonia. They have been detected and assigned structures by ^1H - and ^{13}C -NMR spectroscopy. The addition of the amide nucleophile to the sp^2 carbon in the aromatic heterocycle changes its hybridization to sp^3 , which causes an upfield shift of the carbon and hydrogen atoms.

The first direct evidence for the existence of anionic σ -adducts was presented by Zoltewicz and Helmick (72JA682). They identified anionic σ -adducts **18**, **19**, and **20** by the addition of pyrazine, pyrimidine, and pyridazine, respectively, to excess sodium or potassium amide in liquid ammonia. Identification was made possible by ^1H -NMR spectroscopy.



(18)

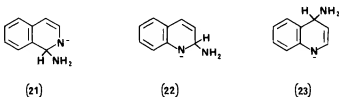


(19)



(20)

Shortly after the publication of the σ -adducts from diazines, Zoltewicz and co-workers reported the identification of anionic σ -adducts from treating quinoline and isoquinoline with excess potassium amide in liquid ammonia. ^1H -NMR studies showed that 1-amino-1,2-dihydroisoquinolinide (**21**) was formed with isoquinoline. In the case of quinoline, kinetic and thermodynamic products were observed. At -45°C , σ -adduct formation between quinoline and sodium or potassium amide in liquid ammonia, gave a mixture of 2-amino-1,2-dihydroquinolinide (**22**) and 4-amino-1,4-dihydroquinolinide (**23**), with the former compound being predominant. Warming the mixture resulted in irreversible conversion of **22** into the more stable **23**.

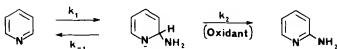


Since the first work of Zoltewicz and co-workers, van der Plas and colleagues have identified many more σ -adducts by both ^1H - and ^{13}C -NMR spectroscopy. They include naphthyridines (83AHC(33)95), pyrazine, pyrimidine, pyridazine and their substituted derivatives (73RTC1232; 78RTC288; 79JHC301; 83RTC367), substituted quinolines (85JHC353), triazines (76RTC113), and purine and substituted purines (79JOC3140; 80RTC267).

4. Hydride Ion Elimination in Protic Solvents

The first example of a low-temperature amination was reported by Bergstrom (34JA1748). He successfully aminated isoquinoline with potassium amide at room temperature in liquid ammonia. With quinoline, it was necessary to add potassium nitrate to promote amination in liquid ammonia (38JOC411). As shown in Section II.A.2, hydride-ion elimination is generally difficult. Introduction of an oxidant can sometimes lead to mild conditions for carrying out the amination.

The effectiveness of an oxidant is dependent upon the oxidation-reduction potential of the intermediate σ -adduct and the oxidant (Scheme 10) (76RCR454). For the sake of simplicity, pyridine is used to represent a π -deficient nitrogen aromatic heterocycle. When the σ -adduct is formed readily ($k_1 \gg k_{-1}$) and hydride elimination is facile (k_2 is large), the product is formed easily. In cases where the σ -adduct formation is facile ($k_1 \gg k_{-1}$) but hydride elimination is very slow (k_2 is small), the reaction proceeds to the stage of σ -adduct formation and stops there. When σ -adduct formation



SCHEME 10



SCHEME 11

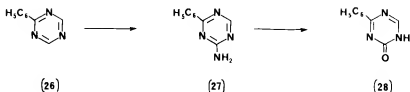
is very difficult ($k_1 \ll k_{-1}$) and aromatization proceeds readily (k_2 is large), the intermediate σ -adduct exists in a very low steady-state concentration and an oxidant is required to form the product (86M11). Some compounds reported capable of being aminated at low temperature with potassium amide in liquid ammonia are naphthyridines (83AHC(33)95), purines (79JOC3140), 4-halogenoisoquinolines (74RTC273), and pyrido[2,3-*d*]pyridazine (**24**), which yields 2-aminopyrido[2,3-*d*]pyridazine (**25**) (Scheme 11) (69AJC1745). In the majority of cases, an oxidant is required for successful amination or, at least, for yield improvement. The most frequently used oxidizing agent is potassium permanganate. A comprehensive review on the use of potassium permanganate in Chichibabin aminations of naphthyridines, quinolines, pyrimidines, pyridazines, pyrazines, quinazoline, and quinoxaline has been published by van der Plas and Wozniak (86M11).

B. $S_N(\text{ANRORC})$ MECHANISM

The elegant work of H. C. van der Plas and colleagues provides proof that the $S_N(\text{ANRORC})$ mechanism (addition of the nucleophile to the heterocycle, ring opening, and ring closure) operates in some Chichibabin reactions under homogeneous conditions (85T237).

1. Amination of Phenyl-1,3,5-triazine

The ANRORC mechanism was first observed upon amination of phenyl-1,3,5-triazine (**26**) with potassium amide in liquid ammonia (76RTC125). When **26** was treated with excess potassium amide in liquid ammonia at -33°C for 40 hr, a low yield (9%) of 4-amino-2-phenyl-1,3,5-triazine (**27**)

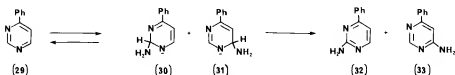


SCHEME 12

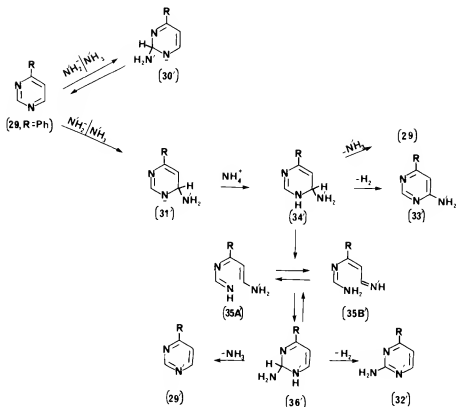
was obtained. Using potassium [^{15}N]amide in [^{15}N]ammonia, 55% of **27** was formed by the $\text{S}_{\text{N}}(\text{ANRORC})$ pathway. Mass spectrometry was used to determine the percentage of the ^{15}N -labeled molecules in **27** and in the dihydro-4-oxo-1,3,5-triazine **28**, which was obtained by treating **27** with aqueous sodium hydroxide. The difference in the percentage of labeled molecules between **27** and **28** gave the percentage of molecules of **27** that contain the label in the amino group. The presence of ^{15}N label in the ring is evidence for occurrence of an $\text{S}_{\text{N}}(\text{ANRORC})$ mechanism and the ^{15}N label in the amino group comes from an $\text{S}_{\text{N}}(\text{AE})$ process (Scheme 12).

2. Amination of 4-Phenylpyrimidine

To extend the study of the $\text{S}_{\text{N}}(\text{ANRORC})$ mechanism, 4-phenylpyrimidine (**29**) was chosen as a suitable substrate. First, it was shown by ^1H - and ^{13}C -NMR spectroscopy that addition of **29** to liquid ammonia containing excess potassium amide resulted in immediate formation of two anionic σ -adducts (79JOC4677). They were the kinetically favored 2-amino-1,2-dihydro-4-phenylpyrimidinide (**30**) and the thermodynamically stable 6-amino-1,6-dihydro-4-phenylpyrimidinide (**31**). After standing for 20 min, the ratio of **31**:**30** was 80:20. On further standing, **30** continued to diminish and finally disappeared. After 70 hr, the reaction mixture was quenched with ammonium chloride, which caused an immediate liberation of hydrogen, to give a 60% yield of 2-amino-4-phenylpyrimidine (**32**) and a 15% yield of 6-amino-4-phenylpyrimidine (**33**), with the remainder mostly starting material (Scheme 13).



SCHEME 13

 $\text{N}' = {}^{15}\text{N}$

SCHEME 14

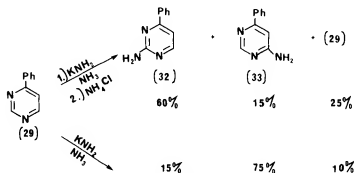
These data showed that, given enough time, both products **32** and **33** were formed from the σ -adduct **31**. Formation of the 6-amino product (**33**) can be explained by an $\text{S}_{\text{N}}(\text{AE})$ mechanism. To determine if ring opening was involved in the formation of **32**, an amination was performed with ${}^{15}\text{N}$ -labeled potassium amide/ammonia. If an $\text{S}_{\text{N}}(\text{ANRORC})$ process occurred, the label would be inserted into the ring. If no ring opening occurred, the ${}^{15}\text{N}$ label would be present in the exocyclic amino group. In this manner, it was found that compound **32** contained the ${}^{15}\text{N}$ label almost exclusively (92%) in the pyrimidine ring and compound **33** had the ${}^{15}\text{N}$ label on the amino group. The mechanism is illustrated in Scheme 14.¹

¹ Scheme 14 reprinted with permission from *Tetrahedron*, Volume 41, Henk C. van der Plas, "Ring Degenerate Transformations of Azines," Copyright 1985, Pergamon Press, Ltd.

When the amination of **29** was carried out with ^{15}N -labeled potassium amide/ammonia, σ -adduct **31'** was formed. Quenching the reaction mixture with ammonium chloride, which acts as a strong acid in liquid ammonia, neutralized excess potassium amide and protonated **31'** to give the neutral compound 6-amino-4-phenyl-1,6-dihydropyrimidine (**34'**). Compound **34'** can lose hydrogen to give 6-amino-4-phenylpyrimidine (**33'**) with exocyclic ^{15}N label on the amino group, or lose $[^{15}\text{N}]$ ammonia to give starting material **29**. On the other hand, **34'** may also undergo ring opening to give acyclic intermediates **35A'** and **35B'**, which can undergo ring closure to give 2-amino-4-phenyl-1,2-dihydropyrimidine (**36'**). Compound **36'** can aromatize by loss of hydrogen to form **32'**, with the ^{15}N label in the pyrimidine ring. Alternatively, **36'** can lose ammonia to give **29**. Indeed, 4-phenylpyrimidine with the ^{15}N label in the ring was isolated from the reaction mixture.

To prove that ammonium chloride favors the $\text{S}_{\text{N}}(\text{ANRORC})$ mechanism, greatly different results were obtained when the amination mixture of **29** was not quenched with ammonium chloride (83RTC367). In this case, the yield of **33** was increased from 15 to 75% and the yield of **32** was decreased from 60 to 15%. When carried out in ^{15}N -labeled potassium amide/ammonia, the fraction of **33** found by an $\text{S}_{\text{N}}(\text{ANRORC})$ mechanism was 12%, and for **32** it was 52% (Scheme 15). This clearly established that the ammonium ion strongly favors the $\text{S}_{\text{N}}(\text{ANRORC})$ mechanism.

It is interesting that the $\text{S}_{\text{N}}(\text{ANRORC})$ mechanism did not operate when **29** was aminated under heterogeneous conditions. When **29** was treated with potassium $[^{15}\text{N}]$ amide in *m*-xylene at 90°C , most of the label was present in the exocyclic amino group, thus proving that both products, **32** and **33**, were formed by an $\text{S}_{\text{N}}(\text{AE})$ mechanism.



SCHEME 15

III. Factors Influencing the Chichibabin Reaction

It has long been observed that some aromatic nitrogen heterocyclic compounds aminate more easily than others. For instance, 1-methylbenzimidazole is aminated in a matter of a few minutes, whereas pyridine requires about 2 hr. In order to explain this, chemists in the U.S.S.R. have considered four factors they believe are most responsible for causing different rates of amination in aprotic solvents at elevated temperatures (heterogeneous conditions). They are (1) basicity of the heterocycle; (2) positive charge on the carbon atom adjacent to the nitrogen; (3) polarizability of the C=N bond; and (4) ease of aromatization of the σ -adduct (76CHE210). The first three pertain to the addition step of the Chichibabin reaction and the last factor depends upon the hydride-ion elimination step.

There are other conditions that undoubtedly contribute. They consist of the effect of substituents on the ring, temperature, and solvent. The effect of pressure on the Chichibabin reaction is of special importance and is dealt with in Section IV.

A. BASICITY

Under classical Chichibabin conditions (heterogeneous), basicity of the heterocycle plays an important role in the outcome of the reaction. Compounds having a pK_a in the range 5–8 have successfully been aminated. They include pyridines, quinolines, isoquinolines, and benz- and naphthimidazoles. Outside of this pK_a range, the Chichibabin reaction proceeds with difficulty or not at all (72CHE1280; 78RCR1042).

In order to account for the influence of basicity, the Soviet chemists have proposed that sorption of the ring nitrogen on the alkali metal takes place first before attack of the amide ion. This sorption is due to an ion-dipole interaction between the unshared pair of electrons on the nitrogen and the metal cation (Section II,A,1,b). The coordination decreases the electron density on the α -carbon atom, thereby encouraging attack by the amide ion. It also orients attack at the adjacent carbon atom and explains why, for geometrical reasons, amination in the para position goes very poorly (72CHE1518).

If increase in the pK_a increases the ability of nitrogen to coordinate, it would seem that the stronger the base, the easier would be the amination. This is true only up to a point, because, as the basicity of the substrate increases, the electron density at the α -carbon also increases. For example, the amination of 4-dimethylaminopyridine, the strongest base known to aminate (pK_a 9.37), proceeds only under severe conditions to give a low yield of 2-amino-4-dimethylaminopyridine (73CHE1119).

Basicity is not important for compounds that can be aminated under homogeneous conditions. Many weak bases with π -electron deficiency can be easily aminated in liquid ammonia at low temperature (Section II,A,3 and 4). Highly π -electron-deficient compounds, such as quinoxaline, pyrazine, pyridazine, and triazine, although readily aminated in liquid ammonia, decompose when aminated under heterogeneous conditions at elevated temperatures (86M11). An exception is the successful amination of 5-methylpyrimidine under heterogeneous conditions (Section IV,D) (84EUP0098684A2).

B. EFFECTIVE POSITIVE CHARGE ON THE α -CARBON ATOM

The first step of the Chichibabin reaction involves attack on the aromatic ring by the nucleophilic amide ion. Novikov *et al.* (76CHE210) have shown that, for a series of heterocycles whose pK_a values lie within the most favorable region for the Chichibabin reaction, the ease of amination in aprotic solvents coincides with the magnitude of Δq_s^+ , except for a disparity between isoquinoline and 1-methylperimidine (Table I). The compounds are listed in decreasing ease of amination.

Under homogeneous conditions in liquid ammonia, Hückel molecular orbital (HMO) calculations have demonstrated that the electron density is a good variable quantity to use for predicting the position of the addition of the amide ion (79BCJ1498). However, as pointed out by van der Plas and Wozniak, the prediction is true only if the addition is kinetically controlled. Many aminations in liquid ammonia are temperature dependent, and in this

TABLE I
EASE OF AMINATION VERSUS MAGNITUDE OF
POSITIVE CHARGE ON α -CARBON ATOM^a

Heterocycle	$q_s^+{}^b$	$\Delta q_s^+{}^b$
1-Methylbenzimidazole	+0.170	+0.273
Isoquinoline	+0.105	+0.224
1-Methylperimidine	+0.256	+0.255
Benzo[<i>f</i>]quinoline	+0.095	+0.181
Pyridine	+0.077	+0.164

^a From Ref. 76CHE210.

^b q_s^+ , magnitude of the positive charge on the carbon atom undergoing amination; Δq_s^+ , increase of the charge on protonation of the ring nitrogen. Data calculated by the Hückel MO method using the Streitwieser parameters (61M11).

situation the orientation of the addition is dependent not on electron density but on the thermodynamic stability of the anionic σ -adduct (86MI1).

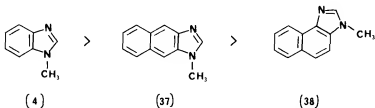
C. POLARIZABILITY OF THE C=N BOND

The protonated bases in Table I show an increase of positive charge on the α -carbon atom, which differs for each compound. The largest difference between the charges on the base and on the cation is for 1-methylbenzimidazole and the smallest is for pyridine. The variation may be attributed to the different polarizability of their C=N bonds during coordination (78RCR1042). The importance of the polarizability factor was first presented by Abramovitch and colleagues (65CJC725). The ease of amination of the heterocycles in Table I agrees with the Δq_s^+ values, except that isoquinoline and 1-methylperimidine change places.

D. EASE OF AROMATIZATION OF THE σ -ADDUCT

Clearly, the success of an amination depends not only on the addition step but also on the ease of detachment of the hydride ion. According to the positive charge on the α -carbon atom in 1-methylperimidine (Table I), the compound should aminate faster than 1-methylbenzimidazole. The reason that it does not is attributed to the probability that the σ -adduct of 1-methylperimidine is more difficult to aromatize than the other σ -adducts studied. This argument gains some credence from the known fact that 2,3-dihydropyrimidine is extremely hard to aromatize (74CHE485; 78CHE1156).

An evaluation of the rates of amination of three azoles showed that the rate decreased accordingly: 1-methylbenzimidazole (**4**) > 1-methylnaphth-[2,3-*d*]imidazole (**37**) > 3-methylnaphth[1,2-*d*]imidazole (**38**) (see Section III,F) (79CHE218). In this azole series, the addition of amide ion proceeds extremely easily at relatively low temperature. Thus, it is suggested that, since all of the factors affecting the addition step are highly favorable, the difference in rates of amination is due to the ease of hydride elimination.

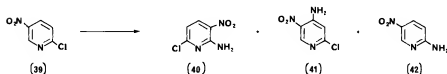


E. SUBSTITUENT EFFECT

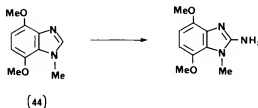
1. *Electron Acceptors*

Electron-withdrawing groups on the heterocyclic compound would be expected to enhance the Chichibabin reaction, because the π -electron density is decreased, making the heterocyclic ring more susceptible to nucleophilic attack. However, there are no data to support this expectation for Chichibabin reactions under heterogeneous conditions in aprotic solvents. Rather, it would appear that electron-withdrawing groups are indeed detrimental. Nicotinic acid and nicotinamide are difficult to aminate, as shown in Section IV,A. Furthermore, it was found that 1-alkyl-substituted benzimidazoles were not aminated when electron-accepting groups, such as COOH, COOR, CONH₂, NO₂, and halogens, were present in the benzene ring (70CHE987; 78RCR1042). The reason for this was given as either, or a combination of the following: (1) the electron-withdrawing groups decreased the basicity of the nitrogen atom, interfering with sorption on sodium amide; (2) the tendency of these groups to form complexes with sodium amide; and (3) a change in the distribution of spin density in the intermediate radical anion, which is unfavorable for amination.

On the other hand, for amination in liquid ammonia under homogeneous conditions, it can be shown that electron-accepting substituents are beneficial for the Chichibabin reaction. Treatment of 2-chloro-5-nitropyridine (**39**) with potassium amide in liquid ammonia gave small yields of 2-amino-6-chloro-3-nitropyridine (**40**) and 4-amino-2-chloro-5-nitropyridine (**41**), the main reaction pathway being the displacement of the halogen giving 2-amino-5-nitropyridine (**42**) (Scheme 16) (85JOC484). That **40** and **41** were obtained at all can be attributed to the electron-withdrawing groups, because, without them, it has not been possible to aminate the pyridine ring under these conditions (42OR91). In some cases, sufficiently electron-deficient substrates undergo covalent amination with weaker nucleophiles, ammonia, or primary alkylamines, without amide ion being present. For example, 3- and 4-nitroquinoline have been aminated in liquid ammonia with the help of an oxidant. There are more examples, but they are beyond the scope of this article (80JOU577; 83JHC9; 86M11).

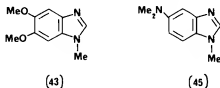


SCHEME 16

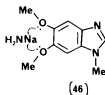


SCHEME 17

o-Dimethoxy Effect. The inability of 5,6-dimethoxy-1-methylbenzimidazole (43) to aminate presents an interesting situation. The failure cannot be attributed, as might be expected, to the electron-donating properties of the methoxy groups, because 4,7-dimethoxy-1-methylbenzimidazole (44) was successfully aminated (Scheme 17). Also, 5-dimethylamino-1-methylbenzimidazole (45) was readily aminated and, by pK_a values, it was shown that



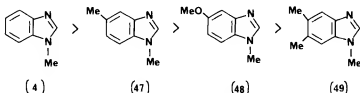
the dimethylamino group has more electron-donating ability than the 5,6-dimethoxy groups (70CHE987). In order to explain the *o*-dimethoxy effect, it was suggested that sodium amide is blocked by coordination with oxygen. However, this postulation is discredited because it was found that amination still would not take place with a large excess of sodium amide. It is more likely that the inert behavior of 43 is due to the formation of the stable solvate complex of the type 46, with the oxygen atoms becoming electron-accepting centers and, like other electron-accepting substituents in the benzene ring of benzimidazoles, lowering the basicity of the nitrogen atom at position 3. This lowered basicity interferes with sorption on the sodium atom (under heterogeneous conditions) and prevents the first step of the Chichibabin reaction from occurring (71CHE1036).



2. Electron Donors

As would be expected, electron-donating groups hinder the Chichibabin reaction. The deactivating influence of a strong electron donor, the amino group, illustrates this point. The temperature required to aminate pyridine is approximately 110°C, but a temperature around 170°C is required to aminate 2-aminopyridine to give 2,6-diaminopyridine. In a like manner, a temperature of 200°C is needed to obtain 2,4,6-triaminopyridine in poor yield (42OR91). The influence of a weak electron-donating alkyl group is still significant. A temperature of 145°C is required for amination of picolines.

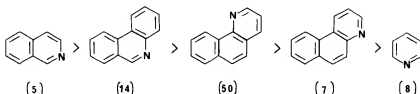
A study of the effect of electron-donating groups in the benzene ring of 1-methylbenzimidazoles on the Chichibabin reaction showed that rates decreased according to the electron-donating properties of the substituents. Thus, the following compounds are listed in decreasing rates of amination: 1-methylbenzimidazole (4) > 1,5-dimethylbenzimidazole (47) > 1-methyl-5-methoxybenzimidazole (48) > 1,5,6-trimethylbenzimidazole (49) (77CHE903).



F. BENZO ANNEALATION

For azine substrates that contain good leaving groups, the rate of nucleophilic substitution is increased by benzo annelation (79CHE218). In the case of the Chichibabin reaction, where the hydride ion is a poor leaving group, benzo annelation frequently increases reactivity, allowing a more facile amination under heterogeneous conditions. A striking example is the easy amination of 1-methylbenzimidazole with sodium amide, while 1-methylimidazole fails completely (73CHE88). Amination of the 1-substituted imidazole ring requires that it be condensed with an aromatic ring. The function of the aromatic ring is probably to make a fairly large positive charge on the α -carbon atom as a result of delocalization of the π -electrons (78RCR1042).

An evaluation of the effect of benzo annelation on the ease of the Chichibabin reaction in the azine and azole series was made by Doron'kin *et al.* (79CHE218). They related the dependence on time of the total amount of gas evolved as a measure of the activity of the compound. For azine compounds aminated in *o*-xylene with sodium amide, the activity decreased in



the order isoquinoline (5) > phenanthridine (14) > benzo[*h*]quinoline (50) > benzo[*f*]quinoline (7) > pyridine (8). In the azole series, where compounds were aminated in *N,N*-dimethylaniline (DMA), the activity decreased in the order 1-methylbenzimidazole (4) > 1-methylnaphth[2,3-*d*]imidazole (37) > 3-methylnaphth[1,2-*d*]imidazole (38) (see Section III,D). Generally, imidazole systems aminated more easily than azines and benzimidazole compounds were more active than the corresponding naphthimidazole derivatives (72CHE1131).

G. SOLVENT EFFECT

There are no quantitative data on the influence of solvents on the Chichibabin reaction, nevertheless, certain generalizations can be made. The ease of formation of the highly polar σ -adduct should certainly depend upon the solvating capacity and the dielectric constant of the solvent (68M11; 74ACR301; 77CC188; 78RCR1042). Many heterocycles form σ -adducts rapidly, even at low temperatures in liquid ammonia, which has a very high dielectric constant ($\epsilon = 25.4$) (72JA682; 73JOC1947). Under heterogeneous conditions with aromatic hydrocarbons (ϵ in the range 2.0–2.5), much higher temperatures, usually over 100°C, are required. Other solvents reported being used in the Chichibabin reaction are tetrahydrofuran ($\epsilon = 7.58$), 1,2-dimethoxyethane ($\epsilon = 7.20$), and Tetralin ($\epsilon = 2.77$) (72M11; 73IJC825; 78RCR1042). There are examples in the literature where DMA ($\epsilon = 36.7$) gave better yields than aromatic hydrocarbons (71CHE1036; 72CHE1131). There may be some solubility of the alkali metal amide in DMA, which leads to a more homogeneous reaction mixture (73RCR37). There is much need of a better solvent for the Chichibabin reaction having a boiling point significantly higher than ammonia.

H. TEMPERATURE EFFECT

The role of temperature can be important, especially for aminations taking place in liquid ammonia, where the reaction is often temperature dependent. Lower temperatures favor the kinetically controlled product and higher

temperatures provide the thermodynamically stable product (78JOC1673; 81JOC2134; 85JHC353).

Highly π -electron-deficient molecules, capable of being aminated at low temperature in liquid ammonia, seldom withstand elevated temperature in aprotic solvents without decomposition (86M11).

For aminations in aprotic solvents, where considerable activation energy is required to form the σ -adduct, a general rule would be to run the amination at the lowest temperature that provides a good rate of hydrogen evolution. The reaction mixture should be cooled as soon as hydrogen decreases. Prolonged heating will often cause decomposition and loss of yield (73M11). Higher reaction temperatures are required for diamination, however, yields are usually lower than for monoaminations (42OR91).

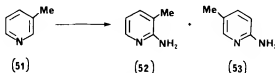
IV. The Chichibabin Reaction under Pressure

Surprisingly, well-known Chichibabin reactions, conducted under typical heterogeneous conditions, often gave unexpected results when run under pressure. This phenomenon was discovered during an investigation of the amination of 3-picoline (83USP4386209). The interesting effects of pressure are reported in this section, even when the effect did not give a normal Chichibabin product (83JAP(K)208266).

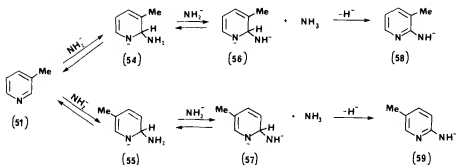
A. AMINATION OF 3-SUBSTITUTED PYRIDINES

The amination of 3-picoline (**51**) at atmospheric pressure is well known (Scheme 18). The ratio of the isomers **52**:**53** has been reported as 10.5:1 (66AHC(6)229).

When the amination of 3-picoline was run in an autoclave in toluene with sodium amide, under a partial pressure of 30 psi of ammonia and pressurized further with nitrogen to a total pressure of 350 psi, the ratio of isomers was reversed to give a predominance of the 2,5-substituted isomer **53** in a ratio of 3.9:1.



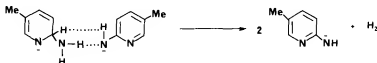
SCHEME 18



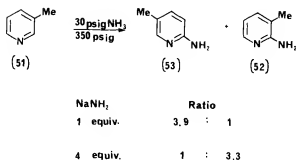
SCHEME 19

The unusual reversal of isomers may be rationalized according to Scheme 19, where an equilibrium is proposed between the kinetically controlled anionic C-2 σ -adduct **54** and the thermodynamically stable anionic C-6 σ -adduct **55**. Another equilibrium exists between the dianionic σ -adducts **56** and **57**. Support for the existence of dianionic σ -adducts has been presented by Novikov *et al.* (76CHE210) and Kessar *et al.* (73IJC825). The function of the partial pressure of ammonia is to inhibit the formation of the dianionic σ -adducts. Once the dianionic σ -adducts are formed, they become good hydride donors and aromatize to products **58** and **59**. As the concentration of products slowly becomes significant, the principal amination pathway is taken over by autocatalysis by means of a six-membered transition complex, as described in Section II,A,2 and as shown for the 2,5-isomer in Scheme 20.

Observations during the course of a pressure reaction tend to support the proposed mechanism. There was a long inductive period of 1–2 hr at amination temperature (the same temperature at which the reaction would instantly begin at atmospheric pressure), before hydrogen was evolved. Hydrogen was allowed to escape from the autoclave by first passing the gas through a water-cooled condenser, to condense ammonia and return it to the autoclave, and then through a pressure relief valve. The rate of hydrogen evolution increased as the reaction proceeded, even when the reaction temperature was lowered.



SCHEME 20



SCHEME 21

Addition of a large excess of sodium amide to the pressure amination of 3-picoline would be expected to decrease the yield of **53**. Indeed that was the case when 4 equivalents of sodium amide were used and it was found that **52** became predominant, giving a **52:53** ratio of 3.3:1 (Scheme 21) (83UP1).

Even though it has been shown that the S_N(ANRORC) mechanism did not operate in the amination of 4-phenylpyrimidine (**29**) in *m*-xylene (83RTC367), a ring-opening and ring-closure mechanism cannot be excluded in the pres-

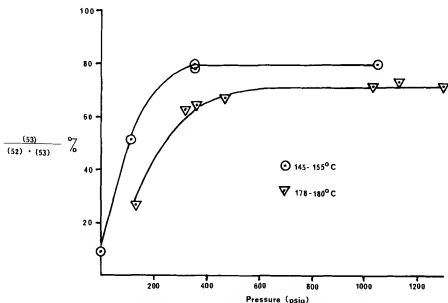


FIG. 1. Effect of pressure and temperature on amination of 3-picoline.

TABLE II
PRESSURE AMINATION OF 3-SUBSTITUTED PYRIDINES

R	Pressure		Atmospheric
	% Yield 2,5- and 2,3-	Ratio $\frac{2,5-}{2,3-}$	Ratio $\frac{2,5-}{2,3-}$
Methyl	85.4	3.9	0.10 ^a
Ethyl	74.5	4.6	0.29 ^b
Butyl	81.5	3.5	0.25 ^c
Hydroxypropyl	67.8	4.2	0.12 ^d
Phenylpropyl	80.7	5.9	0.32 ^e
Phenyl	33.7	38.1	11.0 ^e

^a From Ref. 66AHC(6)229.

^b From Ref. 64Cl(L)710.

^c From Ref. 56HCA505.

^d From Ref. 84EUP0098684A2.

^e From Ref. 83USP4386209.

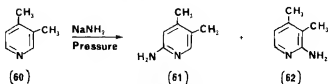
sure amination of 3-picoline because it obviously occurred during the pressure amination of 2-picoline affording *m*-phenylenediamine as the predominant product (Section IV,B).

The effect of temperature and pressure on the amination of 3-picoline is shown in Fig. 1. It is seen that the higher temperature gave lower yields of 2-amino-5-methylpyridine (**53**). This was probably due to thermolysis playing an important role.

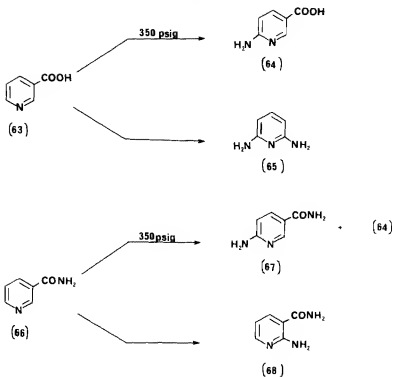
Other 3-substituted pyridines behaved the same way as 3-picoline under pressure. The results are given in Table II.

The amination of 3,4-lutidine (**60**) under pressure gave 6-amino-3,4-dimethylpyridine (**61**) and 2-amino-3,4-dimethylpyridine (**62**) in a ratio of 1.1:1 (Scheme 22). This ratio of approximately equal isomers differs substantially from the ratio of 3.5:1 of **62**:**61** reported by Siegl for the amination of **60** in DMA at atmospheric pressure (81JHC1613). The total yield of both isomers in the pressure reaction was 81% (84EUP0098684A2).

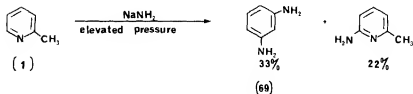
Only one isomer was observed from the pressure amination of niacin and nicotinamide. The acid (**63**), treated with sodium amide in xylene under the same pressure conditions as for 3-picoline, gave 6-aminonicotinic acid (**64**) in



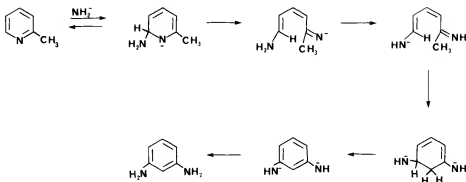
SCHEME 22



SCHEME 23



SCHEME 24



SCHEME 25

26% yield. It is recorded in the literature that the atmospheric amination of nicotinic acid gave 13% 2,6-diaminopyridine (**65**) (56RC621). Nicotinamide (**66**), under pressure, gave 17% 6-aminonicotinamide (**67**) and 7% **64**. Under atmospheric pressure, a 20% yield of 2-aminonicotinamide (**68**) was obtained (Scheme 23) (44JA1479).

B. AMINATION OF 2-SUBSTITUTED PYRIDINES

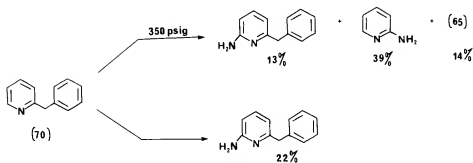
Pressure amination of 2-picoline (**1**) led to a ring-opening/ring-closure reaction giving *m*-phenylenediamine (**69**) as the principal product (Scheme 24). The mechanism may occur according to Scheme 25.

The differences between pressure and atmospheric aminations of 2-benzylpyridine (**70**) and 2,2'-dipyridylamine (**71**) are shown in Schemes 26 and 27.

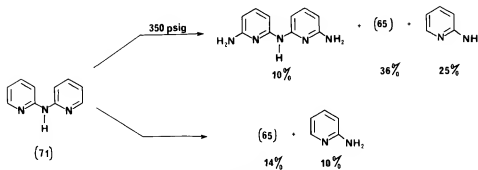
C. AMINATION OF 4-SUBSTITUTED PYRIDINES

The dimethylamino group was displaced when 4-dimethylaminopyridine was treated with sodium amide under pressure to give a 69% yield of 4-aminopyridine (83USP4386209). At atmospheric pressure, a low yield, 30%, of the normal Chichibabin product, 2-amino-4-dimethylaminopyridine, was obtained (73CHE1119).

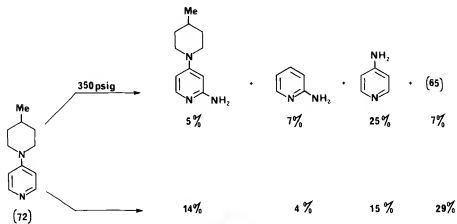
Amination of 4-(4-methylpiperidino)pyridine (**72**) at atmospheric and above atmospheric pressure is shown in Scheme 28.



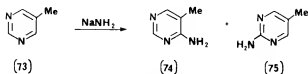
SCHEME 26



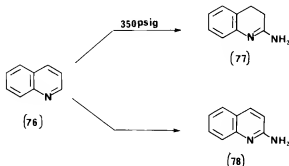
SCHEME 27



SCHEME 28



SCHEME 29



SCHEME 30

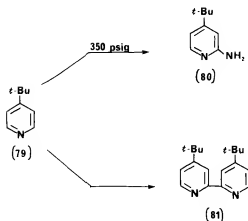
D. AMINATION OF 5-METHYLPYRIMIDINE AND QUINOLINE

Nitrogen heterocyclic systems other than pyridine also behave differently under pressure. For example, 5-methylpyrimidine (73), treated with sodium amide in toluene under the same pressure conditions as used for 3-picoline, gave 4-amino-5-methylpyrimidine (74) and 2-amino-5-methylpyrimidine (75) in a ratio of 3.2:1 (Scheme 29). At atmospheric pressure, the ratio of 74:75 was 8.6:1 (84EUP0098684A2).

Quinoline (76) under pressure was converted to 2-amino-3,4-dihydroquinoline (77) as no hydrogen evolution was observed (Scheme 30) (84EUP0098684A2). Atmospheric pressure amination produced 2-aminoquinoline (78) (40M11).

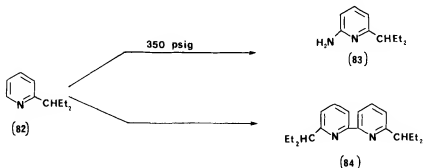
E. AMINATION AND DIMERIZATION

Dimerization is well known to be a side reaction in the Chichibabin reaction (78RCR1042). In fact, dimerization can be the only product with certain alkylpyridines (79USP4177349; 81USP4267335). Under normal Chichibabin conditions, heating a heterogeneous mixture of sodium amide in a hydrocarbon at atmospheric pressure with a branched chain alkylpyridine leads to

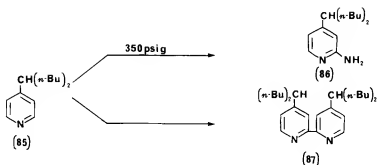


SCHEME 31

dimerization, with little, if any, amino product. The branching of the alkyl group must occur within three carbon atoms of the pyridine ring. When the same substrate is treated with sodium amide under pressure, surprisingly, the result is the normal amino product of the Chichibabin reaction. Specific examples are described below. When 4-*tert*-butylpyridine (79) was heated with a mixture of xylene and sodium amide under 350 psi nitrogen pressure, allowing the hydrogen gas to escape through a pressure relief valve as the reaction proceeded, a yield of 74% 2-amino-4-*tert*-butylpyridine (80) was obtained. On the other hand, at atmospheric pressure coupling took place to give an 89% yield of 4,4'-di-*tert*-butyl-2,2'-bipyridine (81) (Scheme 31). In a similar manner, 2-(3-pentyl)-pyridine (82) gave, under pressure, the normal Chichibabin product 6-amino-2-(3-pentyl)pyridine (83) in 65% yield. At



SCHEME 32



SCHEME 33

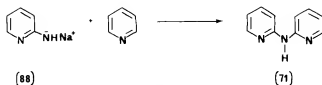
atmospheric pressure, the coupled product 6,6'-di(3-pentyl)-2,2'-bipyridine (**84**) was obtained in 77% yield (Scheme 32). Aminating 4-(5-nonyl)pyridine (**85**) under pressure yielded 2-amino-4-(5-nonyl)pyridine (**86**, 67%). At atmospheric pressure, a yield of 89% 4,4'-di(5-nonyl)-2,2'-bipyridine (**87**) was isolated (Scheme 33).

V. Amination by Organic Derivatives of Alkali Metal Amides

Primary alkylamines have been successfully used with alkali metals, hydrides, and amides for alkylation of nitrogen-containing heterocycles. The reactions are of synthetic importance, but have not appeared in the literature to any great extent. Dialkylamines have mostly failed (78JOC2900). Very little success has been reported for aromatic amines.

A. ARYLAMINATION

It is sufficient to mention that Chichibabin and Zeide found that pyridine with sodium anilide gave a very low yield of 2-phenylaminopyridine (14MI1). There have been no improvements reported in the literature since their discovery. During the amination of pyridine, it was observed that 2,2'-dipyridylamine (**71**) was formed as a by-product (23RTC240). The formation of **71**, as the result of the attack of the sodium salt of 2-aminopyridine (**88**) on pyridine, occurs to a larger extent during the preparation of 2,6-diaminopyridine (**65**), where higher temperatures are required (Scheme 34) (72UP1).



SCHEME 34

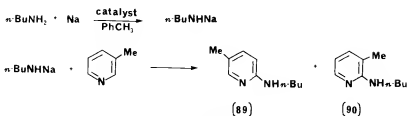
B. ALKYLAMINATION

Alkylaminations of pyridine and quinoline in the 2-position have been achieved by Bergstrom and co-workers in fair yields by heating a heterocycle in a large excess of an aliphatic or acyclic primary amine with the eutectic mixture of potassium and sodium amide in the presence of potassium nitrate (46JOC239). A change in the above procedure was reported by Vajda and Kovacs (61RTC47). Pyridine, 2-picoline, and quinoline were alkyl- and arylalkylaminated by refluxing a mixture of the heterocycle and primary amine in toluene with powdered sodium or potassium. It was suggested that the amination proceeded through a heterocyclic radical anion as a result of an electron transfer from the alkali metal. The basis for this suggested mechanism was the formation of significant amounts of heterocycle dimer and tarry material along with the desired alkylaminoheterocycles. Dimers and tars are known to result from heating pyridine or derivatives with sodium metal.

A two-step procedure has been reported, in which the first step consisted of preforming an alkyl sodium amide, followed by attack of the alkyl amide on a pyridine ring at the 2-position with subsequent liberation of a hydride ion. The $S_N(\text{AE})$ mechanism, analogous to the standard Chichibabin reaction, is proposed (83EUP009170A2, 83USP4405790; 87MIP1). In this manner, the reaction was cleaner and the yields were better than under the conditions of Vajda and Kovacs, in which the heterocycle and alkylamine were required to react simultaneously with alkali metals, thus yielding appreciable amounts of dimers and tarry materials.

1. Preparation of Alkyl Sodium Amides

Primary alkylamines are extremely difficult to metallate with sodium amide or sodium hydride and generally do not react with metallic sodium. It is known that the amines react quickly and smoothly under mild conditions with potassium hydride, but from a practical viewpoint, metallation with sodium would be preferred (73JA982). A new catalytic procedure has made it possible to prepare alkyl sodium amides in good yields and in reasonable time. The



SCHEME 35

procedure involved refluxing sodium sand and the amine in toluene with a catalyst consisting of a 3- or 4-alkylpyridine, 3,3'-dialkyl-2,2'-bipyridine, or 3- or 4-alkylquinoline. The preformed alkyl sodium amide underwent a normal Chichibabin reaction with evolution of hydrogen at lower temperatures than required for sodium amide (83USP4405790).

2. Alkylamination of 3-Substituted Pyridines

Butylamination of 3-picoline is shown in Scheme 35. The ratio of the 2-amino-5-isomer (**89**) to the 2-amino-3-isomer (**90**) was 16:1. The yield of both isomers was 72%, which is about the same as obtained with sodium amide. The predominance of **89** is due most likely to steric hindrance caused by the bulkiness of the aminating agent. The situation is similar to the amination with sodium amide of 3-substituted pyridines at atmospheric pressure, in which the bulk of the 3-substituent directs the amount of 2-amino-5-isomer formed (Section IV), except that in this case, it is the size of the aminating group that decides the ratio of isomers. Additional alkylaminations of 3-substituted pyridines are shown in Table III.




3. Alkylamination of Pyridine, 2-Picoline, 4-Picoline, and 2,6-Lutidine

The various alkylaminations appear in Table IV. All were carried out by refluxing sodium sand in toluene containing the primary amine and catalyst until all or most of the sodium was consumed. The pyridine base was added in the second step with evolution of hydrogen (80UP1).

4. Alkylamination of 4-Phenylpyrimidine



In this lone example of alkylamination of a diazine, 4-phenylpyrimidine (**29**) was dissolved in boiling methylamine (-6°C) containing potassium

TABLE III
ALKYLAMINATION OF 3-SUBSTITUTED PYRIDINES

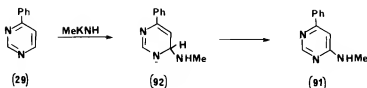
$RNHNa +$  \longrightarrow  $+$ 

Catalyst	R	R'	% Yield both isomers	2,5:-2,3-ratio
4-Picoline	Aminomethyl	Methyl	13.9	11.1:1
3-Picoline	Isobutyl	Methyl	65.9	16.7:1
4-Ethylpyridine	sec-Butyl	Methyl	29.1	17.2:1
4-Picoline	Butyl	Butyl	85.0	63.9:1
4-Picoline	Cyclohexyl	Methyl	31.2	6.3:1
4-Picoline	Dimethylaminopropyl	Methyl	63.6	30.5:1
4-Picoline	Octadecyl	Methyl	39.1	42.7:1
3-(3-Phenylpropyl)pyridine	Butyl	3-Phenylpropyl	67.1	49.7:1
4-Propylpyridine	Butyl	tert-Butyl	67.7	65.1:1

TABLE IV
ALKYLAMINATION OF PYRIDINE BASES

 $+ R^2NH_2 \xrightarrow[\text{Picoline Catalyst}]{Na}$ 

Heterocycle	Primary amine	Product	% Yield
2-Picoline	Dimethylaminopropylamine	2-Dimethylaminopropyl-amino-6-methylpyridine	65
Pyridine	Dimethylaminopropylamine	2-Dimethylaminopropylaminopyridine	59
Pyridine	n-Butylamine	2-n-Butylaminopyridine	57
4-Picoline	n-Butylamine	2-n-Butylamino-4-methylpyridine	69
2-Picoline	n-Butylamine	2-n-Butylamino-6-methylpyridine	65
4-Picoline	Octadecylamine	2-Octadecylamino-4-methylpyridine	43
2,6-Lutidine	n-Butylamine	4-n-Butylamino-2,6-dimethylpyridine	40

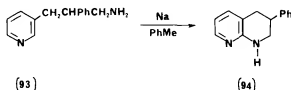


SCHEME 36

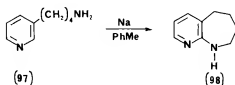
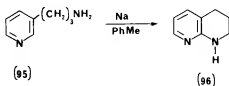
methylamide to give a 40% yield of 6-methylamino-4-phenylpyrimidine (**91**) (Scheme 36) (83RTC367). The fact that only the σ -adduct on C-6 (**92**) was observed and **91** was the only product obtained indicated that the S_N (ANRORC) mechanism was not involved in the Chichibabin reaction when an alkyl amide was the nucleophile, even though the S_N (ANRORC) mechanism is operative when **29** reacts with potassium amide (Section II,B,2).

5. Intramolecular Alkylaminations

A variation in the use of alkylamines as aminating agents is the intramolecular nucleophilic cyclization of appropriate 3-aminoalkylpyridines. Thus, 3-(3-pyridyl)-2-phenylpropylamine (**93**) with sodium in refluxing
















SCHEME 37



SCHEME 38

toluene gave a 54% yield of 1,2,3,4-tetrahydro-3-phenyl-1,8-naphthyridine (**94**) (Scheme 37) (66JCS(C)315). In like manner, 3-(3-pyridyl)propylamine (**95**) gave 1,2,3,4-tetrahydro-1,8-naphthyridine (**96**) in 30% yield and 4-(3-pyridyl)butylamine (**97**) afforded 6,7,8,9-tetrahydro-5*H*-pyrido[2,3-*b*]azepine (**98**) in 87% yield (Scheme 38). The latter compound was also prepared using sodium hydride, potassium hydride, and sodium amide, giving lower yields (73JHC39).

TABLE V
AMINATION PRODUCTS OF SELECTED ALKYLPIRIDINES

Alkylpyridine	Products	Reference
		62JOC1329
	 	77USP4022897; 78JMC194, 78MI1; 81JHC1613
	3.5 : 1	
		77MPI1; 78JMC194
		78JMC194; 78USP4122274
		77JOC1872
		80BRP2029274A

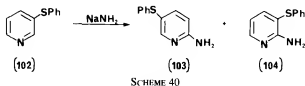
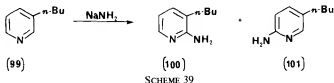
VI. Aminations according to Class of Compounds

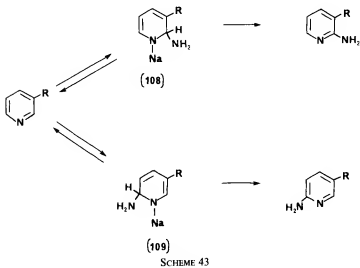
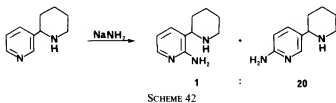
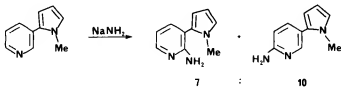
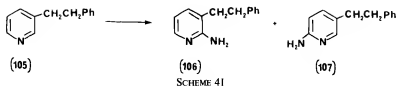
A. PYRIDINES

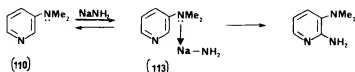
An enormous amount of work has been carried out on the amination of alkylpyridines, and the work has been well documented (42OR91; 54CRV449; 62HC(14,3)1; 67MI1; 74MI1). This section will cover the more recently reported aminopyridines. The amination products of some alkylpyridines are listed in Table V.

Arylpyridines can also be aminated. For example, 2-phenylpyridine was aminated in DMA with sodium amide to give 6-amino-2-phenylpyridine in 87% yield (72KGS1642). The yield was a function of reaction time and temperature; prolonged heating decreased the yield, and the optimum temperature was in the range 130–140°C (73MI1).

Preferential attack at the 2-position of 3-substituted pyridines is a general phenomenon in the Chichibabin reaction (64CJC1627). Treatment of 3-picoline with sodium amide in refluxing toluene resulted in a 10.5:1 ratio of 2-amino-3-methylpyridine to 2-amino-5-methylpyridine (see Section IV,A). The amination of 3-*n*-butylpyridine (**99**) yielded 50% of a 4:1 mixture of 2-amino-3-*n*-butylpyridine (**100**):2-amino-5-*n*-butylpyridine (**101**) (Scheme 39). When bulky substituents are present in the 3-position of the pyridine ring, amination in the 6-position of the ring becomes equal to or greater than attack at the 2-position (74JAP(K)125366). For example, amination of 3-phenylthiopyridine (**102**) gave 52% 2-amino-5-phenylthiopyridine (**103**) and 48% 2-amino-3-phenylthiopyridine, (**104**) (Scheme 40) (81JMC1483). The amination of 3-(2-phenylethyl)pyridine (**105**) gave 2-amino-3-(2-phenylethyl)pyridine







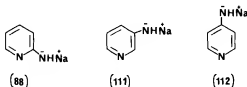
SCHEME 44

(106, 33%) and 2-amino-5-(2-phenylethyl)pyridine (107, 20%) (Scheme 41) (85JMC876). Some other examples are illustrated in Scheme 42 (78RCR1042).

Several explanations have been offered for the preference of amination in the 2-position. If aromatization of the intermediate is the rate-determining step, this behavior may be due to the fact that σ -adduct **108** loses a hydride ion more readily than **109** (Scheme 43). This argument is weakened by the lack of a kinetic isotope effect in the Chichibabin amination (65CJC725). Alternatively, the amide ion may be attracted to the 2-position by an ion-dipole interaction with the 3-substituent in the addition step. For a substrate like 3-dimethylaminopyridine (**110**), this is a very significant interaction (**113**), leading exclusively to the 2-amino product (Scheme 44) (73CHE1119).

Pyridine rings containing electron-donating substituents are deactivated toward the Chichibabin reaction relative to pyridine. Pozharskii *et al.* have studied the amination of the three isomeric aminopyridines. Treatment of an aminopyridine results in evolution of ammonia and formation of the sodium salt of the heterocycle. The sodium salt of 2-aminopyridine (**88**) was readily aminated at 160–180°C, forming 2,6-diaminopyridine (**65**) in 70–80% yield.

Attempts to aminate the sodium salts of 3- and 4-aminopyridine (**111** and **112**) led to resin formation. Quantum mechanical calculations have been useful to explain the disparity in reactivity of the aminopyridines. Position 6 of **88** has a considerable positive charge; however, the 2- and 6-positions of **111** and **112** have acquired excess negative charge, making them unsuitable substrates for amination.

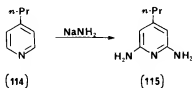


Pozharskii continued the investigation with dialkylaminopyridines (73CHE1119). Amination of 2-dimethylaminopyridine resulted in formation of 2,6-diaminopyridine (**65**). No significant amount of 2-amino-6-dimethylaminopyridine was found, suggesting that the dimethylamino moiety is displaced prior to amination. It is interesting to find that 4-dimethylaminopyridine was aminated to 2-amino-4-dimethylaminopyridine since it represents

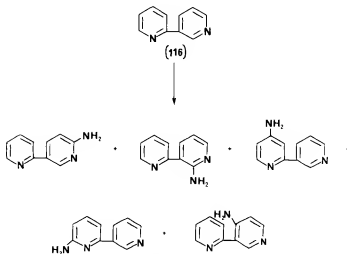
the most basic compound (pK_a 9.37) known to undergo the Chichibabin reaction. Conducting the reaction under pressure resulted in displacement of the dimethylamino group (see Section IV,C). An attempt to aminate 4-diethylaminopyridine (pK_a 9.62), a compound even more basic than 4-dimethylaminopyridine, resulted in dealkylation, giving 4-ethylaminopyridine in 72% yield.

Amination of **110** takes place exclusively in the 2-position, despite steric crowding and lower electron density in the 6-position. This may be due to coordination of the tightly bound sodium amide with the dimethylamino group (Scheme 44). The geometry of the coordination complex (**113**) makes addition of the amide ion at C-2 attractive.

Conditions needed to generate a diamino derivative are typically harsher than those required for monoamination. A Japanese patent cites the preparation of 2,6-diamino-4-propylpyridine (**115**) from 4-propylpyridine (**114**) with excess sodium amide in Tetralin at 145–195°C (Scheme 45) (80JAP(K)76861).



SCHEME 45



SCHEME 46

Other pyridine derivatives have been aminated with alkali metal amides. Treatment of 2,3'-bipyridine (**116**) with sodium amide afforded the isomers shown in Scheme 46 (77MI1). In a similar fashion, 2,2'-bipyridine gave 6,6'-diamino-2,2'-bipyridine, 3,3'-bipyridine yielded 6-amino-3,3'-bipyridine, and 4,4'-bipyridine afforded 2,2'-diamino-4,4'-bipyridine upon treatment with sodium amide (78RCR1042). The amination of 2-chloro-5-nitropyridine gave the Chichibabin products 2-amino-6-chloro-3-nitropyridine and 4-amino-2-chloro-5-nitropyridine in low yields (<3% of each) on treatment with potassium amide in liquid ammonia. The main product was 2-amino-5-nitropyridine, obtained primarily by the S_N (ANRORC) mechanism (85JOC484).

The effect of a halogen atom on the ring of 4-dimethylaminopyridine has been described. Amination of 3-bromo-4-dimethylaminopyridine with potassium amide under homogeneous conditions in liquid ammonia and without an oxidant afforded a 10% yield of 2-amino-5-bromo-4-dimethylaminopyridine and 3-amino-4-dimethylaminopyridine (32%) (87H2905).

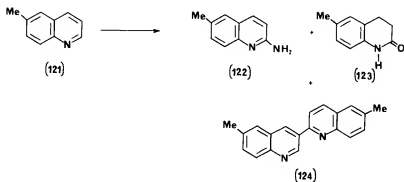
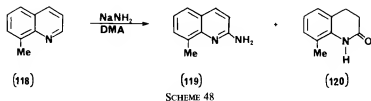
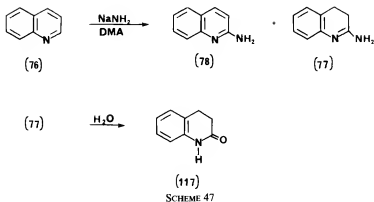
B. QUINOLINES AND ISOQUINOLINES

Early work on the amination of quinoline and substituted quinolines showed that the parent compound gave only a 32% yield of 2-aminoquinoline (**78**) in aromatic hydrocarbons (20MI1). Many derivatives, including quinoline carboxylic acids and amides, formed amino products more readily. However, amino- and hydroxyquinolines do not participate in the Chichibabin reaction (78RCR1042).

An unusual reaction occurred from quinoline and sodium amide in DMA. A small amount of the expected 2-amino product (**78**, 7%) was obtained along with 2-amino-3,4-dihydroquinoline (**77**, 24%). The latter compound was easily hydrolyzed to 3,4-dihydrocarbostyrl (**117**) (Scheme 47) (65JHC330; 68CPB1696).

Some methylquinolines have also been observed to undergo, at least to a small extent, this abnormal reaction. In the case of 8-methylquinoline (**118**), the normal product, 2-amino-8-methylquinoline (**119**), was obtained in 33% yield and a very small amount of the abnormal product, 2-amino-3,4-dihydro-8-methylquinoline, isolated as its hydrolyzed product, 3,4-dihydro-8-methylcarbostyrl (**120**), was obtained in 1% yield (Scheme 48) (67CPB1910).

In a similar manner, 7-methylquinoline was treated with sodium amide to give 2-amino-3,4-dihydro-7-methylquinoline, isolated as 3,4-dihydro-7-methylcarbostyrl (4%). Attempts to isolate the normal product, 2-amino-7-methylquinoline, failed (68CPB367). Continuing the investigation,

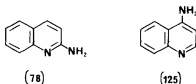


5-methylquinoline, with sodium amide in DMA, gave a low yield of 3,4-dihydro-5-methylcarbostyryl, and 6-methylquinoline (121) gave a mixture of 2-amino-6-methylquinoline (122), 3,4-dihydro-6-methylcarbostyryl (123), and a dimer of the starting methylquinoline (124) (Scheme 49) (68YZ453).

Quinoline easily forms σ -adducts **22** and **23** in liquid ammonia containing excess sodium or potassium amide (Section II,A,3). The σ -adducts are time

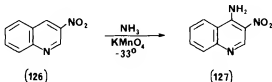
and temperature dependent (the C-2 adduct is kinetically controlled and the C-4 adduct is thermodynamically controlled). The conversion of **22** to **23** is irreversible. No σ -adduct was formed in the absence of amide ion (73JOC1947).

van der Plas and co-workers investigated the amination of quinoline in a liquid ammonia/potassium amide/potassium permanganate system. At -65°C , 50–55% of **78** was isolated, with none of the isomeric 4-aminoquinoline (**125**). At 15°C , **125** was formed in 60–65% yield with only 6–7% of **78**.

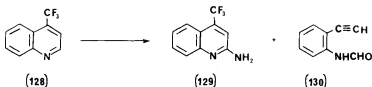


For quinoline derivatives substituted with electron-withdrawing groups, such as 3-nitroquinoline (**126**), the amide ion is not necessary for σ -adduct formation. Liquid ammonia is a sufficiently strong nucleophile to attack the highly electron-deficient heterocycle (Scheme 50). The attack occurred at C-4 and was not dependent on temperature in the range of -45 to $+20^{\circ}\text{C}$. Addition of potassium permanganate gave a 65% yield of 4-amino-3-nitroquinoline (**127**) (85JHC353). It is noteworthy that 4-nitroquinoline in liquid ammonia, with potassium permanganate, gave only 3-amino-4-nitroquinoline (86%). This is the first example of an amino group being introduced meta to the ring nitrogen. Attempts to aminate 4-nitroquinoline *N*-oxide in liquid ammonia and potassium permanganate failed.

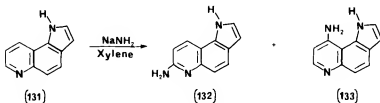
The behavior of some trifluoromethylquinolines with sodium amide in liquid ammonia was investigated (70TL3901; 72CPB1047). The behavior of the trifluoro group depends on the site of substitution. Only 4-trifluoromethylquinoline (**128**) gave a small yield (4%) of a normal Chichibabin product, 2-amino-4-trifluoromethylquinoline (**129**), and 6% of a ring-opened product, *o*-formylaminophenylethyne (**130**) (Scheme 51). The reaction of 3-trifluoromethylquinoline gave a 3% yield of 4-amino-3-cyanoquinoline and 20% of 3-cyanoquinoline, while from 2-trifluoromethylquinoline, only 2-aminoquinoline was obtained in 69% yield.



SCHEME 50

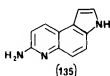


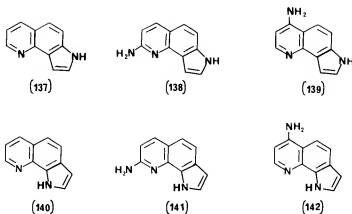
SCHEME 51



SCHEME 52

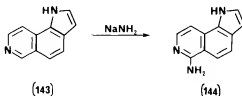
The amination of pyrroloquinolines is interesting because of the orientation of the amino groups. Isomeric pyrroloquinolines were successfully aminated in xylene with a threefold molar excess of sodium amide; amination was unsuccessful in liquid ammonia (81JOU1371). The amino group was introduced, not only into the α -position of the pyridine ring, but also into the γ -position in significant quantities. This situation is contrary to the behavior of quinoline, in which under heterogeneous conditions with sodium amide in an aprotic solvent, only a trace of 4-aminoquinoline was obtained (71MI1). Thus, 1*H*-pyrrolo[2,3-*f*]quinoline (131) gave 26% 7-amino-1*H*-pyrrolo[2,3-*f*]quinoline (132) and 37% 9-amino-1*H*-pyrrolo[2,3-*f*]quinoline (133) (Scheme 52). In like manner, 3*H*-pyrrolo[3,2-*f*]pyrroloquinoline (134) gave 32% 7-amino-3*H*-pyrrolo[3,2-*f*]pyrroloquinoline (135) and 33% 9-amino-3*H*-pyrrolo[3,2-*f*]pyrroloquinoline (136); 3*H*-pyrrolo[2,3-*h*]quinoline (137) gave 39% 8-amino-3*H*-pyrrolo[2,3-*h*]quinoline (138) and 31% 6-amino-3*H*-pyrrolo[2,3-*h*]quinoline (139); and 1*H*-pyrrolo[3,2-*h*]quinoline (140) gave 43% 8-amino-1*H*-pyrrolo[3,2-*h*]quinoline (141) and 24% 6-amino-1*H*-pyrrolo[3,2-*h*]quinoline (142) (81JOU1371).



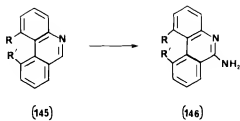


The amination of 1*H*-pyrrolo[2,3-*f*]isoquinoline (**143**) with excess sodium amide afforded 6-amino-1*H*-pyrrolo[2,3-*f*]isoquinoline (**144**) (Scheme 53) (84CHE399). The yield in xylene was 55% and in DMA it was 90%. The formation of **144** in liquid ammonia was observed by chromatography.

Of the benzoquinolines, phenanthridine (**14**) was readily aminated in xylene or DMA to give 6-aminophenanthridine (**15**) (78RCR1042). As previously mentioned (Section II.A.2), **14** acted as a hydride acceptor in tetrahydrofuran giving, in addition to **15**, 5,6-dihydrophenanthridine (**16**). Some derivatives of phenanthridine were aminated in xylene with sodium amide by Keene and Tissington (65JCS3032). They aminated 1-methylphenanthridine (**145A**) to give 6-amino-1-methylphenanthridine (**146A**) in 48% yield. Another isomer, 10-methylphenanthridine (**145B**) gave 6-amino-10-methylphenanthridine (**146B**) in 52% yield, and 1,10-dimethylphenanthridine (**145C**) afforded 6-amino-1,10-dimethylphenanthridine (**146C**) in 53% yield (Scheme 54). Of the benzophenanthridines, 1,2-benzophenanthridine (**147**) gave the 6-amino derivative (**148**) in 50% yield, and 9,10-benzophenanthridine (**149**) was aminated to give the 6-amino derivative (**150**) in 42% yield (Scheme 55). Benzo[*f*]quinoline (**7**) and benzo[*h*]quinoline (**50**) were aminated in high yields (Scheme 56) (71CHE759).

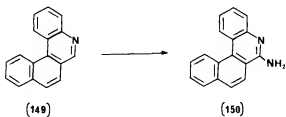
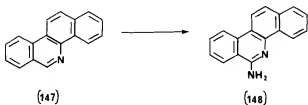


SCHEME 53

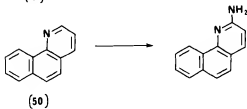
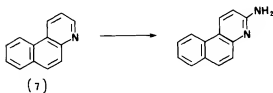


A: R = Me ; R' = H
B: R = H ; R' = Me
C: R = R' = Me

SCHEME 54



SCHEME 55

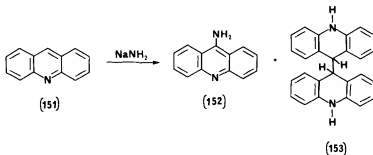


SCHEME 56

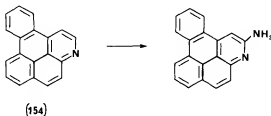
Acridine (**151**) presents a situation where only para amination can take place, because both α -positions are blocked. Bergstrom reported the preparation of 9-aminoacridine (**152**) in liquid ammonia with potassium amide but no yield was given (33CRV43). The amination in DMA at 150°C with sodium amide was described by Bauer to give 72% **152** (50CB10). However, this work was repeated by Pozharskii and Konstantinchenko to give only a 31% yield of **152**, and an even lesser yield was obtained in xylene (72CHE1518). The Soviet workers showed that at higher temperatures, diacridanyl (**153**) became the predominant product (Scheme 57).

The only other information in the literature on the amination of heterocyclic systems that contain more than two condensed benzene rings is the successful amination of phenanthreno[9,10,11-*d,e,f*]quinoline (**154**) in DMA with sodium amide at 150–155°C. The reported yield was 88% (Scheme 58) (79CHE218).

Isoquinoline (**5**) was easily aminated to give exclusively 1-aminoisoquinoline (20M12). The amination of **5** proceeded easily in DMA to give a quantitative yield of 1-aminoisoquinoline (78RCR1042; 84M13). In liquid ammonia, the yield was 87% and in toluene it was 38% (78RCR1042). The σ -adduct in liquid ammonia has been studied by spectroscopic methods



SCHEME 57



SCHEME 58

(Section II.A.3) (73JOC1947). Isoquinoline-4-carboxylic acid, 5,6,7,8-tetrahydroisoquinoline, and 7-methoxyisoquinoline have also been successfully aminated (78RCR1042).

The halogens F, Cl, Br, and I were substituted in the 4-position of isoquinoline and treated with potassium amide in liquid ammonia at -33°C (74RTC273). Yields of the normal Chichibabin product, 1-amino-4-halogenoisoquinoline, were found for all of the halogens, but the yield decreased drastically with decreasing strength of the carbon-halogen bond ($\text{F} > \text{Cl} > \text{Br} > \text{I}$). The yield of 1-amino-4-fluoroisoquinoline was 93%, which decreased to 86, 13, and 11% for 1-amino-4-chloro-, 1-amino-4-bromo-, and 1-amino-4-iodoisoquinoline, respectively. As the yield of the 1-amino derivative decreased, the yield of by-products involving cleavage of the carbon-halogen bond increased.

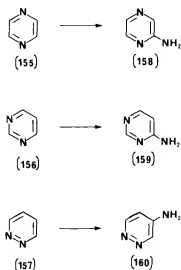
C. PYRAZINES, PYRIMIDINES, AND PYRIDAZINES

Direct evidence for the existence of anionic σ -adducts for pyrazine, pyrimidine, and pyridazine (155–157) was first presented by Zoltewicz and Helmick (72JA682). They obtained ^1H -NMR spectra of the adducts formed by mixing the diazine in liquid ammonia with sodium or potassium amide. Upfield shifts (2.2–4.5 ppm) were typical indicators of adduct formation. In an excess of diazine, both free and complexed diazine were evident in the spectrum, while in an excess of amide, the spectrum did not indicate the presence of free diazine.

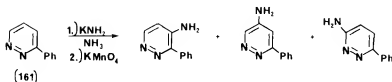
Pyrazine gave a spectrum consistent with 2-amino-1,2-dihydropyrazinide (18). Pyrimidine may form adducts in three positions, but the major adduct observed was 4-amino-1, (or 3), 4-dihydropyrimidinide (19). Two σ -adducts are possible for pyridazine, but the structure found was 4-amino-1,4-dihydropyridazinide (20). At -70°C , the σ -adducts were stable for days.

The anionic σ -adducts were rapidly formed in liquid ammonia as shown by spectroscopic methods. The elimination of hydride is frequently facilitated by the addition of an oxidant. When the diazine was dissolved in a mixture of liquid ammonia and potassium amide, the addition of potassium permanganate resulted in oxidation to an aminoheterocycle. Treating 155, 156, and 157 in this way led to the corresponding aminoheterocycles 158–160 in good yield (Scheme 59). This is a general oxidation method which has been applied to other substituted diazines (82JHC1285). Amination of 3-phenylpyridazine (161) gave a mixture of all three possible amino derivatives (Scheme 60).

Work has also been done on the amination of alkoxy pyridazines. Treatment of 3,6-dimethoxy pyridazine (162) and 3-methoxy pyridazine (163) with potassium amide in liquid ammonia, followed by the addition of potas-



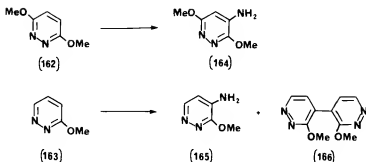
SCHEME 59



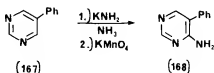
SCHEME 60

sium permanganate, afforded 4-amino-3,6-dimethoxypyridazine (164) and 4-amino-3-methoxypyridazine (165), respectively (86JHC621). The latter reaction gave 3,3'-dimethoxy-4,4'-bipyridazine (166) as a side product (Scheme 61).

Substituted pyrimidines undergo amination when treated with potassium amide in liquid ammonia and oxidized with potassium permanganate. For example, 5-phenylpyrimidine (167) afforded 4-amino-5-phenylpyrimidine (168) in 70% yield (Scheme 62) (86MI2). Alkylpyrimidines, substituted at the 2-position with methyl, ethyl, or isopropyl groups, under the same conditions as 167, have been observed to aminate at the 4-position, despite the fact that the first step is deprotonation causing formation of anions which are strongly deactivated for further nucleophilic attack. The yield of 4-amino-2-methylpyrimidine was 10%. As the acidity of the hydrogen on the



SCHEME 61

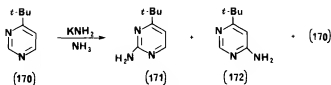


SCHEME 62

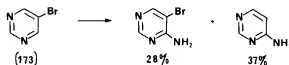
α -carbon atom decreased, the yields of 4-amino-2-ethyl- and 4-amino-2-isopropylpyrimidine increased to 30 and 45%, respectively. In the case of 2-*tert*-butylpyrimidine, where no deprotonation occurs, the yield of aminated product was 60% (87JHC1377).

From the fine work of van der Plas and co-workers, the S_N (ANRORC) mechanism has been verified to operate in the Chichibabin amination of 4-phenylpyrimidine (**29**) in liquid ammonia (see Section II,B,2) (83RTC367). The situation is entirely different when **29** was aminated in *m*-xylene. The σ -adduct was less stable in *m*-xylene than in liquid ammonia due to poor solvation by the hydrocarbon solvent. In this case, adduct formation becomes the rate-determining step. Higher activation energy is required for adduct formation, thus the amination requires higher temperature. Treating **29** with potassium amide in *m*-xylene at 90°C yielded 55% **32** and 35% **33**. Using potassium [¹⁵N]amide, most of the nitrogen label was found in the exocyclic amino groups of **32** and **33**. This provides evidence that addition-elimination [S_N (AE)] is the principal mechanism in operation. Prolonged heating of **29** at higher temperatures afforded 2,6-diamino-4-phenylpyrimidine (**169**).





SCHEME 63



SCHEME 64

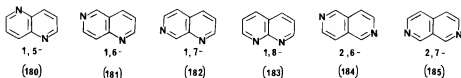
The variation of results for the amination of **29** in liquid ammonia and in *m*-xylene is attributed to adduct formation. In the hydrocarbon, once the nucleophilic attack on C-2 and C-6 occurs (the rate-determining step), the elimination step takes place immediately, making isomerization virtually impossible.

To determine if the electron-withdrawing phenyl group is necessary for the operation of the $S_N(\text{ANRORC})$ mechanism, an electron-donating group, *tert*-butyl, was substituted for the phenyl group (83RTC367). When the reaction of 4-*tert*-butylpyrimidine (**170**) was conducted in liquid ammonia containing potassium amide, followed by quenching with ammonium chloride, 25% 2-amino-4-*tert*-butylpyrimidine (**171**), 30% 6-amino-4-*tert*-butylpyrimidine (**172**), and 45% **170** were obtained (Scheme 63). Labeling experiments proved that only a very small portion of the ^{15}N was incorporated into the ring, indicating ring opening was a minor pathway. These experimental data provide evidence that the 4-phenyl substituent is a requirement for ring opening.

For halogenated pyrimidines, dehydrohalogenation competes with elimination of the hydride ion, as shown for 5-bromopyrimidine (**173**) in Scheme 64 (82JHC1285). Other examples are the amination of 4-*tert*-butyl-5-chloropyrimidine (**174**) and 2,4-di-*tert*-butyl-5-chloropyrimidine (**175**) with potassium amide in liquid ammonia (78RTC288). Some cine-substitution products (**176** and **177**) were also obtained (Scheme 65). From isotopic labeling studies, it was determined that the $S_N(\text{ANRORC})$ mechanism was not involved.

Pyrido[2,3-*d*]pyridazine (**24**) has been aminated in toluene with sodium amide at 60–70°C, giving a 52% yield of 2-aminopyrido[2,3-*d*]pyridazine (**25**)

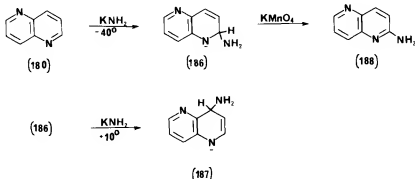
NAPHTHYRIDINES



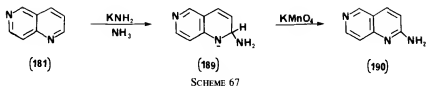
leaving no trace of **180** (78JOC1673; 81JOC2134). When the temperature was allowed to rise to $+10^{\circ}\text{C}$, isomerization occurred to give 4-aminodihydro-1,5-naphthyridinide (**187**) (Scheme 66). The addition of potassium permanganate to a solution of **180** in liquid ammonia with potassium amide at -35 to -40°C gave a 36% yield of 2-amino-1,5-naphthyridine (**188**). However, if the mixture was warmed to $+10^{\circ}\text{C}$ before treatment with potassium permanganate, only traces of **188** and 4-amino-1,5-naphthyridine were obtained. Brown and Plaszc have obtained a significant yield of the 4-amino isomer by allowing a mixture of **180**, potassium amide, liquid ammonia, and potassium nitrate to stand in a sealed tube for 8 days at room temperature (70JHC593).

NMR spectroscopy has been used to illustrate that 1,6-naphthyridine (**181**) formed 2-aminodihydro-1,6-naphthyridinide (**189**) with potassium amide at -40°C , and this adduct remained stable at $+10^{\circ}\text{C}$ (78JOC1673; 81JOC2134). Addition of potassium permanganate to the mixture oxidized **189** to 2-amino-1,6-naphthyridine (**190**) in 40% yield (Scheme 67).

Upon treatment of 1,7-naphthyridine (**182**) with potassium amide in liquid ammonia at low temperature, it was shown by NMR spectroscopy that the C-2 (**191**) and C-8 (**192**) anionic adducts were formed (78JOC1673; 80WCH263; 81JOC2134). Warming to $+10^{\circ}\text{C}$ converted the mixture exclusively to **192**. At low temperature without an oxidant, a low yield (8% each)

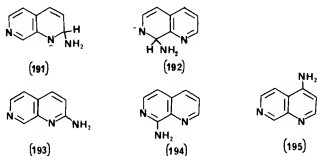


SCHEME 66



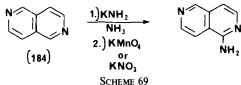
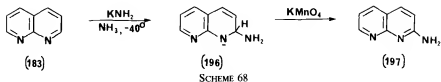
SCHEME 67

of 2-amino-1,7-naphthyridine (**193**) and 8-amino-1,7-naphthyridine (**194**) was obtained (82H363). Addition of potassium permanganate at -40°C afforded 26% **193** and 19% **194**, along with 10% 4-amino-1,7-naphthyridine (**195**), even though the anionic σ -adduct of **195** was not observed spectroscopically. Conducting the amination of **182** at room temperature in liquid ammonia with potassium nitrate as oxidant afforded a 56% yield of **194** (68JOC1384).

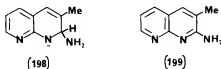


The amination of 1,8-naphthyridine (**183**) with potassium amide in liquid ammonia gave, according to NMR spectroscopy, the C-2 adduct (**196**) at -40°C and at $+10^{\circ}\text{C}$, indicating that this is both the kinetically and thermodynamically controlled product (81JOC2134). At -40°C , oxidation with potassium permanganate afforded a 10% yield of 2-amino-1,8-naphthyridine (**197**) (Scheme 68). The yield of **197** can be increased at higher temperature. Thus, at room temperature with potassium nitrate oxidant, **197** was obtained in 30% yield (68JOC1384), and the yield increased to 78% at 50°C (74Y GK602; 75YZ1492).

For 2,6-naphthyridine (**184**) and 2,7-naphthyridine (**185**), the C-1 σ -adducts are both kinetically and thermodynamically stable (70JHC419; 81JHC1349). At room temperature, **184** was aminated with potassium amide and potassium nitrate in liquid ammonia in 54% yield, but the yield was only 18% from low-temperature amination with potassium amide and potassium permanganate in liquid ammonia (Scheme 69). Similarly, permanganate oxidation afforded only 8% 1-amino-2,7-naphthyridine (81JHC1349).



By ^1H - and ^{13}C -NMR spectroscopy, no σ -adducts were observed upon treatment of 2-methyl- and 4-methyl-1,8-naphthyridine with potassium amide in liquid ammonia. Instead, deprotonation of the methyl groups occurred (78JOC1673). The 3-methyl-1,8-naphthyridine isomer, however, showed a σ -adduct at C-2, 2-aminodihydro-3-methyl-1,8-naphthyridinide (198). Upon treatment with an oxidant, this should give the expected Chichibabin product, 2-amino-3-methyl-1,8-naphthyridine (199).



Halogen derivatives of naphthyridines have also been found to undergo the Chichibabin reaction. When 5,8-dichloro-1,7-naphthyridine (200) was added to liquid ammonia containing potassium amide and followed with potassium permanganate, a 52% yield of 2-amino-5,8-dichloro-1,7-naphthyridine (201) was obtained (Scheme 70). Similarly, for the 5,8-dibromo derivative, the yield was 33% (83RTC359).

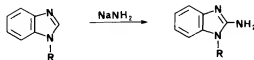
Amination of 5-bromo-1,7-naphthyridine with potassium amide in liquid ammonia gave a small yield of a normal Chichibabin product, 8-amino-5-bromo-1,7-naphthyridine, along with tele-aminated products 8-amino- and 2-amino-1,7-naphthyridine. 5-Chloro-1,7-naphthyridine (202) gave a low yield of 8-amino-5-chloro-1,7-naphthyridine (203) and other unidentified products (Scheme 71) (78JHC731).



SCHEME 70



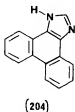
SCHEME 71



SCHEME 72

E. IMIDAZOLES

The Chichibabin reaction with imidazoles has been the subject of extensive study in the U.S.S.R. (82CHE1221). Criteria for successful amination require that the imidazole ring be condensed with an aromatic system at the 4- and 5-positions, and that the pyrrole nitrogen be substituted (Scheme 72) (73CHE88). Another requirement is that the heterocycle must have a pK_a of at least 4.3 for heterogeneous aminations. The parent compound, imidazole, substituted in the 1-position, does not undergo the Chichibabin reaction. Even substituted imidazoles such as phenanthro[9,10-*d*] imidazole (204) do not aminate (73CHE88).



Benzimidazole derivatives are influenced by the type and position of substituents on the molecule. Most 1-substituted benzimidazoles aminate readily (Table VI).

The steric effect of 1-alkylbenzimidazoles has been studied and found to be of only small significance to nucleophilic substitution in the 2-position. Alkyl

TABLE VI
AMINATION OF 1-SUBSTITUTED
BENZIMIDAZOLES



R	% Yield	Reference ^a
CH ₃	80	a
C ₂ H ₅	85	a
<i>n</i> -C ₃ H ₇	50	a
<i>i</i> -C ₃ H ₇	64	b
<i>n</i> -C ₄ H ₉	55	a
<i>tert</i> -C ₄ H ₉	21	b
Cyclohexyl	70	c
(C ₂ H ₅) ₂ NCH ₂ CH ₂	50	a
Morpholinoethyl	40	d
Piperidinoethyl	50	d
<i>n</i> -C ₆ H ₁₃	70	b
<i>n</i> -C ₁₁ H ₂₃	78	b
Phenyl	68 ^b	c
PhCH ₂	67	a
<i>p</i> -CH ₃ Ph	47	a
<i>o</i> -CH ₃ OPh	82	e
<i>p</i> -CH ₃ OPh	74	e
<i>p</i> -HOPhCH ₂	29	f
<i>p</i> -CH ₃ OPhCH ₂	61	f
<i>p</i> -(CH ₃) ₂ NPh	71	e
<i>p</i> -CH ₃ PhCH ₂	72	g
Ph(CH ₃)CH	34	a
2,5-(CH ₃) ₂ PhCH ₂	61	g
<i>p</i> -(<i>i</i> -C ₃ H ₇)PhCH ₂	63	a
α -Naphthylmethyl	15	a
(Ph) ₂ CH	54	g

^a References: a, 78RCR1042; b, 71CHE624; c, 63JOU2289; d, 69CHE645; e, 70CHE987; f, 71CHE1036; g, 72CHE731.

^b Isolated as picrate.

groups like isopropyl, cyclohexyl, and α -phenylethyl interfere only slightly in the Chichibabin reaction. This is explained by the fact that these groups have one conformation that alleviates the problem of steric hindrance. These compounds may require, however, prolonged heating and a large excess of sodium amide for maximum yields. For example, 2-amino-1-isopropylbenzimidazole was obtained in 39% yield with 25% excess sodium amide. The yield was increased to 64% by using 10 times more amide (71CHE624). The successful amination of 1-benzhydrylbenzimidazole (**205**) has been described. However, 1-tritylbenzimidazole did not aminate in DMA (72CHE731).

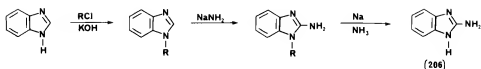


The amination of 1-*tert*-butylbenzimidazole, in which a conformation that diminishes steric crowding cannot be assumed, proceeded to give yields approaching only 21%. The poor yield, despite using a large excess of sodium amide, was attributed to steric hindrance. In addition to steric effects, the electron-donor effect of the *tert*-butyl group could also contribute to the difficulty of amination. However, this effect was considered negligible because other substrates with comparable pK_a values (6.1), such as 5-dimethylamino-1-methylbenzimidazole, aminate readily (70CHE987; 71CHE624).

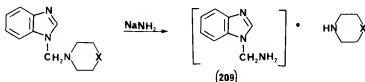
The relative ease of amination, as determined by measurements of the dependence of the volume of evolved gas on time during amination, for a series of 1-alkyl and 1-aralkylbenzimidazoles was found to be in the following order of decreasing rates: methyl > ethyl, benzyl > isopropyl, diphenylmethyl > *n*-nonyl > *t*-butyl (77CHE903). Some substrates, such as 1-nonylbenzimidazole, showed a decreasing reaction rate with time. This may be due to a buildup of sodium salt of 1-nonyl-2-aminobenzimidazole which precipitates from solution, coating the surface of the sodium amide and thus hindering the coordination step that precedes amination.

For the preparation of 2-aminobenzimidazole (**206**), it is first necessary to substitute the proton in the 1-position with a protecting group. Such groups can be benzyl, alkyl-substituted benzyis, or benzhydryl, which derivatives aminate with sodium amide in DMA. The nitrogen-carbon bond can then be cleaved by sodium in liquid ammonia to give **206** (Scheme 73) (72CHE731).

The amination of benzimidazoles containing heteroatoms in the 1-alkyl side chain has been studied. Often, side reactions occur within the side chain fragment of the substrate. Of the compounds studied with a nitrogen atom

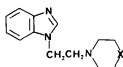


SCHEME 73

X = CH₂, O

SCHEME 74

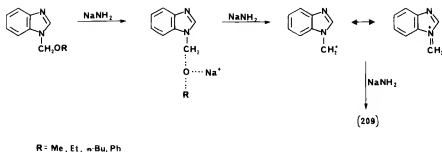
in the side chain, only 1-β-piperidinoethylbenzimidazole (**207**) and 1-β-morpholinoethylbenzimidazole (**208**) gave normal Chichibabin products (69CHE645). The removal of one acyclic methylene group from the above

X = CH₂ (**207**)X = O (**208**)

compounds resulted in cleavage of the side chain, rather than amination, to give 1-aminomethylbenzimidazole (**209**), which is unstable and slowly decomposes at ambient temperature (Scheme 74) (67CHE703). The side chain was also cleaved when 1-diethylaminomethylbenzimidazole (**210**) was treated with sodium amide to give benzimidazole.

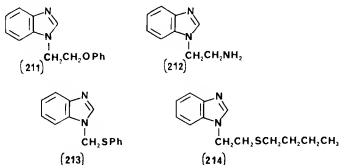


(210)



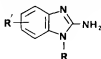
SCHEME 75

Benzimidazole derivatives having the ROCH₂ moiety in the 1-position lead to carbon-oxygen bond scission when treated with sodium amide in xylene or DMA (Scheme 75) (67CHE703). Cleavage was also observed with 1- β -phenoxyethylbenzimidazole (**211**) giving phenol and 1- β -aminoethylbenzimidazole (**212**). Similarly, cleavage of the carbon-sulfur bond in 1-phenylmercaptomethylbenzimidazole (**213**) led to **209** and thiophenol along with other unknown compounds. Apparently amination did take place with 1-butylothioethylbenzimidazole (**214**) as hydrogen evolution was observed and no mercaptan was observed. However, a pure compound could not be isolated (69CHE645).



A phenyl group in position 1 of benzimidazole causes some ring opening during the Chichibabin reaction. Yields of 57–68% of 2-amino-1-phenylbenzimidazole have been reported along with 4–5% of *o*-aminodiphenylamine. The reaction was performed in xylene. In DMA only about 3% of product was isolated. The phenyl group is believed to withdraw electrons from the imidazole ring and thereby inhibit aromatization of the σ -adduct. This interference leads to opening of the imidazole ring (63JOU2289; 69CHE645). As would be expected from the above, electron-donating substituents in the phenyl moiety facilitate amination (70CHE987).

TABLE VII
AMINATION OF BENZIMIDAZOLE
DERIVATIVES CONTAINING R'
ELECTRON-DONOR GROUPS^a



R'	R	% Yield
4-CH ₃ O	CH ₃	57
5-CH ₃ O	C ₆ H ₅ CH ₂	85
6-CH ₃ O	C ₂ H ₅	53
7-CH ₃ O	C ₆ H ₅ CH ₂	64
5-NH ₂	CH ₃	69 ^b
5-N(CH ₃) ₂	CH ₃	83
5-N(CH ₃) ₂	C ₂ H ₅	75

^a From Ref. 70CHE987.

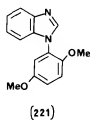
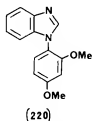
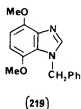
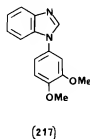
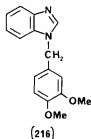
^b Isolated as the hydrochloride.

The influence on amination of electron-donating groups like methoxy, dimethylamino, hydroxy, amino, and methyl in various positions of benzimidazole derivatives has been studied (70CHE987). All of the 1-substituted benzimidazoles containing one of the above groups have pK_a values sufficiently high to allow amination to occur (Table VII). Therefore, other factors, such as electron density at C-2, control the course of the reaction. For substituents with acidic protons, like hydroxy and amino, the first step of the reaction involves deprotonation. The resulting anions react much less readily in the Chichibabin reaction, but amination will take place under more severe conditions (temperatures around 200°C, paraffin oil solvent). With the 5-hydroxy derivative, no 2-amino-1-substituted benzimidazole was isolated, perhaps due to oxidation (71MI1). The Chichibabin reaction was assumed to have taken place from the amount of hydrogen evolved (70% of theory).

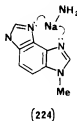
A rate study of benzimidazoles with weak electron-donating groups showed that the rate of the Chichibabin amination decreased as the strength of the electron-donating character increased (i.e., 1,5-dimethyl > 5-methoxy-1-methyl > 1,5,6-trimethylbenzimidazole) (77CHE903). Because the substrates do not contain sterically hindered substituents, the rate decrease is a function of the increased electron density in the system, particularly the decreased effective positive charge at the 2-position.

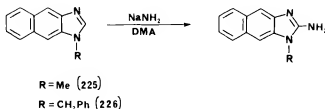
Benzimidazole derivatives with alkoxy groups on adjacent carbon atoms are subject to the "o-dialkoxy effect (ODE)" (Section III.E.1). The ODE is

independent of the site at which the *o*-dimethoxy groups are present. To illustrate, 5,6-dimethoxy-1-methylbenzimidazole (**215**), 1-(3,4-dimethoxybenzyl)benzimidazole (**216**), and 1-(3,4-dimethoxyphenyl)benzimidazole (**217**) do not undergo the Chichibabin reaction. Another compound included in this effect is 1-methyl-5,6-methylenedioxybenzimidazole (**218**). On the other hand, benzimidazole derivatives with dimethoxy groups not in ortho positions readily aminate. Thus, 4,7-dimethoxy-1-benzylbenzimidazole (**219**), 1-(2,4-dimethoxyphenyl)benzimidazole (**220**), and 1-(2,5-dimethoxyphenyl)benzimidazole (**221**) give 2-amino derivatives in 60–65% yields (71CHE1036).



A situation apparently analogous to the ODE is the inability of benzo[1,2-*d*:3,4-*d'*]diimidazole derivatives to participate in the Chichibabin reaction. On the basis of orbital (MO) calculations and pK_a values, 3,6-dimethyl- (**222**)



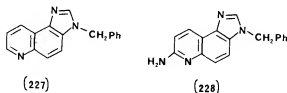


SCHEME 76

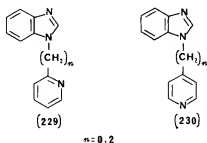
and 3,6,7-trimethylbenzo[1,2-*d*:3,4-*d'*]diimidazole (**223**) should be expected to undergo nucleophilic attack at the 2 and 7 positions of **222** and the 2 position of **223**. The failure of these compounds may be associated with sorption on sodium amide surface under heterogeneous conditions to form the complex **224**, which would make subsequent addition to active sites impossible due to geometrical constraints (71CHE1064).

The Chichibabin amination of naphtho[2,3-*d*]imidazole derivatives has been described (Scheme 76) (70CHE1075). The yield for **225** was 52% and that for **226** was 57%.

The activity toward sodium amide of heterocyclic compounds containing more than one nonequivalent nitrogen atom of the pyridine type presents an interesting situation. In the case of 3-benzylimidazo[4,5-*f*]quinoline (**227**), there are two possible centers susceptible to nucleophilic attack: the 2 and 7 positions. It was demonstrated that sodium amide in DMA attacked position 7 to give **228**. This result shows that the direction of the amide attack is at the C atom next to the more basic nitrogen and is independent of the magnitude of the positive charge or the energy of anionic localization on C-2 and C-7 atoms in the neutral molecule (71CHE759).



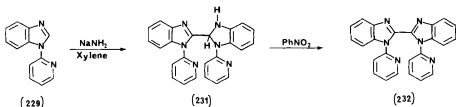
Since pyridine and benzimidazole derivatives both enter readily into the Chichibabin reaction, a study was undertaken with compounds that incorporated both types of nitrogen atoms into the same structure (72CHE1131). To determine the relative reactivities toward sodium amide, 1-pyridylbenzimidazoles such as **229** and **230** were synthesized. The $\text{p}K_a$ values of the parent compounds, benzimidazole and pyridine, are 5.53 and 5.21, respectively. These values are too close to predict the site of amination in the



molecule. In addition, coordination of the heterocyclic nitrogen atom with the metallic cation of the amide typically precedes amination. In the 1-pyridylbenzimidazole derivatives, there is the potential for competition for the site of heterocyclic N-cation coordination, which may interfere with the amination process. Experimentally, 1-(4-pyridyl)benzimidazole (**230**, $n = 0$) gave no reaction with sodium amide in DMA or xylene. However, 1-(2-pyridyl)benzimidazole (**229**, $n = 0$) was reacted with sodium amide in xylene to give 1,1'-di-(2-pyridyl)-2,3-dihydro-2,2'-dibenzimidazole (**231**), which was oxidized by heating with nitrobenzene to form 1,1'-di-(2-pyridyl)-2,2'-dibenzimidazole (**232**) (Scheme 77). Conducting the reaction in DMA resulted in a very low yield of **232**. Since formation of **232** occurs via metallation of the monomer followed by attack on starting material, the poor yield in DMA may be due to solvation of the amide, which decreases coordination.

1-Pyridylbenzimidazoles with a two-carbon alkyl chain separating the benzimidazole and pyridine components (**229** and **230**, $n = 2$) should not be influenced by the presence of the two rings, since they are separated by a sufficient distance. Still, treatment of either compound with sodium amide under mild conditions (xylene or DMA, 110–115°C, 2 hr) gave recovered starting material, while under harsher treatment (150–170°C, 10 hr) decomposition to benzimidazole and resinous products (probably vinylpyridine polymer) was observed (72CHE1131).

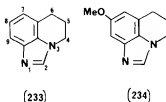
Another approach to determine the relative reactivities was attempted. In a competitive amination of pyridine and 1-methylbenzimidazole, treat-



SCHEME 77

ment with a limiting amount of sodium amide resulted in 2-amino-1-methylbenzimidazole without any evidence for 2-aminopyridine. Thus, the benzimidazole derivatives aminate more easily than the pyridines. This is assumed to be due to greater polarizability of the C=N bond of benzimidazole.

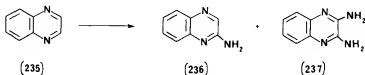
5,6-Dihydro-4*H*-imidazo[4,5,1-*i,j*]quinoline (**233**) was aminated in the 2-position with sodium amide in DMA in 47% yield (69KGS567). Similarly, methoxy derivative **234** afforded the amino product in 75% yield.



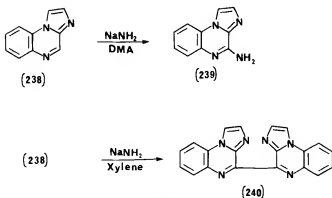
F. QUINOXALINES AND QUINAZOLINES

Quinoxaline (**235**) has been easily aminated in liquid ammonia with excess potassium amide and potassium permanganate as oxidant (82JHC1285). The ratio of monoamino to diamino products can be altered by varying the reaction time prior to addition of the oxidant (Scheme 78). When potassium permanganate was added 5–10 min after **235** was added to liquid ammonia containing potassium amide, the yield of 2-aminoquinoxaline (**236**) was 53% and 2,3-diaminoquinoxaline (**237**) was 23%. However, if the oxidant was not added until 30 min had elapsed, the yield of **236** was 4% and that of **237** was 57%.

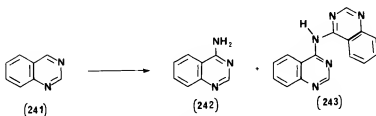
A derivative of quinoxaline, imidazo[1,2-*a*]quinoxaline (**238**), was aminated with sodium amide in DMA to give 4-aminoimidazo[1,2-*a*]quinoxaline (**239**) in 44% yield (Scheme 79). The fact that the amide ion entered the 4-position is in agreement with the HMO calculations, which show that the maximum effective positive charge is concentrated at the 4-position. Interestingly, when **238** was treated with sodium amide in xylene, 4,4'-bisimidazo[1,2-*a*]quinoxalyl (**240**) was formed in 46% yield (72CHE380).



SCHEME 78



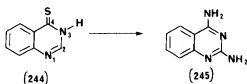
SCHEME 79



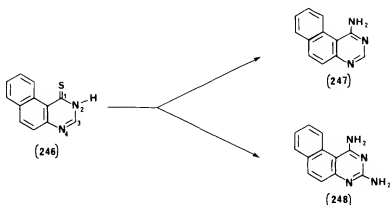
SCHEME 80

The amination of quinazoline (**241**) with sodium amide in DMA has been described to give 4-aminoquinazoline (**242**) in 40% yield (60YZ245). In the potassium amide/liquid ammonia/potassium permanganate system of van der Plas, quinazoline gave 62% **242** and 2% 4,4'-diquinazolyamine (**243**) (Scheme 80) (82JHC1285).

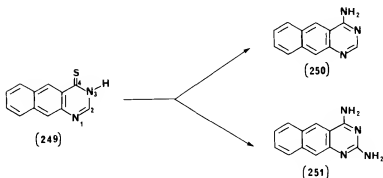
The Chichibabin reaction was investigated on derivatives of quinazoline containing strong electron-donating substituents (72JHC1449). All of the reactions studied were done in DMA with sodium amide. With quinazoline-4(3H)-thione (**244**), both hydrogen and sulfur were displaced to give 2,4-diaminoquinazoline (**245**) in 71% yield (Scheme 81).



SCHEME 81



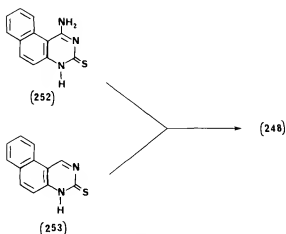
SCHEME 82



SCHEME 83

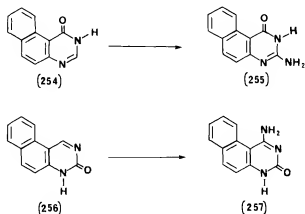
Sulfur was easily displaced in a matter of minutes from benzo[*f*]quinazoline-1(2*H*)-thione (**(246)**) at 100°C to provide 1-aminobenzo[*f*]quinazoline (**(247)**). The yield was 65%. At higher temperature (120°C) and 4 hr reaction time, 1,3-diaminobenzo[*f*]quinazoline (**(248)**) was obtained in 51% yield (Scheme 82). In a similar manner, depending on conditions, 4-amino- (**(250)**) and 2,4-diaminobenzo[*g*]quinazoline (**(251)**) were obtained from benzo[*g*]quinazoline-4(3*H*)-thione (**(249)**) (Scheme 83). Compound **(250)** required a reaction time of 3 hr at 112°C (30% yield), while the conditions for **(251)** were 4.5 hr at 120°C (43% yield).

Under approximately the same conditions of 4 and 4.5 hr at 140 and 145°C, both 1-aminobenzo[*f*]quinazoline-3(4*H*)thione (**(252)**) and benzo[*f*]quinazoline-3(4*H*)-thione (**(253)**) gave **(248)** in yields of 76 and 40%, respectively (Scheme 84).



SCHEME 84

In the remaining quinazolines investigated, there were no substituent interferences. Benzo[*f*]quinazoline-1(2*H*)-one (254) afforded an excellent yield (96%) of 3-aminobenzo[*f*]quinazoline-1(2*H*)-one (255). Benzo[*f*]quinazoline-3(4*H*)-one (256) provided 1-aminobenzo[*f*]quinazoline-3(4*H*)-one (257) in 70% yield (Scheme 85). Finally, two amino-substituted quinazolines, 247 and 3-aminobenzo[*f*]quinazoline, gave 248 in yields of 93 and 65%, respectively, in the Chichibabin reaction.



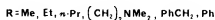
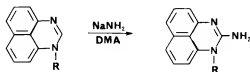
SCHEME 85

G. PERIMIDINES

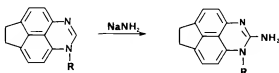
Perimidines are an interesting class of heterocyclic compounds displaying, simultaneously, properties of compounds with both an excess and deficiency of π electrons. They are also of biological interest for their action on the central nervous system (81RCR816). Like the benzimidazoles, it is necessary to protect the N—H bond by alkylation or arylation prior to treatment with sodium amide. A number of 1-substituted derivatives have been readily aminated in position 2 with sodium amide (Scheme 86) (68CHE142; 70CHE1055; 74KGS418; 76M11). Generally, good yields were obtained in DMA, with lower yields in xylene. The perimidines do not undergo amination in liquid ammonia.

Acaperimidines, substituted in the 1-position, were aminated readily in xylene (Scheme 87) (70CHE1055). On the other hand, acaperimidylens were very difficult to aminate. Thus, 1-methylperimidylene (**258**) gave only a 7% yield of 2-amino-1-methylperimidylene (**259**) when treated with sodium amide in DMA at 200°C. The difficult amination has been attributed to a low pK_a of about 4, and perhaps also to the stability of the σ -adduct (Scheme 88) (85CHE310).

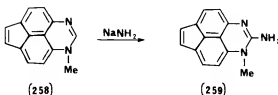
An interesting difference exists between the 1-methoxymethyl derivatives of benzimidazole and perimidine (70CHE1055). Only the latter compound underwent amination, requiring harsher conditions (160°C, DMA) than usual.



SCHEME 86



SCHEME 87



SCHEME 88

Though both substrates have considerable positive charge character at the C-2 site, the pK_a values are significantly different (4.17 and 4.91 for the benzimidazole and perimidine derivatives, respectively), perhaps accounting for the difference in reactivity.

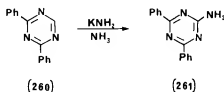
H. TRIAZINES

Substituted triazines have been subjected to amination with potassium amide in liquid ammonia. With 2,4-diphenyl-1,3,5-triazine (**260**), treatment with potassium amide in liquid ammonia afforded a typical Chichibabin product, 2-amino-4,6-diphenyl-1,3,5-triazine (**261**) (Scheme 89) (76RTC 113). Through labeling experiments, it was established that the amination was not occurring via an $S_N(\text{ANRORC})$ mechanism, but rather by an $S_N(\text{AE})$ process. However, $S_N(\text{ANRORC})$ does occur during the amination of phenyl-1,3,5-triazine, and this has been elaborated upon in Section II,B,1.

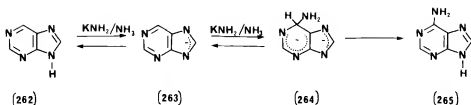
I. PURINES

Very little work has been carried out on heterocyclic systems containing four nitrogen atoms. Some research has been conducted by van der Plas and co-workers on the amination of purine and substituted purines in liquid ammonia (79JOC3140).

Treatment of purine (**262**) with potassium amide in liquid ammonia yielded



SCHEME 89

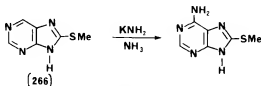


SCHEME 90

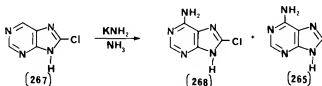
adenine (265). In the highly basic reaction medium, the starting material exists in the anionic form 263, but upon further attack by the amide nucleophile, dianion 264 formed (Scheme 90).

Methyl derivatives of purine also undergo amination, though the reactions are not clean, resulting in formation of tar along with the desired amino product. 2-Methyl- and 8-methylpurine gave 2-methyl- and 8-methyladenine derivatives in very low yields (20–25%) and lengthy reaction times (70 hr). 6-Methylpurine does not undergo amination upon treatment with sodium amide. Thus, blocking position 6 of the ring did not result in addition of the nucleophile at another position.

Further, using isotopic labeling, van der Plas established that the amination was not occurring via an S_N (ANRORC) mechanism in the pyrimidine ring. When substituents were placed in the ring at the 8-position, a typical Chichibabin amination took place. For example, Scheme 91 shows the reaction of 8-(methylthio)purine (266) with potassium amide in 80% yield. When other substituents, such as chlorine, are placed in the 8-position of the ring (267), the reaction was not as clean. The desired product, 8-chloroadenine (268), was obtained in very low yield (approximately 10%) along with 265 and starting material (Scheme 92) (80RTC267).



SCHEME 91



SCHEME 92

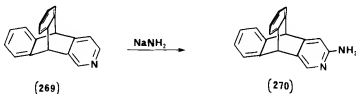
J. MISCELLANEOUS

The treatment of 2-azatriptycene (**269**) (pK_a 5.70) with excess sodium amide in boiling DMA for a prolonged reaction time gave a 29% yield of 3-amino-2-azatriptycene (**270**) (Scheme 93) (81JOU2153). The product was isolated by column chromatography. Since the basicity of the substrate is well within the range required for successful Chichibabin reactions, the rather low yield was attributed to poor solubility of the substrate.

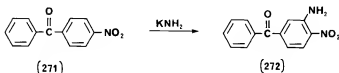
To show an analogy between pyridine and nitrobenzene, the latter compound was heated with lithium amide in DMA. The only product, detected by vapor-phase chromatography, was about a 5% yield of *m*-nitroaniline. It was proposed that the presence of the meta isomer could be explained only by formation of nitrobenzyne intermediates (75CI(L)520). The aryne mechanism for the Chichibabin reaction with pyridine has been severely criticized (64CI(L)659).

During studies on cleavage of substituted benzophenones a competing reaction was discovered. When 4-nitrobenzophenone (**271**) was treated with potassium amide in liquid ammonia, a 17% yield of 3-amino-4-nitrobenzophenone (**272**) was obtained along with cleavage products (Scheme 94) (79JOC4705).

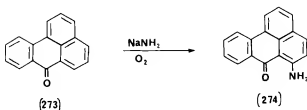
The amination of 7-oxobenz[*d,e*]anthracene (**273**) with sodium amide in DMA in the presence of oxygen afforded 6-amino-7-oxobenz[*d,e*]anthracene (**274**) (Scheme 95) (48JCS1175; 85S639).



SCHEME 93



SCHEME 94



SCHEME 95

All of the toluidine isomers were claimed to have been detected by gas chromatography from heating toluene in an autoclave with an alkali amide in the presence of a hydrogenation catalyst such as copper, nickel, rhodium, or cobalt (85USP4501922).

ACKNOWLEDGMENTS

The authors would like to thank their colleagues at Reilly Tar and Chemical Corporation for helpful discussions and comments. Special thanks are due to Professor Alan R. Katritzky, FRS, and Dr. Gerald L. Goe. The authors express their gratitude to Ms. Ludmila Alexandrov and Ms. Holly Hankins for the translation of Russian references. Mr. Donald G. Galow assisted with the collection of pertinent references. Professor Hans Suschitzky generously provided a key reference.

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Heterocycles Containing the Sulfamide Moiety

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I. Introduction

In scope, this article attempts to cover several kinds of heterocycles containing the sulfamide moiety. Earlier reports dealt with cyclic sulfamides (58HOU(11)725) and with the chemistry of thiadiazole and thiadiazine S-oxides (68AHC107; 70CRV593). These compounds have also been mentioned in *Comprehensive Heterocyclic Chemistry* (84MI3, 84MI4). There has been extensive work on the chemistry and reactions of sulfamide (80CRV151; 84OPP49) and of alkylsulfamoyl chlorides (81AG(E)151), which include heterocycles of this kind. This article offers a more extensive scope, since it covers some aspects of thiadiazines and thiadiazoles, such as tautomerism and aromaticity, that had not previously been dealt with. It also includes other heterocycles.

This article has been divided on the basis of the nature and size of the heterocyclic ring. The size of the rings ranges from three- to nine-membered heterocycles, although a ring with 30 members has been described (76IJC(B)766). Ring systems II-V have been considered (where the Roman numeral refers to the relevant section in this article).



II



III



a, b, c = C, N, O, S

IV



V

In Section II, the chemistry of 1,2,6-thiadiazine 1,1-dioxides and fused systems will be described, but no attempt has been made to cover all the literature dealing with benzothiadiazinones. Numerous derivatives related to the herbicide bentazone (3-isopropyl-1*H*-2,1,3-benzothiadiazin-4-one 2,2-dioxide) have been prepared and are described in various patents, which will not be included. The reactivity of bentazone and related 2,1,3-benzothiadiazinones has also been extensively studied (81AG(E)151).

Section III deals with 1,2,5-thiadiazole 1,1-dioxides and fused systems. Other six-membered rings, such as thiatriazines and oxathiadiazines are gathered in Section IV, and Section V deals with miscellaneous compounds. Finally, in Section VI, the biological properties and other applications are described.

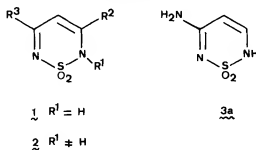
II. 1,2,6-Thiadiazine 1,1-Dioxides and Fused Systems

A. STRUCTURE

1. Theoretical Methods: Critical Appraisal of Utility

Application of theoretical methods to study dipole moments of 1,2,6-thiadiazine 1,1-dioxide derivatives has been reported (82JOC536). The calculated values by the CNDO/2 method, using ideal or experimental

TABLE I
EXPERIMENTAL AND CALCULATED DIPOLE MOMENTS OF 1,2,6-THIADIAZINE 1,1-DIOXIDES



Compound	R^1	R^2	R^3	Dipole moments		
				Experimental	Calculated (CNDO/2)	Vectorial (calculated)
1a	H	H	Me	6.24	8.64	6.52
1b	H	Me	Me	6.71	9.17-8.55 ^a	6.50
2a	Me	Me	Me	6.70	9.02	6.50
2b	CH ₂ Ph	H	H	6.22	—	6.25
2c	CH ₂ Ph	Me	Me	6.64	—	6.50
3a	H	H	NH ₂	7.18	9.48	6.19

^a Experimental geometry.

geometries, have been compared with the experimental values determined in dioxane (Table I).

In other heterocycles, the CNDO/2 calculated dipole moments are in good agreement with the experimental ones. In this case, the calculated values are overevaluated. Apparently, the semiempirical methods applied to compounds bearing an SO₂ group do not give good results.

On the other hand, classical vectorial calculations give quite satisfactory results. The vectorial moment for the SO₂ group ($\mu_{\text{SO}_2} = 5 \text{ D}$) was calculated from the value of $\nu_{\text{SO}_2} = 1150 \text{ cm}^{-1}$ (Section II.A.3.e) in the IR spectra (nujol) of compound 1b.

Total charge densities, calculated by the CNDO/2 method using ideal geometries, for some 1,2,6-thiadiazine 1,1-dioxides have been compared with the experimental C chemical shifts, and a rough correlation [Eq. (1)] has been found (82JOC536).

$$\delta(^{13}\text{C}_T) = 1037 - 231 q_{\pi+\sigma} \quad (r^2 = 0.844) \quad (1)$$

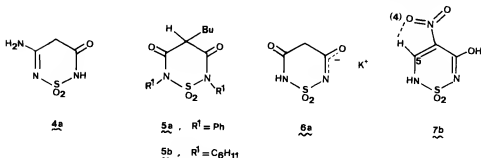
2. Molecular Dimensions: X-Ray Diffraction

A number of 1,2,6-thiadiazine 1,1-dioxide derivatives and fused systems have been studied by X-ray diffraction analysis (74JHC281; 75AX(B)1427, 75AX(B)2245, 75AX(B)2310; 77AX(B)910, 77AX(B)3598; 78AX(B)3069; 79AX(B)2795, 79JOC4191; 81AG(E)151, 81CB1269; 82AX(B)1124, 82AX(B)1128, 82AX(B)1340, 82AX(B)2296, 82JOC536; 83AX(C)358; 84AX(C)80; 85T3105; 86AX(C)892; 87CJC298, 87H3123; 88JCR(S)94, 88JST423). X-Ray crystallography has essentially been used only as a method of structure determination or confirmation. In some cases, a comparative study with related pyrazole derivatives has been carried out (82JOC536; 87CJC298; 88JCR(S)94).

These heteroatomic rings are not aromatic (Section II.A.4.a) and there is no reason to expect them to be planar. The reported X-ray results demonstrate this nonplanarity and some other common features emerge from the reported data. A selection of the results is presented here.

Except for compound **4a**, all thiadiazine derivatives and fused systems with one or two double bonds in the ring show the same molecular conformation more or less distorted. This is an envelope conformation, with the S atom at the flap. Thus, the six-membered ring, excluding the S atom, is almost planar, the S atom being from $|0.171|$ to $|0.753|$ Å out of plane.

Compounds with no double bond in the ring, such as 2,6-substituted 1,2,6-thiadiazine-3,5-dione derivatives **5a** and **5b** (87CJC298) and the monopotassium salt of 2,6-unsubstituted derivative **6a** (78AX(B)3069) as well as compound **4a** (86AX(C)892) adopt a boat conformation. Compounds **4a** and



6a adopt a flattened boat conformation and **5a** and **5b** form a boat with the C-4 and S atoms at the flaps. In **5b**, the H-4 atom is near one oxygen of the SO_2 group.

The S atom is, in general, a distorted tetrahedron, with the O—S—O angle bigger than the others around the S. In some derivatives, an intermediate character between a double or single bond for the sulfone group has

been found (75AX(B)2310; 79AX(B)2795), whereas in other derivatives the two S—O bonds are different, showing a single and a double character (77AX(B)910).

In most derivatives studied, significant differences between the two S—N distances have been measured and this fact could be due to some degree of polarization, leaving the S atom with an electronic deficiency that can be neutralized by a further electronic delocalization (75AX(B)2310; 82AX(B)1340; 84AX(C)80). A considerable electron delocalization between the two rings in fused systems has been detected in some cases (82AX(B)2296).

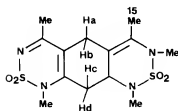
Intramolecular hydrogen bonds between C-5—H···O-4 occur in the 3-hydroxy-4-nitro derivative **7b** (82AX(B)1340).

In most cases, intermolecular hydrogen bonds of type N—H···N, O—H···O, and N—H···O linked the molecules in the crystal packing.

3. Molecular Spectra

a. *¹H-NMR Spectra.* ¹H- and ¹³C-NMR spectroscopy have been mainly used in structural determination and for identifying the presence of tautomeric equilibria. ¹⁵N-NMR, which can provide much information in these heterocycles, has been less reported.

¹H-NMR spectra of the majority of 1,2,6-thiadiazine 1,1-dioxides and fused systems have been described. In nonfunctionalized derivatives (**1**, **2**), the chemical shift δ of the ring protons at unsaturated centers ranges from 8.25 to 4.70, the more downfield being those next to the sp^2 nitrogen (65CI(L)182; 82JOC536; 85T3105). Ring protons at saturated centers produce signals at δ 3.50–2.40 (64JOC1865; 75M1095). Vicinal coupling constants across a double bond have values of 7.4–7.0 Hz, and across a single bond of 4.9–4.5 Hz (82JOC536). The values of 4J are between 2.6 and 0.5 Hz (82JOC536; 85T3105). In compound **8a**, three 5J values have been measured (85T3105).

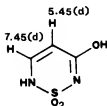


8a

$$^5J_{H-15}, H-a = |1.4| \text{ Hz}$$

$$^5J_{H-a}, H-d = |2.0| \text{ Hz}$$

$$^5J_{H-d}, H-c = |1.0| \text{ Hz}$$



7a

As a consequence of prototropic exchange, positions 3 and 5 become equivalent in N-unsubstituted thiadiazine 1,1-dioxides (1). Thus, the chemical shifts and coupling constants measured are mean values (65Cl(L)182; 74JCS(P1)2050; 82JOC536) (Section II.A.5).

In N-substituted derivatives 2, the signals of protons of alkyl substituents at C-3, next to the sp^3 nitrogen, appear at higher field than those at C-5 (65Cl(L)182; 82JOC536). When the substituent is a phenyl group, the pattern of the phenyl signal at C-5 (i.e., two multiplets H-*o* and H-*m* + H-*p*) is very different from that of the phenyl at C-3, a singlet, due to the steric hindrance of N—R (82JOC536).

The ring proton chemical shifts of tetrahydro derivatives range from δ 2.2–4.0 (72JHC973; 73JHC469; 75CB2137).

The ^1H -NMR data of 3-oxo functionalized derivatives in their NH, N-alkyl, and N-aryl forms, as well as several 4-substituted derivatives, have been reported. The ^1H -NMR spectrum (DMSO- d_6) of the parent compound 7a, described by Vorbrüggen and co-workers, shows two doublets ($J = 7$ Hz) for the two C—H protons (81CB1269). The H-4 chemical shift of the 5-methyl derivative is very similar (5.43 ppm) to that of 7a (72JHC973; 73JHC469). Electron-withdrawing substituents at C-4 cause downfield shifts in protons or methyl groups at C-5 (78JHC253; 80JHC977; 81JHC459; 86MI1; 88JCR(S)94). N-Monomethyl derivatives may be distinguished by the chemical shift of the N-methyl group, since 2-methyl-substituted compounds show the corresponding methyl signal at higher field (3.1 ppm) (81JHC459; 82H401) than those at the 6-position (3.6 ppm) (86 MI1). However, in 2,6-dimethyl compounds, the difference between the two methyl groups is only 0.1 ppm (82H401; 86MI1), and in N-phenyl-N'-methyl derivatives the methyl at N-2 appears at 3.61 ppm, whereas the methyl at N-6 appears at 3.07 ppm (88JCR(S)94).

^1H -NMR spectra of N-unsubstituted or -substituted 3,5-dioxo derivatives show a signal at 3.00–4.15 ppm, corresponding to a methylene group between two carbonyl groups, usually as a singlet (78JHC221; 81H5; 86JCS(P1)643) and only in the case of N-nucleosides as an AB system with $J_{\text{gem}} = -18$ Hz (87MI1).

The 3- or 5-monoamino derivatives show a signal in the range of a vinylic proton (5.1–4.4 ppm) for H-4 protons (79JOC4191; 81CB1269; 82JOC536), while N-unsubstituted 3,5-diamino derivatives (76JHC793; 81JHC27) or 5-amino-3-oxo derivatives (78JHC221; 81H5) show a signal corresponding to a methylene group (3.15–3.60 ppm) for these protons. The H-4 protons of these last derivatives and those of compounds like 7 exchange with deuterium (72JHC973; 77MI1).

Fused derivatives described have no CH ring protons in the thiadiazine moiety and the chemical shifts of the protons at the fused ring are normal for these systems.

The position of N-methyl substituents in aminopyrido[2,3-*c*]-1,2,6-thiadiazine 2,2-dioxides (86CS607) may be assigned by methyl chemical shift values, since the signals corresponding to a methyl at N-1 (thiadiazine ring) appear at higher field (3.3 ppm) than those at N-8 (pyridine ring) (3.6 ppm), in agreement with the data for related pyrazino[2,3-*c*]-1,2,6-thiadiazine (84JHC861) and imidazo[4,5-*c*]-1,2,6-thiadiazine derivatives (77M11).

Chemical shifts of NH and NH₂ protons comprise a wide range of values (4–12 ppm), depending on the concentration and solvent used. In some cases, the two protons of an NH₂ group are not equivalent and the amino signals are split into two peaks (82JOC536; 84JHC861). In other cases, the signals are doublets ($^1J_{\text{NH,NH}} = 3.0$ and 3.7 Hz), as a result of the geminal coupling through the nitrogen (86LA1872).

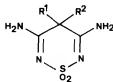
b. ¹³C-NMR Spectra. In order to summarize the ¹³C-NMR data of the different kinds of compounds reported, we have divided the compounds into types A–F, on the basis of their structure.¹



A



B



C



D



E



F

i. *Compounds with structure A* ($R^1 = \text{H, alkyl, or aryl}$; **1**, **2**). In N-substituted compounds **2**, the chemical shifts of C-5 range from δ 160.8–177.4, the lower values corresponding to compounds with $R^4 = \text{H}$. Signals of C-3 appear from 148.5 to 162.2 ppm. An increase in the chemical shift value results on replacing a proton by a phenyl group (80JOC721; 82JOC536; 86MRC444).

¹ In this section, in order to follow the discussion, the numbering adopted in structure **B** is not correct; in fact they are 2-substituted 5-alkoxy derivatives.

The N-unsubstituted derivatives **1** show mean signals due to annular prototropic tautomerism (Section II,A,5). In some cases ($R^2 = R^4 = \text{Me}$), the signal belonging to C-3 and C-5 appears as a broad peak. An increase of temperature produces a considerable narrowing of the peak (82JOC536). The mean values for C-3 and C-5 range from δ 155.0 ($R^1 = R^2 = R^3 = R^4 = \text{H}$) to δ 164.1 ($R^1 = R^3 = \text{H}$, $R^2 = R^4 = \text{Me}$). 4-Unsubstituted compounds show C-4 values of 96.3–107.1 ppm, the higher values corresponding to the N-substituted compounds. Electron-withdrawing substituents at C-4 produce, as expected, a C-4 signal shifted downfield. Thus, 3,5-dimethyl-4-nitro-2H-1,2,6-thiadiazine 1,1-dioxide shows the C-4 signal at 127.8 ppm (86MI1). On the other hand, $\delta(\text{C-4})$ is less sensitive to substituents at C-3 and C-5.

In this class of compounds, ^{13}C chemical shifts provide a very efficient method to identify pairs of isomers. Thus, when the 3-position is unsubstituted, the N-Me signal appears at about 35 ppm ($R^1 = \text{Me}$, $R^2 = R^3 = \text{H}$, $R^4 = \text{H}$ or Me); the presence of a substituent at C-3 shifts the signal to 31 ppm, probably due to steric effects ($R^1 = R^2 = \text{Me}$; $R^3 = \text{H}$; $R^4 = \text{H}$, Me, or Ph). Another signal characteristic of the structure is the chemical shift of the methyl groups at C-5 (24.5 ppm) and at C-3 (20.0 ppm) (82JOC536).

A correlation between C-3 and C-5 of pyrazoles and related 1,2,6-thiadiazine 1,1-dioxides has been established [Eq. (2)](82JOC536).

$$\delta(^{13}\text{C}_\text{T}) = -24.4 + 1.34\delta(^{13}\text{C}_\text{P}) \quad (n = 21, r = 0.92) \quad (2)$$

ii. *Compounds with structure A* ($R^4 = \text{NH}_2$; **3**, **9**, **10**) (82JOC536; 86FES862, 86MI1, 86MRC444). N-2-Substituted as well as N-2-unsubstituted 5-monoamino derivatives **3** have structure **A**, while 3,5-diamino derivatives (**9**–**11**) exist in forms **A** or **C**, depending on the substituents (Section II,A,5). ^{13}C -NMR data of some compounds with structure **A** ($R^4 = \text{NH}_2$) are summarized in Table II.

iii. *Compounds with structure B* (**7**, **12**) (81JHC459; 82H401; 86MRC444; 88JCR(S)94.) 2-N-Unsubstituted 3-oxo derivatives are better represented by structure **B**, as they are, in fact, 3-hydroxy tautomers (Section II,A,5). Thus, the C-3 chemical shifts of 3-OH- and 3-OMe-substituted compounds have similar values (Table III) and they are shifted ~ 6 ppm downfield in comparison to those 3-oxo derivatives with structure **D**.

4-Substituted derivatives have been less studied. The introduction of a 4-carbethoxy substituent produces an upfield shift of about 3 ppm in the C-3 signal.

iv. *Compounds with structure C* (**11**) (86FES862; 88MI1). Most of the N-unsubstituted 3,5-diamino derivatives are found in the **C** form. Except

TABLE II
SELECTIVE ^{13}C -CHEMICAL SHIFTS OF COMPOUNDS WITH STRUCTURE A^a

Compound	R ¹	R ²	R ³	C-3	C-4	C-5	Solvent	Reference
3a	H	H	H	142.0	90.4	162.4	DMSO- <i>d</i> ₆	82JOC536
3b	Me	H	H	140.9	90.5	162.5	DMSO- <i>d</i> ₆	82JOC536
3c	H	H	CN	153.2	75.4	159.0	DMSO- <i>d</i> ₆	86M11
3d	H	H	Br	143.8	82.8	158.9	DMSO- <i>d</i> ₆	86M11
9a	PhCH ₂	NH ₂	H	156.3	70.5	162.7	DMSO- <i>d</i> ₆	88JCS(P1)1271
10a ^b	H	NH ₂	NO ₂	156.4	105.9	156.4	DMSO- <i>d</i> ₆	86M11
10b ^b	H	NH ₂	NH ₂	162.7	87.5	162.7	NaOD (1 N)	86FES862
10c	Me	NH ₂	NH ₂	154.7	86.9	161.2	DMSO- <i>d</i> ₆	86FES862
10d	Me	NH ₂	Me	155.7	75.6	162.6	DMSO- <i>d</i> ₆	86MRC444

^a R⁴ = NH₂; 3, 9, 10.

^b Compound 10b in NaOD solution is in the anionic form (Section II,B,1,b). In compound 10a, C-3 and C-5 are equivalent due to the prototropic exchange of NH.

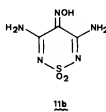
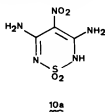
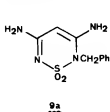


TABLE III
SELECTIVE ^{13}C -CHEMICAL SHIFTS OF COMPOUNDS WITH STRUCTURE B^a

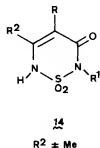
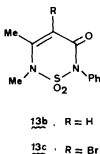
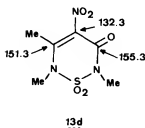
Compound	R ¹	R ²	R ³	C-5	C-4	C-3	Solvent	Reference
7a	H	H	H	147.3	93.9	171.0	DMSO- <i>d</i> ₆	86MRC444
12a	H	Me	H	156.4	89.6	163.3 ^b	DMSO- <i>d</i> ₆	82H401
12b	H	Me	Ph	157.6	92.4	168.4	DMSO- <i>d</i> ₆	88JCR(S)94
12c	H	Me	C ₆ H ₁₁	160.5	93.0	168.5	DMSO- <i>d</i> ₆	88JCR(S)94
12d	Me	Me	Me	157.4	92.1	167.0	CDCl ₃	82H401
12e	Me	Me	Ph	158.1	91.7	168.1	DMSO- <i>d</i> ₆	88JCR(S)94

^a Compounds 7 and 12.

^b Chemical shift reported incorrectly in the literature.

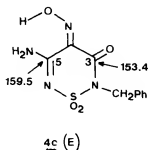
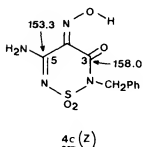
for 4-hydroxyimino derivative **11b** (76JHC793), in which C-3 is different from C-5 due to *E/Z* isomerism (88M11) (Section II,A,4,b), chemical shifts of C-3 and C-5 have identical values in the range 152.0–163.8 ppm, depending on substituents at C-4, the higher value belonging to the parent compound **11a** (76JHC793; 86MRC444).

v. *Compounds with structure D* (**13**, **14**) (86MRC444; 88JCR(S)94). 2,6-Disubstituted 3-oxo derivatives have fixed structure **D** and 2-N-monosubstituted derivatives are found in their 6-NH tautomeric form (**D**) (Section II.A.5). The C-3 chemical shifts of these derivatives are found between 155.3 and 162.0 ppm, the more shielded signals (155–159 ppm) corresponding to the 4-electronegative-substituted compounds and the values about 162 ppm to the 4-unsubstituted derivatives. Signals of C-5 appear in the range 150.0–153.5 ppm, the influence of substituents at position 4 being less important than on C-3. Chemical shifts of C-4 in 4-unsubstituted derivatives have values of 98.6–104.6 ppm, the peaks at higher field belonging to 6-NH derivatives. The signals of C-4 in 4-substituted derivatives are shifted, as expected, depending on the nature of substituents. Thus, C-4 in **13d** is shifted 27.7 ppm downfield relative to that of the 4-unsubstituted derivative (82H401; 86MI1). An unexpected fact is the very similar values found for C-4 in compounds **13b** and its 4-bromo derivative **13c** (103.0 and 103.5 ppm, respectively) (86MRC444).

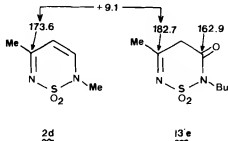
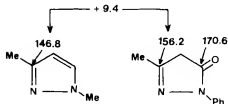


Almost all ^{13}C -NMR spectra have been recorded using $\text{DMSO}-d_6$ as solvent, but CDCl_3 has also been used. In this case, C-3 and C-4 are shifted downfield 1 and 2 ppm, respectively (88JCS(P2)(ip)).

vi. *Compounds with structure E* (**4**, **13'**) (88JCS(P1)1271; 88MI1). A few 1,2,6-thiadiazine 1,1-dioxides adopt structure **E**, mainly 5-amino-3-oxo derivatives **4** ($\text{R}^4 = \text{NH}_2$). ^{13}C -NMR spectra of these compounds ($\text{R}^1 = \text{alkyl, benzyl, or phenyl}$) show C-3 and C-5 signals between 165.4 and 163.5 ppm (88JCS(P1)1271). 4-Hydroxyimino derivatives are found in $\text{DMSO}-d_6$ solution in their *E*- and *Z*-isomeric forms (Section II.A.4,b), and their spectra show two signals for C-3 and another two for C-5. In the case of compound **4c**, the signals belonging to C-3 and to C-5 of both isomers have been assigned unequivocally (88MI1) on the basis of coupling found between C-3 and the N-methylene moiety.



5,6-Unsubstituted and 6-unsubstituted 5-alkyl-3-oxo derivatives exist in CDCl_3 solution as a mixture of **D** (**13**, **14**) and **E** (**13'**, **14'**) tautomers (Section II,A,5). Thus, the ^{13}C -NMR spectrum of **13e** in CDCl_3 shows the signals corresponding to structures **D** and **E** (**13'e**), the chemical shifts of the first one taking similar values as those in $\text{DMSO}-d_6$. One of the signals belonging to structure **E** is unusually shifted downfield (182.7 ppm).



In the coupled spectrum of tautomer **13'e** with the coupling showed by C-3 and C-5 it was possible to assign unequivocally these signals. The fact that in **13'e** the C-5 bearing a methyl group is much more deshielded than the C-3 carbonyl atom is surprising. However, the four compounds reported above show that the structural effects responsible for this behavior are quite normal (88JCS(P2)(ip)).

vii. *Compounds with structure F* (87CJC298). 2,6-Disubstituted 3,5-dioxo derivatives present structure **F** and thus their ^{13}C -NMR spectra show for C-4 a signal corresponding to an sp^3 carbon in the range 58–42.1 ppm. On the other hand, C-3 and C-5 chemical shifts are the same (166.7–163.1 ppm), independent of the nature of R. 2,6-Unsubstituted and 2-N-unsubstituted-6-N-substituted compounds also show the C-4 signal in the range of an sp^3 carbon (42.0–54.0 ppm). The first ones show the same value for $\delta(\text{C-3})$ and $\delta(\text{C-5})$ (170.0 ppm, $\text{R}^2 = \text{R}^3 = \text{allyl}$; 168.4 ppm, $\text{R}^2 = \text{H}$, $\text{R}^3 = \text{allyl}$), while

N-monosubstituted derivatives show different signals for C-3 and C-5 (Section II.A,5) (86TH1).

For fused compounds, ^{13}C -NMR data for pyridothiadiazine (86CS607; 88MI2), imidazothiadiazine (87H3123, 87MI1), furazanthiadiazine and thiadiazolothiadiazine (86MRC444), thienothiadiazine (87TH1), pyrazinothiadiazine (88JHC(ip), 88LA121), and two tricyclic derivatives (**8a**) (85T3105) and an analog of lumichrom (86AP79) have been reported. Chemical shifts are in agreement with proposed structures.

c. ^{15}N -NMR Spectra. To date, only three papers have dealt with ^{15}N -NMR spectroscopy of 1,2,6-thiadiazine 1,1-dioxide and fused systems (86MRC444; 88JCS(P2)(ip), 88MI1). They report natural abundance ^{15}N -NMR spectra, and for this reason only J values have been measured.

The influence of substituents on nitrogen chemical shifts and the effect of intercalation of SO_2 and CO groups between two nitrogen atoms have been discussed (86MRC444).

Some of the compounds studied contain two types of nitrogens in the ring. The pyridine-type nitrogen chemical shifts in mononuclear thiadiazine rings studied are between 70 and 181 ppm and those corresponding to pyrrole-type shifts are between 210 and 276 ppm. In 1,2,6-thiadiazine derivatives, replacement of an NH by an N-methyl group results in an upfield shift (14–16 ppm) (86MRC444).

In Table IV some ^{15}N -NMR data of 1,2,6-thiadiazine 1,1-dioxides and fused systems are gathered.


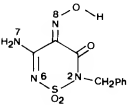
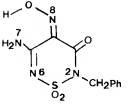
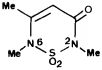
^{15}N -NMR spectroscopy is particularly useful in prototropic tautomerism studies (88JCS(P2)(ip)). With the changes in chemical shifts, which result when the NH hydrogen is replaced by a methyl group, it is possible to estimate the tautomeric composition of thiadiazines if the N-methyl derivatives corresponding to the possible tautomers are available (Section II.A,5).

Applications of ^{15}N -NMR spectroscopy to the study of *E/Z* isomerism (Section II.A,4,b) (88MI1) and tautomerism (Section II.A,5) have been reported (86MRC444; 88MI1, 88JCS(P2)(ip)).

d. UV Spectra. In some cases, the UV spectra of neutral, anionic, or protonated forms have been described (79JMC944; 84JHC861, 84MI1; 86CS607, 86LA1872; 88LA121, 88MI2).

One example is shown in the following case, in which the UV spectra have been recorded in water at different pH values. The UV spectrum of **16a** in water shows two absorption maxima at 226 (log ϵ = 3.9) and 294 nm (log ϵ = 3.5) (77JHC427), while the UV spectrum at pH 1 (neutral form) has three maxima at 225 (log ϵ = 4), 242 (log ϵ = 3.8), and 292 nm (log ϵ = 3.8) (84MI1). The spectrum of the neutral form is very similar to that of the

TABLE IV
SELECTIVE ^{15}N -NMR CHEMICAL SHIFTS OF 1,2,6-THIADIAZINE 1,1-DIOXIDES AND FUSED SYSTEMS^a

Compound	Nitrogen atom	Nitrogen ^b shielding	Reference
 <p>7a</p>	2 6	+176.5 (broad) +242.7	86MRC444
 <p>4c (Z)</p>	2 6 7 8	+209.7 +181.3 +270.0 -41.8	88M11
 <p>4c (E)</p>	2 6 7 8	+206.6 +177.8 +261.0 -45.2	88M11
 <p>13f</p>	2 6	+232.6 +259.4	86MRC444

(continued)

TABLE IV (Continued)





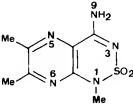
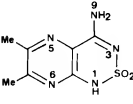
Compound	Nitrogen atom	Nitrogen ^b shielding	Reference
 <p>13a</p>	2 6	+ 209.6 + 241.6	86MRC444
 <p>13d</p>	2 6 7	+ 231.6 + 269.0 + 2.7	
 <p>13b</p>	2 6	+ 209.5 + 257.3	86MRC444
 <p>13e</p>	2 6	+ 215.1 ^c + 72.3 ^c	88JCS(P2)(ip)

TABLE IV (Continued)

Compound	Nitrogen atom	Nitrogen ^b shielding	Reference
 <p>15b</p>	1	+260.3	88UP1
	3	+185.6	
	5	+58.5	
	8	+86.1	
	9	+280.1	
 <p>15a</p>	1	+251.5	88UP1
	3	+178.9	
	5	+59.8	
	8	+86.1	
	9	+284.0	

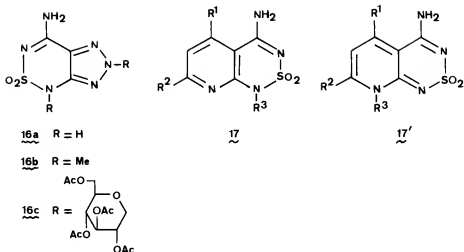
^a DMSO as solvent.^b Nitrogen shielding values are reported with respect to external neat nitromethane, an increase in shielding being a positive increment.^c CDCl₃ as solvent.

2,4-dimethyl derivative, **16b**, indicating that tautomer **16a** predominates in water. The fact that the UV spectra **16b** and **16c** have the same pattern confirms the site of glycosylation on **16c**.

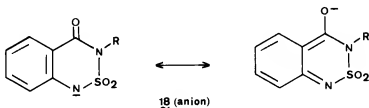
UV spectra at different pH of aminopyrido[2,3-*c*]-1,2,6-thiadiazine 2,2-dioxides (**17**, **17'**) have been reported (86CS607). Comparison of UV spectra of the molecular neutral form to those of the 1- and 8-methyl derivatives reveals unambiguously the tautomeric relations. Substitution at N-1 is associated with a long-wavelength absorption at 320 nm, whereas N-8 substitution effects a red-shift to about 360 nm.

In some cases the site of N- or O-alkylation or -glycosylation is discussed on the basis of UV spectra (77M11; 81JHC27; 82JHC305; 84JHC861; 86LA1872; 87M12).

Confirmation of the assigned structures of some 2,1,3-benzothiadiazine-4(3*H*)-one 2,2-dioxides (**18**) was obtained by a study of UV spectra of the



compounds in basic and neutral (EtOH) media (62JA1994). There is a bathochromic shift, from 315 to 340 nm, in basic medium, which the authors think is due to an extension of conjugation through the ring.



Fully saturated compounds show no bands in their UV spectra in the range 200–400 nm (73JHC469; 78JHC253; 82H401). The UV spectra (EtOH) of N-substituted 1,2,6-thiadiazine 1,1-dioxides **2** show two maxima at 248–257 and 323 nm, very similar to those of the NH derivative **1** (65CI(L)182). Compounds with structure **D** (Section II,A,3,b) show a maximum at about 255 nm (73JHC469; 82H401; 88JCR(S)94). Compounds with structure **B** show maxima at 290 nm (73JHC469; 82H401; 88JCR(S)94). Compounds with structure **A** (R⁴ = NH₂) show two maxima at 243 and 289 nm (79JOC4191). Compounds with structure **C** show two maxima at 247 and 292 nm (81JHC27) and compounds with structure **F** have two maxima at 225 and 290 nm (87CJC298).

e. IR Spectra. No systematic IR spectroscopic study of 1,2,6-thiadiazine 1,1-dioxides has been carried out. In many cases, only a listing of the most important absorption bands has been reported.

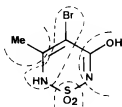
All N-unsubstituted derivatives which exist in the NH tautomeric form absorb in the region $3100\text{--}3350\text{ cm}^{-1}$ and show the characteristic stretching modes for bonded and nonbonded N—H groups (64JOC1905; 72JHC973; 75CB2137, 75M1095; 78JHC221; 79JOC4191; 80JHC977; 82H401; 86H3451, 86JCS(P1)643; 88JCR(S)94). The number and position of these depend on structural factors and on the conditions of the measurements. When the OH tautomer is preferred (Section II,A,5), bands belonging to OH groups are in the region 3500 cm^{-1} and provide a tool for differentiating between tautomeric NH and OH forms (88JCR(S)94; 88JCS(P2)(ip)).

All 1,2,6-thiadiazine 1,1-dioxides show absorption bands at $1310\text{--}1360$ and $1180\text{--}1150\text{ cm}^{-1}$, which have been assigned to the S=O stretching modes (64JOC1685, 64JOC1905; 65CI(L)182; 70CRV593; 72JHC973; 77HCA521; 80JHC977; 86H3451; 88JCR(S)94).

The stretching frequencies of C=N and C=O in compounds in which a lactam–lactim equilibrium is possible can be confused due to their similar values. Derivatives in which lactam and lactim forms are fixed show bands at $1690\text{--}1670\text{ cm}^{-1}$ (C=O) (75CB2137; 82H401; 86JCS(P1)643; 88JCR(S)94) and at $1630\text{--}1600\text{ cm}^{-1}$ (C=N) (82H401; 88JCR(S)94). The C=N stretching frequencies in rings with only this double bond generally appear in the region $1620\text{--}1580\text{ cm}^{-1}$ (64JOC1865; 75M1095). C—H stretching frequencies at $\sim 3000\text{--}2900\text{ cm}^{-1}$ have been observed when the IR spectra were registered in KBr (64JOC1865).

Fully saturated compounds show no peaks in the C=C or C=N region (64JOC1905). In primary amino derivatives two absorption bands for stretching modes of NH_2 in the region $3450\text{--}3340\text{ cm}^{-1}$ have been reported (78JHC221; 79JMC944, 79JOC4191). In a 4-hydroxyimino derivative (11b), a broad band at 3275 cm^{-1} (KBr) has been assigned to the stretching vibration of the OH portion of the hydroxyimino group (76JHC793).

f. *Mass Spectra.* Only one detailed mass study, with attempts to assign the often complex fragmentation patterns, has been reported for 1,2,6-thiadiazine 1,1-dioxide derivatives (80JHC977).

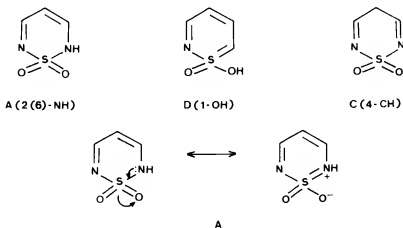


In the electron-impact mass spectrum of **12f**, the molecular ion is observed at m/e 240, 242 (M^+), indicative of the presence of one bromine atom. Cyanic acid (m/e 42, base peak) is eliminated to give the prominent ion m/e 197, 199. Following elimination of SO_2 (m/e 64) and on further impact, it either loses HBr to give the ion at m/e 54, or fragments to give $BrCN$ and ethylene. A second pathway which has been observed also involves the initial loss of the bromine atom (80JHC977).

Most of the papers report only the molecular ion peaks (72JHC973; 76IJC(B)766; 79JOC4191; 80JOC721), while more details are given in others (76JHC793; 77JHC431; 78JHC253); in these, there are some common features, such as loss of N_2 , CO , and SO_2 .

4. Thermodynamic Aspects

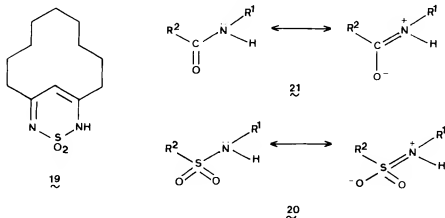
a. *Aromaticity.* Aromaticity in 1,2,6-thiadiazine 1,1-dioxides must be discussed taking into account the different tautomeric forms in which the ring can exist. Thus, there are three possible tautomers (**A**, **D**, and **C**), **A** being predominant in 3,5-alkyl- or arylthiadiazines, while **C** is more stable in compounds bearing functional groups such as OH or NH_2 at C-3 or C-5. Tautomer **D** has not been observed experimentally (Section II.A,5) and only one O-substituted derivative has been claimed (81JHC27).



The 4-CH tautomer (**C**) is clearly nonaromatic, whereas for the 2(6)-NH form (**A**) aromatic resonance forms can be written.

However, the proton-proton coupling constants reported indicate a localization of the electrons, and the bond length and bond orders show that

the double and single bonds are alternated (82JOC536). Moreover, all the X-ray data clearly establish the nonplanarity of the ring (see Section II,A,2), and therefore all these facts point out the lack of aromaticity of the 2(6)-NH form. It is also worth mentioning that nonamethylenethiadiazine dioxide (**19**) was synthesized in the expectation that any ring current in the heterocycle would affect the chemical shifts of some of the methylene protons but that no evidence for diamagnetic effects was obtained (74JCS(P1)2050).



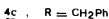
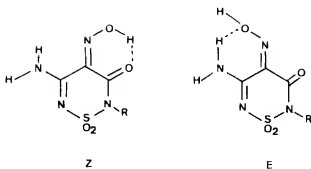
A possible theoretical approach to the problem of aromaticity in 1,2,6-thiadiazines could be to calculate all of the **A**, **D**, and **C** forms with an *ab initio* program, since the semiempirical methods do not seem adequate (87TH1). However, *ab initio* calculations with such molecules would be laborious and time-consuming and, therefore, sulfonamides have been studied as simplified systems (87TH1). The results indicate that there is no conjugation in sulfonamides **20** analogous to the electronic transfer of amides **21**. Therefore, the aromatic resonance forms in **A** are not very likely to occur.

b. *Thermodynamic Study on E/Z-Isomeric Equilibria.* Stereoisomerism (*E/Z*) in two 4-hydroxyimino-1,2,6-thiadiazine 1,1-dioxide derivatives (**4b** and **4c**) has been studied by ¹H-, ¹³C-, and ¹⁵N-NMR spectroscopy (88MI1). Evidence for the existence of both *E* and *Z* stereoisomers, the latter being more abundant at room temperature, is provided by the NMR data.

In compound **4c**, the *E/Z* ratio is temperature dependent and the thermodynamic parameters of the *E/Z* equilibrium have been evaluated by ¹³C-NMR.

$$\Delta H^\circ = 2.9 \pm 0.5 \text{ kcal mol}^{-1}$$

$$\Delta S^\circ = 9.0 \pm 1.5 \text{ cal mol}^{-1} \text{ K}^{-1}$$



The hydrogen bond between the proton of the NOH and CO groups in the *Z* isomer is a stronger interaction than that occurring in the *E* isomer between a proton of the NH₂ group and the oxygen of the NOH. On increasing the temperature, it is possible to reach a more disordered state (*E* isomer).

c. Dynamic Study on syn/anti-Rotamers. Rotationally restricted *syn-anti*-rotamers at room temperature in nucleosides **15c–e** and **22** have been reported (87LA961, 87MI2).

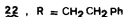
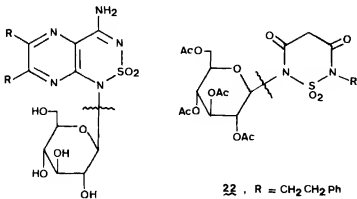


TABLE V
ACTIVATION PARAMETERS ASSOCIATED WITH *syn-anti*
INTERCONVERSION PROCESSES

Compound	T_c (K)	ΔG^\ddagger (kcal/mol)	ΔH^\ddagger (kcal/mol)	ΔS^\ddagger (e.u.)
15c ^a	343	15.8	17.1	8
15d ^a	343	15.8	13.1	-8
15e ^a	343	15.8	14.1	-5
22 ^b	313	14.8	11.4	-10.6

^a In DMSO.

^b In CDCl₃.

In the four cases it is possible to see in their ¹H-NMR spectra the signals corresponding to the *syn*- and *anti*-anomeric protons at room temperature. The coalescence temperature is reached at 70°C in the first three nucleosides and at 40°C in the last one (DMSO).

¹H-DNMR (87LA961) and ¹³C-DNMR (87MI2) studies have given the kinetic parameters associated with the dynamic processes. Table V shows the values found for ΔG^\ddagger (T_c), ΔH^\ddagger , and ΔS^\ddagger .

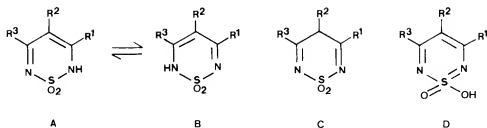
In all cases, the rotational energy barrier is lower than that reported in related nucleosides, such as pteridine glucosides (73CB2982). This fact is rationalized in terms of the lower steric hindrance around the glycosidic bond produced by the envelope- or boatlike conformation of the thiadiazine ring with the SO₂ out the plane (Section II,A,2) in comparison with the planar conformation of pteridines.

5. Prototropic Tautomerism

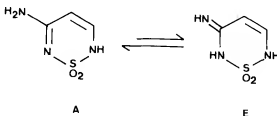
The two possible forms of prototropic tautomerism, annular and ring-substituent, have been described in 1,2,6-thiadiazine 1,1-dioxide derivatives. Studies have been mainly carried out by ¹H, ¹³C, ¹⁵N, and UV spectroscopy in DMSO and water and by X-ray analysis in the solid state.

In N-unsubstituted unfunctionalized derivatives **1**, annular prototropic tautomerism has been studied using interpolation methods based on ¹³C-NMR chemical shifts and ¹H-NMR coupling constants (82JOC536). Tautomers **A** and **B** are in equilibrium in DMSO solution, while **C** and **D** tautomers are not present (Section II,A,4,a) (65CI(L)182; 82JOC536). In compounds in which R¹ ≠ R³, the tautomeric equilibrium is shifted toward the **A** form, R¹ being the less bulky substituent.

¹⁵N-NMR spectroscopy provides a useful tool in tautomeric studies. Thus, the ¹⁵N-NMR spectrum of **1b** (R¹ = R³ = Me) shows a broad average signal for the two equivalent nitrogen atoms of the **A** ⇌ **B** degenerate equilibrium when drops of acid are added to accelerate the rate (86MRC444).

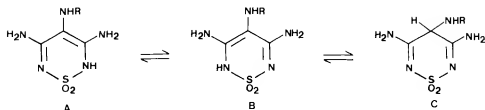


3(5)-Monoamino derivative **3a** has been investigated (82JOC536); the ^{13}C -NMR data (Table II, Section II,A,3,b) and $^3J_{\text{H,H}}$ are in agreement with the existence of the 2-NH-5-amino tautomer (**A**) in DMSO solution, as Albrecht and co-workers (79JOC4191) demonstrated for the solid state (Section II,A,2). However, the vectorially calculated moment for tautomer **A** differs greatly from the experimental value (Table I, Section II,A,1), and the calculated charge densities do not correlate with ^{13}C chemical shifts. All these facts can be explained taking into account the imino tautomer **E**. It is possible to conclude that **3a** in DMSO is a mixture of **A** and **E** tautomers, the nonaromaticity of thiadiazine 1,1-dioxides (Section II,A,4,a) justifies the relative stability of tautomer **E**.

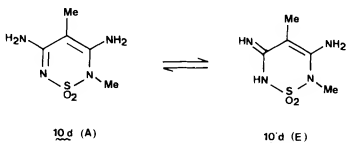


For 4-substituted-3(5)-monoamino derivatives, the existence of a 2-NH tautomer has been described (Section II,A,3,b), except for 4-cyano derivative **3c**, which, based on ^{13}C -NMR data, seems to exist in DMSO as a mixture of the 2-NH and 6-NH tautomers (Table II, Section II,A,3,b) (86MI1).

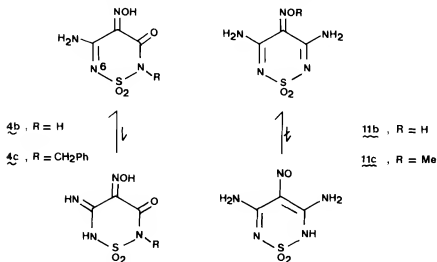
3,5-Diamino-1,2,6-thiadiazine 1,1-dioxide in DMSO solution exists mainly as the 4-CH tautomer, as can be seen in its ^1H - (76JHC793), ^{13}C -, and ^{15}N -NMR spectra (86MRC444) (Section II,A,3,b). However, most of its 4-substituted derivatives, such as 4- NH_2 (**10b**), 4- NO_2 (**10a**), 4- NHCOF_3 , and 4- $\text{NHSO}_2\text{C}_6\text{H}_4$ -(*p*)Me are found in DMSO as an equimolar mixture of 2-NH (**A**) and 6-NH (**B**) tautomers (86FES862), while in other cases (e.g., 4- NHCOMe , 4- NHCOPh , and 4- $\text{NHCOC}_5\text{H}_{11}$ derivatives) the ^{13}C -NMR spectra show the signals corresponding to tautomer **C** (4-CH) and the broad signal for the equivalent C-3 and C-5 of the degenerate equilibrium between **A** (2-NH) and **B** (6-NH) identical tautomers (86FES862).



Concerning the ring-substituent tautomerism, in these compounds the amino tautomer (A) is preferred in most cases, except for compound **10d**, in which the equilibrium between the amino tautomer (A) and imino tautomer (E) seems to exist as deduced from their ^{15}N -NMR data in DMSO (86MRC444).

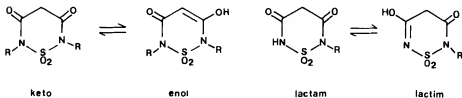


A study of the tautomerism in 4-hydroxyimino derivatives **4b**, **4c**, **11b**, and **11c** by ^1H -, ^{13}C -, and ^{15}N -NMR spectroscopy has been carried out (88MI1).

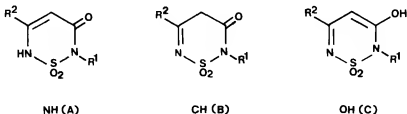


The conclusions about all possible tautomeric equilibria are (1) the hydroxyimino and not the nitroso tautomer is present in all cases; (2) the lactam and not the lactim tautomer is preferred (**4b**); and (3) the amino, not the imino, form is present in **11b** and **11c** compounds, while a broadened and higher field shifted signal for N-6 denotes a certain amount of imino tautomer in compounds **4b** and **4c**.

In 3,5-dioxo derivatives, the 4-CH tautomer is preferred in all cases (86MRC444). Of the possible tautomeric equilibria keto \rightleftharpoons enol and lactam \rightleftharpoons lactim, in no cases has the enol form been observed. However, the presence of the lactim form has been detected by ^{13}C -NMR spectroscopy (86TH1) (Section II,A,3,b).



The tautomerism of 1,2,6-thiadiazin-3-one 1,1-dioxides has been extensively studied by ^1H -, ^{13}C -, and ^{15}N -NMR and UV spectroscopy. The influence of substituents, solvent, concentration, and temperature on K_T has been determined (88JCS(P2)(ip)). 2-Substituted derivatives can exist in NH (**A**), CH (**B**), and OH (**C**) tautomeric forms.

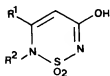


In the solid state, compounds ($\text{R}^1 = \text{phenyl}$) are in their NH form (88JCR(S)94), which is the tautomer preferred in polar aprotic solvents (DMSO), except for compounds in which $\text{R}^2 = \text{NH}_2$, which always exist as the CH (**B**) tautomer (Section II,A,3,b). In nonpolar solvents (CDCl_3), mixtures of NH (**A**) and CH (**B**) tautomers are present. The value of K_T depends on the nature of R^1 . In basic solvents (pyridine), the common anionic form exists (Section II,B,1,a). The OH (**C**) tautomer, which exists in related pyrazoles in a high percentage (76AHC(Suppl)316), is not present in these thiadiazine derivatives. On the other hand, 6-substituted derivatives, which

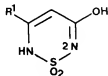
can exist in two tautomeric forms [NH (A) and OH (F)], adopt the OH (F) form.



NH (A)



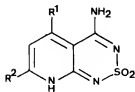
OH (F)



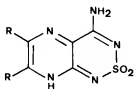
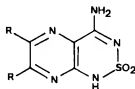
OH (F)

Of all possible tautomers which can be adopted by 2,6-unsubstituted derivatives the form F is preferred (Section II,A,3,b), although a little percentage of form A must be in equilibrium with F, since, in the ^{15}N -NMR spectra (DMSO), the signal of N-2 appears broadened (Table IV, Section II,A,3,c) (86MRC444).

Aminopyridothiadiazine 2,2-dioxides (17, 17') are found in water as an 8-NH tautomer by comparison of neutral form UV spectra with those of 8-(N-methyl) and 1-(N-methyl) derivatives (Section II,A,3,d). ^{13}C -NMR data (DMSO) show too the existence of an 8-NH tautomer (86CS607). It seems likely that the strongly acidifying SO_2 function causes the formation of this unusual cross-conjugated π -electron system, which is energetically more stable if the acidic hydrogen is localized at a more distant position.

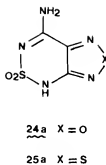
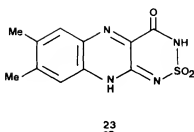


17'

15'
8-NH tautomer15
1-NH tautomer

Pyrazinothiadiazine 2,2-dioxides (15, 15') exist in water as an 8-NH tautomer as can be deduced from their UV data (84JHC861). However, the ^{15}N chemical shifts of 15a and 15b ($\text{R} = \text{Me}, \text{H}$) in DMSO (Table IV, Section II,A,3,c) are in agreement with the existence of a 1-NH tautomer in this solvent (88UP1).

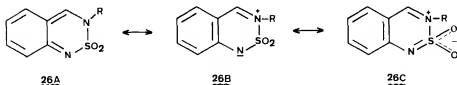
The existence of the 3-NH, 10-NH tautomer of 23 and only the 4-NH tautomer of 24a and 25a in DMSO solution has been demonstrated by ^{13}C - (86AP79) and ^{15}N -NMR spectroscopy (86MRC444), respectively, and



compound **24a** has been found in this same tautomer in the solid state (75AX(B)2310).

6. Unusual Structures

Mesoionic 2,1,3-benzothiadiazine 2,2-dioxide (**26**) is spontaneously formed from the corresponding 4-methoxy derivative by loss of methanol (79LA1130).



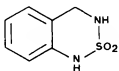
B. REACTIVITY

The chemical behavior of the 1,2,6-thiadiazine 1,1-dioxide system is characterized by an enhanced reactivity of the 4-position toward electrophiles. Other important reactions are those which take place at the ring nitrogens and those of the functional groups of the 3-, 4-, and 5-positions.

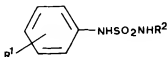
1. Reactions at the Heterocyclic Ring

a. Thermal Reactions Involving No Other Species. In order to obtain pyrazoles, thermal extrusion of sulfur dioxide from 1,2,6-thiadiazine dioxides has been investigated. However, not even at 200°C in the presence of copper powder did the reaction take place. This behavior contrasts with that of the corresponding 1,2,6-thiadiazines and their S-oxides, in which extrusion to pyrazoles takes place under mild conditions (81JCS(P1)1891).

b. *Acidity and Basicity.* The hydrogen attached to nitrogen in cyclized sulfonamide is known to be more acidic than that in an acyclic analog. Thus, compound **27** has a pK_a of 8.79, while typical open-chain analogs **28** have pK_a values which are on average ~ 2.5 units higher.



27 $pK_a = 8.79$



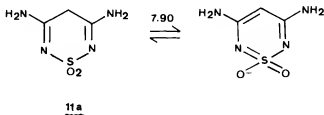
28 $pK_a \sim 11$

The explanation for the increased acidity of the six-membered rings could lie in the sulfur d -orbital overlap of the nitrogen pair. This acid-strengthening effect is greater for sulfamides in a five-membered ring (84JCS(P2)1851).

The pK_a values in water of some 1,2,6-thiadiazine derivatives and of quite a large number of fused systems have been determined by UV spectrophotometry. In general, all of the compounds have acidic properties as a result of the presence of the SO_2 group.

The most simple compound studied is the 3,5-dimethylthiadiazine **1b**, which has a pK_a of 3.27, corresponding to the loss of the proton attached to the nitrogen.

The 3,5-diaminothiadiazone derivative **11a** is a relatively weak acid with an acidic pK_a of 7.90 due to ionization at position 4. The UV spectrum of the neutral form is consistent with the tautomeric structure represented (Section II,A,5), whereas the monoanion absorbs at higher wavelengths, as expected from the regained cyclic resonance.

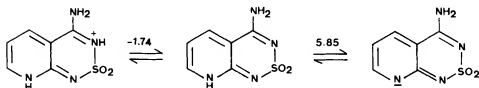


11a

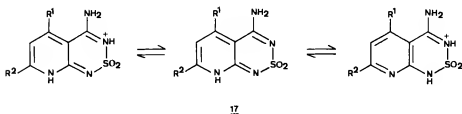
The pK_a values corresponding to the loss of the proton at C-4 of N,N'-disubstituted thiadiazinones **5** have been determined and compared to those of the analogous barbituric acid derivatives (86TH1).

The pK_a values of fused thiadiazine systems have also been reported. In some cases, the sequence of ionization of the acidic protons has been determined by measurements of pK_a values and comparison of spectral data

with N-methyl derivatives as specifically blocked analogs. Aminopyrido[2,3-*c*]-1,2,6-thiadiazine 2,2-dioxides **17** are relatively acidic and their basic properties are likewise very weak as seen from the pK_a values in the acid region. As an example, the data of the parent compound (**17**, R = H) are given below (86CS607).

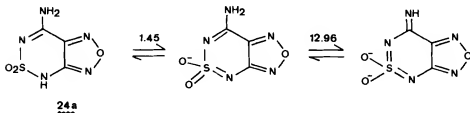


From the comparisons of the UV spectra of the protonated species of these compounds and those of the corresponding N-1- and N-8-methyl derivatives, the authors conclude that there is a mixture of two cation forms, as shown below.



The acidic properties of aminopyrazino[2,3-*c*]-1,2,6-thiadiazine 2,2-dioxides **15** and of the 4-oxo derivatives have been compared to those of the related pteridines. The strong acidic character of the former is reflected by the low pK_a values, which show an increase in acidity of about 6–7 units compared to the corresponding pteridines (84JHC861).

The pK_a values of 1,2,6-thiadiazine dioxides fused to heterocyclic five-membered rings have also been determined (76JHC793; 77JHC427; 79JMC944). An example is the ionization sequence of furazano[3,4-*c*]-1,2,6-thiadiazine **24a**.



This compound has the strongest acid properties of all the [6 + 5]-fused systems. The acidity may be explained by the quinoid-type annelation of the oxadiazole ring functioning as a strong electron attractor. Anion formation counteracts the π -electron deficiency and keeps the molecule in this stable form over a large pH range. At high pH, a dianion could be detected from a further small change of the UV spectrum.

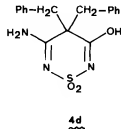
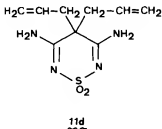
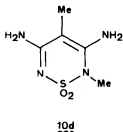
Corresponding to their acidic character, metallic salts of several 1,2,6-thiadiazine dioxides have been prepared. The 4-nitro- (**7b**) and 4-cyanothiadiazine derivatives form with sodium metal stable compounds from which they cannot easily be recovered, whereas acid treatment of the potassium salts readily yields the free thiadiazines (81JHC459). The X-ray analyses of these compounds show significant changes in the electronic distribution of the free derivatives and their salts (Section II,A,2).

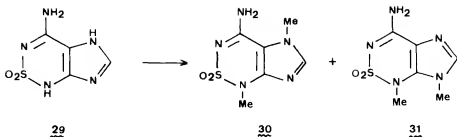
Another curious example is that of thiadiazine **6**, which has been isolated only as the monopotassium salt (Section II,A,2) or the disilver salt (78JHC221) in spite of previous reports (49USP2473042).

c. Electrophilic Attack at Nitrogen. i. *Alkylation.* There have been many reports dealing with alkylation of 1,2,6-thiadiazine 1,1-dioxides and fused systems. 1,2,6-Thiadiazine 1,1-dioxides can be alkylated at N-2 and N-6 (65CI(L)182; 81AG(E)151; 82JOC536). Due to the high solubility of the thiadiazine sodium salts in water, it was not possible to carry out N-alkylations under liquid-liquid phase-transfer catalysis conditions (82JOC536). However, recently successful PTC alkylations have been achieved (88UP1).

3-Oxo-1,2,6-thiadiazines have been N-methylated and, in some cases, O- and C-methylation has also been reported (81JHC459; 82H401), the relative amounts of the methylated isomers being strongly dependent on the experimental conditions and on the nature of the starting materials (81JHC459; 82H401).

Thiadiazines bearing functional groups at C-3 and C-5 can be N- and C-methylated to form, for example, **10d**, but with benzyl and allyl chloride only the C-mono or -dialkyl derivatives, such as **11d** and **4d**, are obtained (81H5, 81JHC27).





SCHEME 1

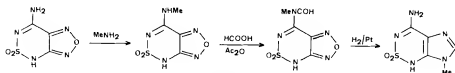
N-Alkylation of several fused 1,2,6-thiadiazine systems [both [6 + 5]- (77MI1; 78JHC221; 84MI1) and [6 + 6]-systems (84JHC861; 86CS607)] has been carried out. In some cases, N-methyl derivatives have been synthesized to obtain suitable models for assigning the sites of glycosylation of the corresponding nucleosides. Methylation of imidazo[4,5-*c*]-1,2,6-thiadiazine **29** has been studied extensively (Scheme 1). With dimethyl sulfate, it affords dimethyl derivatives **30** and **31**, but by using different approaches it was possible to prepare selectively monomethyl derivatives both at the imidazole and thiadiazine rings (77MI1; 81H525).

An example of such strategies is shown in Scheme 2. Compound **29** is benzylated exclusively at N-1, and thus the benzyl group has been used as a protecting group to carry out selective N-methylation (81H525) and N-glycosylation (87MI1).

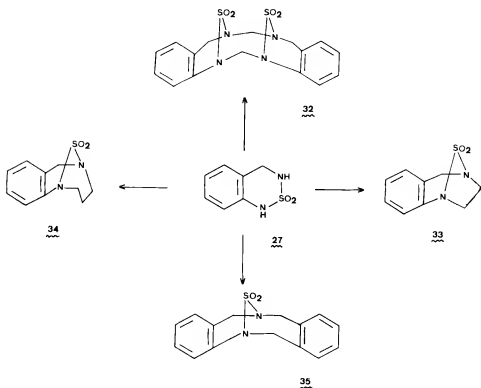
Aminopyrido[2,3-*c*]- and pyrazino[2,3-*c*]-1,2,6-thiadiazine dioxides **17** and **18** can be methylated at N-1 or N-8, but no N-8 alkylation occurs if substituents are present at C-7 (84JHC861; 86CS607).

Reactions between dimethyl sulfate and 1*H*-2,1,3-benzothiadiazine 1,1-dioxide (**27**) and its derivatives have been reported (62JA1994; 78JHC1521) (Scheme 3). With methylene iodide, **27** affords the dimer product **32**, but with ethylene bromide, 1,3-dibromopropane, and α,α' -dibromo-*o*-xylene, 1,3-bridged derivatives **33**, **34**, and **35** are obtained (71M1055; 71M1583).

ii. *Glycosylation.* Several ribosides and glucosides derived from 1,2,6-thiadiazine systems and fused derivatives have been prepared as sulfur dioxide analogs of pyrimidine and purine nucleosides. In most cases, the gly-



SCHEME 2



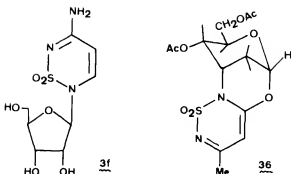
SCHEME 3

cosylation procedures used have been the "silyl method" and the mercuric cyanide/nitromethane procedures (78MI1). The structures of these nucleosides have been established in the usual manner in nucleoside synthesis (i.e., site of glycosylation by comparison of UV data with suitable alkyl models and anomeric configurations by NMR parameters).

There are two reports dealing with X-ray diffraction analysis: One corresponds to the cytidine analog **3f** (81CB1269) and the other to the anhydronucleoside **36** (74JHC281).

In 1,2,6-thiadiazine derivatives, glycosylation generally takes place at N-1 (81CB1269, 81JHC459). 3,5-Diaminothiadiazone **11a** affords both a C- and an O-glucoside. An analog of an N-substituted barbituric acid has been glycosylated and the corresponding glucoside **22** exists at room temperature as a mixture of *syn*- and *anti*-rotamers (87MI2) (Section II,A,4,c).

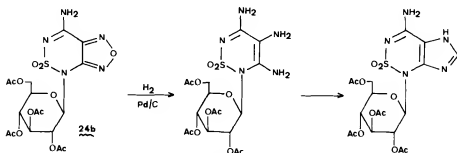
Fused systems are preferentially glycosylated at the thiadiazine moiety and in the case of triazolo[4,5-*c*]- and imidazo[4,5-*c*]-1,2,6-thiadiazine dioxides **16a** and **29**, diribosides were also obtained (82JHC305; 84MI1). Selective



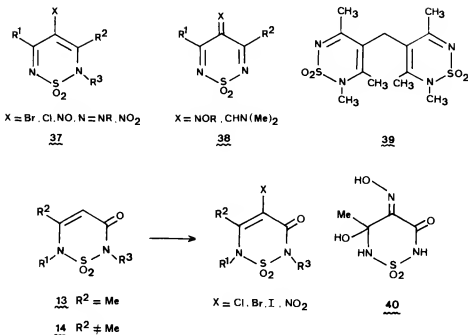
glycosylation of the latter at the imidazole ring has been reported (87MI1). An SO_2 analog of doridosine has also been prepared (88AP99). Furazano[3,4-*c*]-1,2,6-thiadiazine **24a** has been glycosylated both at the thiadiazine and at the oxadiazole rings, and its glucoside **24b** has been used as starting material for the preparation of other nucleosides, as shown in Scheme 4 (82JHC305).

Pyrazino[2,3-*c*]-1,2,6-thiadiazine 2,2-dioxides **15** have been glycosylated to give monoribosides at N-1 and N-8 and diribosides at N-1, N-4 (86LA1872). The fully deblocked N-1-glucosides (**15c–e**) exist at room temperature as a mixture of rotationally restricted *syn*- and *anti*-rotamers (Section II,A,4,c).

d. *Electrophilic Attack at Carbon.* 3,5-Disubstituted 1,2,6-thiadiazine 1,1-dioxides **1** and **2** have been shown to react with a number of electrophiles affording 4-substituted products **37** and **38**. Halogenation, nitrosation, diazo coupling, and Vilsmeier reactions have been performed (74JCS(P1)2050). Although nitration had been claimed to take place only with N-methylated substrates, a more recent paper reports successful nitration of unsubstituted compounds (86MI1).



SCHEME 4

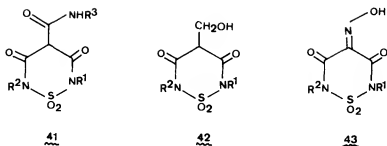


Reaction of **1** and **2** with formaldehyde affords bisthiadiazinylmethane derivatives, such as **39** (74JCS(P1)2050; 85T3105).

3-Oxo-1,2,6-thiadiazine 1,1-dioxide derivatives can be halogenated at the 4-position (79LA950; 80JHC977; 88JCR(S)94) and nitrated (86MI1). Compound **13a** ($\text{R}^3 = \text{Ph}$, $\text{R}^2 = \text{Me}$, $\text{R}^1 = \text{H}$) afforded with bromine in acetic acid both the 4-bromo- and the 5-bromomethyl derivatives **14** ($\text{R}^1 = \text{H}$, $\text{R}^2 = \text{CH}_2\text{Br}$, $\text{R}^3 = \text{Ph}$). Reaction of **13** ($\text{R}^2 = \text{Me}$, $\text{R}^1 = \text{R}^3 = \text{H}$) with sodium nitrite afforded the 4-hydroxyimino derivative **40**, which was isolated with a molecule of water covalently bound to the $\text{C}=\text{N}$ -6 double bond (78JHC253).

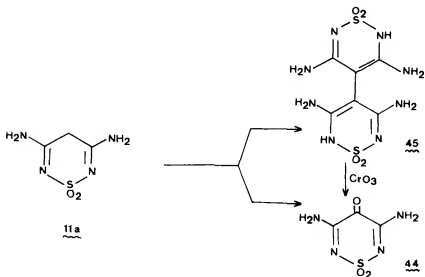
2,6-Disubstituted 3,5-dioxothiadiazines **5** react with different isocyanates to yield the corresponding 4-amido derivatives **41** (82GEP3230332), and with formaldehyde to yield the 4-hydroxymethyl (**42**) or bisthiadiazinylmethane derivatives (60USP2956997). Nitrosation affords 4-hydroxyimino derivatives **43**.

3,5-Diaminothiadiazine **11a** affords the 4-bromo and 4,4'-dibromo derivatives with bromine in water, and the 4,4-dichloro compound with hydrogen peroxide/hydrochloric acid (86FES862). The 4-hydroxyimino derivatives of 3,5-diaminothiadiazine **11b** (76JHC793) and of 3-oxo-5-aminothiadiazine **4b** (78JHC221) have been prepared. Reactions of aminothiadiazines with 1,3-dielectrophiles will be described in Section II,C,2,a.



Concerning reactions of 1,2,6-thiadiazines with oxidants, reaction of 3,5-diaminothiadiazone **11a** with chromium trioxide in acetic acid resulted in smooth conversion to ketone **44** in high yield. Compound **11a** with selenium dioxide afforded 4,4'-bisthiadiazone **45**, which by oxidation with chromium trioxide yielded ketone **44** (77JHC431) (Scheme 5).

3,4-Dihydro-1*H*-2,1,3-benzothiadiazine 2,2-dioxide (**46**) has been oxidized to 3*H*-2,1,3-benzothiadiazine 2,2-dioxide (**47**) with 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (Scheme 6). The oxidation may also be carried out by irradiating a solution of the dihydro compound while adding bromine. With *N*-bromosuccinimide (NBS), and if substituents are not present in the 6- or 8-position, bromination occurs prior to oxidation (79JHC1069).



SCHEME 5



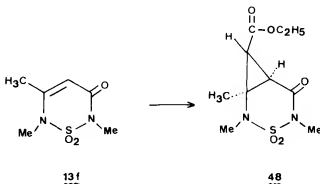
SCHEME 6

c. Nucleophilic Attack at Carbon. Reduction. Catalytic hydrogenation of 1,2,6-thiadiazine derivatives with platinum oxide gives the corresponding dihydro compound (72JHC973; 73JHC469). 1*H*-2,1,3-benzothiadiazine 2,2-dioxide (**27**) has been reduced with Raney nickel (70M1443) and its 4-oxo derivative with lithium aluminum hydride (79JOC2032) to the 3,4-dihydro compounds. Catalytic hydrogenation of 3,5-dimethyl-1,2,6-thiadiazine 1,1-dioxide **1b** using Adams catalyst has been reported (64JOC1905).

f. Reactions with Carbenes. Addition of ethoxycarbonyl-carbene to the C-4=C-5 double bond of trimethyl-1,2,6-thiadiazinone **13f** to give adduct **48** has been reported (82H401) (Scheme 7). Attempts to prepare cyclopropane adducts from other thiadiazinone derivatives were unsuccessful.

2. Reactions of Substituents

a. N-Linked Substituents. The hydroxyimino group at the 4-position of 1,2,6-thiadiazines can be reduced to amino by catalytic hydrogenation



SCHEME 7

(78JHC221, 78JHC253) or with sodium dithionite (76JHC793) and it can be oxidized to the corresponding nitro derivative with chromium trioxide (77JHC431).

Acylation of the amino group at the 4-position of 3,4,5-triaminothiadia-zine **10b** with trifluoroacetic acid, and with acetyl, benzoyl, caproyl, and *p*-toluenesulfonyl chloride, has been described (86FES862). The 4-aryl-diazonium salts have also been prepared (77JHC427). Reactions of 4,5-diaminothiadiazines are described with regard to the preparation of fused systems in Section II,C,2,a.

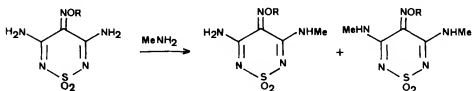
Nucleophilic substitution on the 3- and 5-positions of 3,5-diaminothiadiazine is possible only if electron-withdrawing substituents are present at C-4, as in structures **11c** and **11e** (88UP2) (Scheme 8).

Another example of nucleophilic substitution is provided by 7-amino-4*H*-furan[3,4-*c*]-1,2,6-thiadiazine **24a** and its 4-methyl derivative **24c**. With nucleophilic agents these compounds give either derivatives resulting from the displacement of the 7-amino group or those produced by cleavage of the thiadiazine ring, depending on the experimental conditions (83H2351) (Scheme 9).

In a patent (49USP2473042) it was claimed that the amino groups of 3,5-diaminothiadiazine **11a** could be hydrolyzed in dilute acid to the 3,5-dioxo compound, but no physical constants were given. However, this is only possible when N-2 is substituted as in **9**, which can, in fact, be converted into **4** (88JCS(P1)1271) (Scheme 10).

b. *O-Linked Substituents*. Halogenation at the 3-position of a 5-methylthiadiazinone (**13g**) with phosphorous pentachloride and *N,N'*-dimethylaniline has been carried out to yield the 3-chloro compound. This halogen atom can react with nucleophiles, such as alcoholates or amines, to give the corresponding substitution products (79LA950) (Scheme 11).

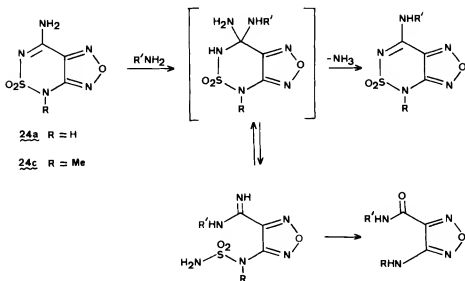
c. *C-Linked Substituents*. An example of enhanced reactivity of a thiadiazine substituent is provided by the 3-methyl group of bisthiadiazinyl-



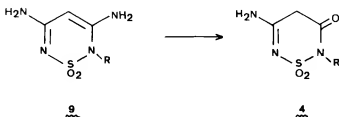
11c R = Me

11e R = Tos

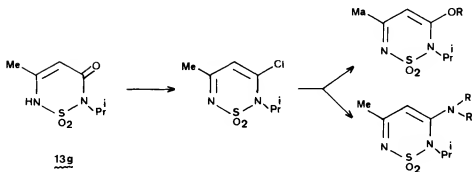
SCHEME 8



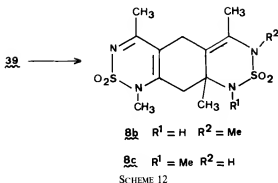
SCHEME 9



SCHEME 10



SCHEME 11



methane derivative **39**, which, in a basic medium, can attack an electron-deficient position of the other thiadiazine moiety to give **8b** and **8c** as a result of an intramolecular cyclization (85T3105) (Scheme 12).

Hydrogenolytic removal of the benzyl groups at the ring nitrogens of thiadiazines and fused systems has been reported (81H525; 84CCC840; 87MI1; 88JCS(P1)1271).

C. SYNTHESIS

1. 1,2,6-Thiadiazine 1,1-Dioxides

The different possibilities for the formation of the thiadiazine ring according to the fragments used as starting materials are shown below.



[3+3]



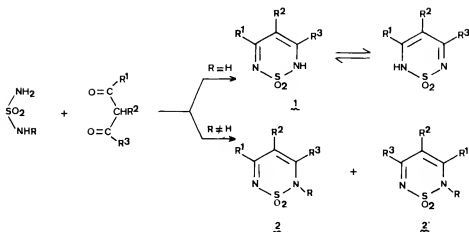
[4+2]



[5+1]

a. *From [3 + 3]-Atom Fragments.* The most important method for the synthesis of 1,2,6-thiadiazine 1,1-dioxides is reaction of sulfamide or its N-substituted derivatives with 1,3-dielectrophiles. In view of the wide variation of carbonyl compounds which may be used in this reaction, this section will be further divided on the basis of the carbonyl function employed.

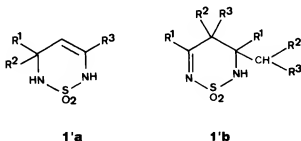
i. *Ketones.* Reactions between sulfamide and N-substituted sulfamides and 1,3-dicarbonyl compounds or their acetal derivatives in acidic media



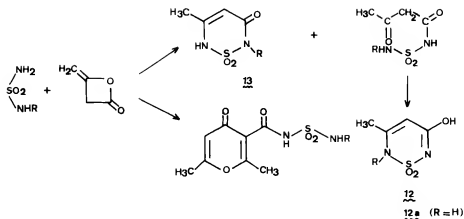
SCHEME 13

afford 3,5-disubstituted 1,2,6-thiadiazine 1,1-dioxides **1**, **2**, and **2'** (52JOC339; 64JOC1905; 65M216; 82JOC536). When unsubstituted sulfamide ($\text{R} = \text{H}$) is used and $\text{R}^1 = \text{R}^3$, only one prototropic compound (**1**) is isolated. With N-substituted sulfamides, two isomers, **2** and **2'**, can be obtained. The use of 2,3,4-pentanetrione-3-arylhydrazones yields the corresponding 4-arylazo derivatives **1** ($\text{R} = \text{N}=\text{N}-\text{Ar}$) (72JMC435) (Scheme 13).

α,β -Unsaturated ketones react with sulfamide in alcoholic solution saturated with hydrogen chloride to give 5,6-dihydro-1,2,6-thiadiazine 1,1-dioxides **1'a**. Cyclization proceeds particularly smoothly with *p*-halogenobenzylideneacetophenones (63AG(E)737). Acid-catalyzed condensation of one mole of sulfamide with two moles of monoketones yields also 3,4-dihydro derivatives **1'b**. In some cases, two different isomers can be isolated (64JOC1865).



ii. *Diketene*. There have been different publications dealing with the reaction between sulfamides and diketene, while condensation with β -keto

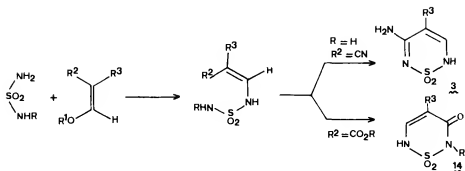


SCHEME 14

esters has not been reported. Sulfamide and diketene afford 5-methylthiaziazinone **12a** (72JHC973). N-Alkylsulfamides have been reported to yield in alkaline medium N-acetoacetyl-N'-substituted sulfamides, which can be converted into 6-substituted thiaziazinones **12**. When the reaction is carried out in acetic acid in the presence of mercuric cyanide (73JHC469), the 2-substituted thiaziazinones **13** are obtained. However, other authors have claimed isolation of the two isomers independent of the reaction medium used (80JHC977; 88JCR(S)94). When N-glycosylsulfamides react with diketene in nitromethane with pyridine as catalyst, the corresponding N-sulfamoyl-2,6-dimethyl-4-pyrone-3-carboxamides are isolated (78JHC477). These reaction conditions favor pyrone formation even in the absence of the glycosidic moiety, a result which is consistent with other pyridine-catalyzed diketene reactions (86CRV241) (Scheme 14).

iii. *Ethoxymethylene compounds.* Esters and nitriles of 2-substituted 3-alkoxy-2-propenoic acids can be used for the preparation of 3-amino- and 3-oxothiaziazine dioxides bearing different substituents at C-4, such as compounds **3** and **14** ($R^2 = H$). As starting materials, sulfamide (78JHC253; 84CCC840), N-substituted sulfamides (79LA950), and silylated sulfamide (81CB1269) have been used. These reactions are usually carried out in basic medium and, in some cases, intermediate open-chain derivatives have been isolated (Scheme 15).

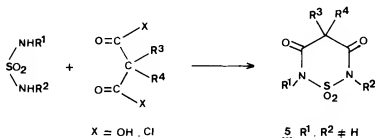
iv. *Malonic acid derivatives.* 3,5-Dioxothiaziazines **5** can be prepared from N-mono- and N,N'-disubstituted sulfamides and malonyl chloride, either prepared previously or generated *in situ* from malonic acid and



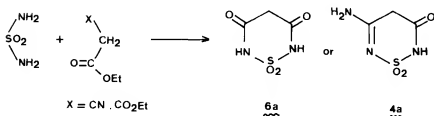
SCHEME 15

phosphorus oxychloride (48AG316; 86JCS(P1)643). Alkylmalonic acids yield thiadiazinones bearing substituents at C-4 (60USP2956997; 84M12). This procedure does not work for sulfamide itself, and malonyl disulfamide derivatives are obtained instead of the cyclic compounds (Scheme 16).

However, the parent compound **6a**, which is isolable only as a salt, can be prepared from sulfamide and ethyl malonate in the presence of potassium methoxide, and 3-oxo-5-aminothiadiazone **4a** from ethyl cyanoacetate under the same conditions (78JHC221) (Scheme 17).



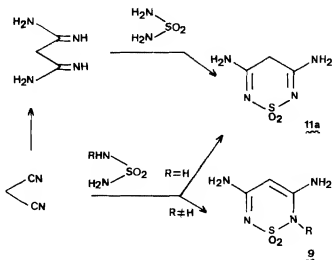
SCHEME 16



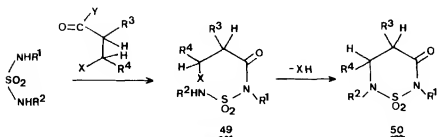
SCHEME 17

3,5-Diaminothiadiazone **11a** can be synthesized in a multistep procedure from malondiamidine and sulfamide (70UKZ77). Although a patent (48USP2454262) claimed that **11a** can be obtained directly from malononitrile and sulfamide in organic solvents, this reaction can only be achieved in diglyme with hydrogen chloride as catalyst. N-substituted derivatives **9** can be prepared in a similar way from suitable N-substituted sulfamides (88JCS(P1)1271) (Scheme 18).

v. *β -Halo acid derivatives.* According to a detailed patent, β -halo acid derivatives and sulfamides can react to yield N-acylsulfamides **49**, which by ring closure afford 3-oxotetrahydro-1,2,6-thiadiazone 1,1-dioxides **50** (59GEP1120457) (Scheme 19).



SCHEME 18



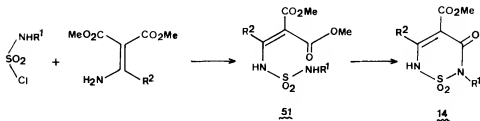
SCHEME 19

b. *From [4 + 2]-Atom Fragments.* Sulfamoyl chloride, available from chlorosulfonyl isocyanate (59CB509) and its N-substituted derivatives, which are in turn prepared from the corresponding sulfamic acids (76JOC4028), can be used for the preparation of thiadiazine dioxides. Thus, N-alkylsulfamoyl chlorides react with aminoalkylenemalonates to give sulfamidomethylene derivatives **51**, which are precursors of substituted 3-oxo-1,2,6-thiadiazine 1,1-dioxides **14** (79LA950) (Scheme 20).

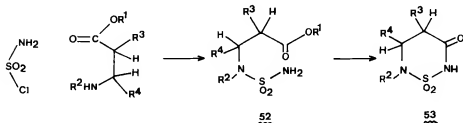
Sulfamoyl chloride and β -amino acid esters give β -sulfamido acid derivatives **52**, which can be cyclized to 3-oxotetrahydrothiadiazines **53** (59GEP1120457) (Scheme 21).

c. *From [5 + 1]-Atom Fragments.* N,N'-Dialkyltrimethylenediamines react with sulfuryl chloride in an organic solvent affording 2,6-dialkylperhydro-1,2,6-thiadiazine 1,1-dioxide derivatives **54** (53USP2624729). Trimethylenediamine and sulfamide yield the parent compound **54** (R = H) (78CB1915) (Scheme 22).

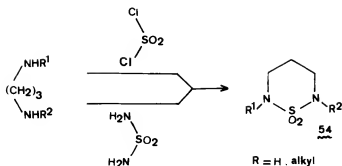
The use of N-chlorosulfonyl lactams for the preparation of 3-oxoperhydro-1,2,6-thiadiazine 1,1-dioxides **57** provides a different example of a [5 + 1]-reaction. These react with a weak base, such as 4-nitroaniline, in combination with triethylamine. The mechanism proposed (Scheme 23) involves formation of an intermediate (**55**), which is converted to the nucleophile **56** by the action



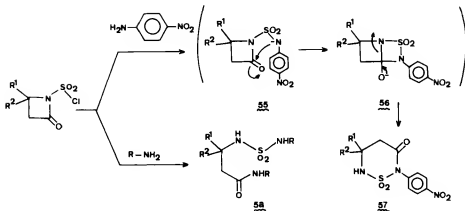
SCHEME 20



SCHEME 21



SCHEME 22

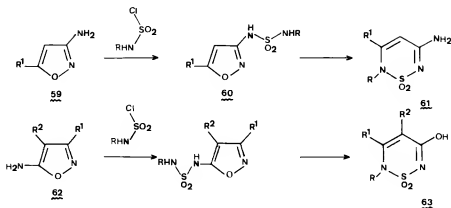


SCHEME 23

of triethylamine (75CB2137). When other primary amines or ammonia are used, the open chain derivatives **58** are obtained (63LA111).

d. *From Other Heterocycles.* i. *Isoxazoleamines.* 3-Aminothiadiazines **61** can be prepared according to Scheme 24. Reaction of 3-isoxazolamines **59** with N-substituted sulfamoyl chlorides or azides and triethylamine give isoxazylsulfamides **60**, which can be hydrogenated with Raney nickel catalyst in the presence of sodium methoxide. Hydrogenolysis of the isoxazole nucleus is accompanied by spontaneous ring closure to aminothiadiazines. 3-Hydroxythiadiazines **63** can be prepared in a similar manner from 5-isoxazolamines **62** (79JOC4191) (Scheme 24).

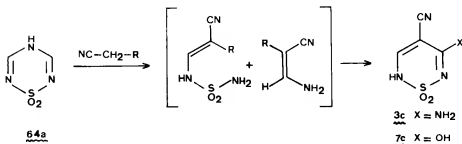
ii. *Thiatriazine 1,1-dioxide.* 4H-1,2,4,6-Thiatriazine 1,1-dioxide (**64a**) reacts with ethyl cyanoacetate and malononitrile in sodium methoxide to give



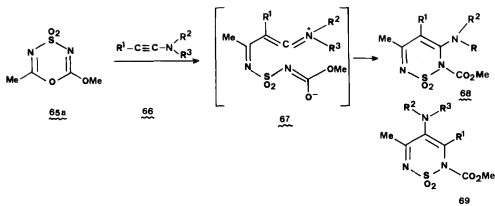
SCHEME 24

the corresponding 4-cyano-1,2,6-thiadiazine 1,1-dioxide derivatives **3c** and **7c** (84H471). The probable course of the reaction involves attack of two equivalents of the active methylene reagent at the electron-deficient 3- and 5-positions of the thiadiazine ring to give open-chain derivatives which cyclize in the basic medium (Scheme 25).

iii. *1,4,3,5-Oxathiadiazine 4,4-dioxide*. Reaction between 1-methoxy-6-methyl-1,4,3,5-oxathiadiazine dioxide **65a** and ynamines **66** give rise to 2-(methoxycarbonyl)-5-methyl-1,2,6-thiadiazine 1,1-dioxides **68** (80JOC721). Mechanistically (Scheme 26), the formation of these products can be rationalized by invoking the intermediacy of a ring-opened species, such as **67**, which recyclizes to give thiadiazines **68**. Such an intermediate could arise either by nucleophilic attack by the ynamine at C-6 of the oxathiadiazine (which would result in direct ring opening), or by cycloaddition of the ynamine across the N-5=C-6 double bond to give a bicyclic intermediate,



SCHEME 25



SCHEME 26

which could then fragment to give rise to 67. When ynamine 66 (R^1 = benzyl, $-NR^2R^3$ = pyrrolidine) was used, isomer 69 could be isolated in minor amounts together with 68.

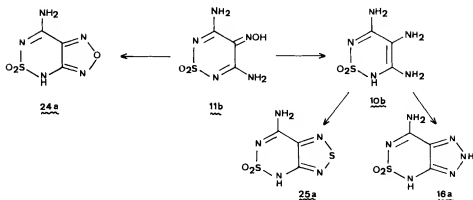
iv. *1,2,6-Thiadiazines and 1,2,6-thiadiazine S-oxides.* 1,2,6-Thiadiazines and their corresponding S-oxides can be oxidized with *m*-chloropero-benzoic acid to the corresponding S-dioxides (81JCS(P1)1891).

2. Fused 1,2,6-Thiadiazine Systems

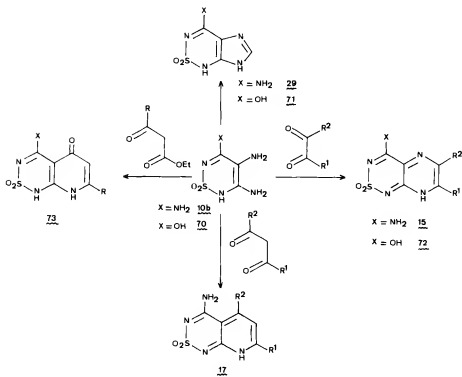
A wide variety of 1,2,6-thiadiazine systems fused to different five- and six-membered rings has been reported. The syntheses of these compounds can be accomplished starting either from the thiadiazine ring or from the other heterocyclic moiety.

a. *From Thiadiazines.* Most of the synthetic routes to fused 1,2,6-thiadiazine derivatives take advantage of the reactivity of C-4 of this ring. The 4-hydroxyimino compound 11b can be easily prepared and then oxidized with lead tetraacetate to the furazano[3,4-*c*]thiadiazine 24a, or reduced to the 4,5-diaminothiadiazine 10b, which is a valuable precursor for the preparation of fused systems (76JHC793). Thus, 10b with *N*-thionylaniline yields the thiadiazolo[3,4-*c*]thiadiazine 25a (79JMC944) and, through the corresponding diazo compound, the triazolo[4,5-*c*]thiadiazine 16a (77JHC427) (Scheme 27).

Diaminothiadiazines 10b and 70 react with potassium dithioformate to give imidazo[4,5-*c*]thiadiazines 29 (76JHC793) and 71 (78JHC221) (Scheme 28),



SCHEME 27



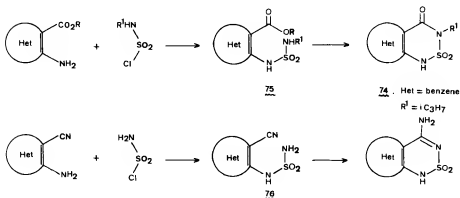
SCHEME 28

and with 1,2-dicarbonyl compounds to yield pyrazino[2,3-*c*]thiadiazines **15** and **72** (84JHC861; 88LA121). 4-Aminopyrido[2,3-*c*]1,2,6-thiadiazines **17** have been obtained from **10b** and suitable 1,3-dicarbonyl compounds (86CS607). Compounds **10b** and **70** with keto esters afford thiadiazines fused to 4-pyridones, such as **73** (88M12).

b. *From Other Heterocycles.* The usual procedure for preparing fused thiadiazine systems (depicted in Scheme 29) is a two-step approach involving sulfamoylation of a suitable functionalized heterocycle, followed by ring closure.

The first example reported was the synthesis of 2,1,3-benzothiadiazin-4(3*H*)-one 2,2-dioxides **18** from anthranilic acid derivatives (62JA1994). This procedure was extended to other heterocycles, including azoles (77HCA521; 79JMC944; 86H3451), thiophenes (84AP777; 85S190), and six-membered rings (77HCA521; 78JOC3824). The phytotoxic properties found in bentazone, 3-isopropyl-1*H*-2,1,3-benzothiadiazin-4(3*H*)-one 2,2-dioxide (**74**) (66GEP1542838), prompted the preparation of a large number of benzothiadiazinones (72GEP2105687; 73GEP2165555; 74USP3822257; 75GEP2335113; 76GEP2444822, 76GEP2458343, 76GEP2656290; 81AG(E)151), pyridothiadiazinones (75USP3920641; 76GEP2430353, 76USP3989507; 77USP4014888; 81GEP2940698), and other related bicyclothiadiazinones (79USP4139700; 80USP4182623).

The reaction of the exocyclic amino group is usually carried out in an inert solvent and sometimes in the presence of triethylamine (78JOC3824; 86H3451). When the amino group is not very reactive it can be activated by silylation (79JMC944; 86H3451). In some cases, the intermediate sulfonylamino esters (**75**) and nitriles (**76**) have been isolated (84AP777; 85S190).



SCHEME 29

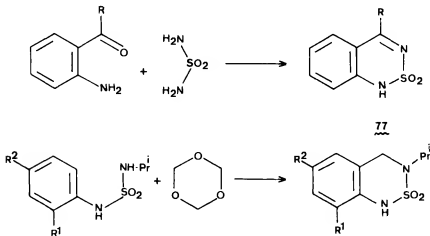
The subsequent ring closure can be carried out in different media. Basic conditions can be used to cyclize the sulfonylamino derivatives obtained from unsubstituted sulfamoyl chloride (62JA1994; 79JMC944). For branched alkyl groups (**75**, $R^1 = \text{Pr}^i$), the use of trifluoroacetic acid/trifluoroacetic anhydride and *tert*-butyl esters (**75**, $R = \text{Bu}^t$) works better (78JOC3824; 84AP777), although some authors have claimed cyclization of isopropyl substituted derivatives in sodium ethoxide (85S190).

Sulfamide and its derivatives can also be used to prepare fused thiadiazine systems. Reaction with 2-aminoacetophenones affords 1*H*-2,1,3-benzothiadiazine 2,2-dioxides **77** (65JOC3960). The corresponding 3,4-dihydro derivatives are readily available from 2-aminobenzylamines with either sulfonyl chloride (70M1443) or sulfamide (66USP3278532; 71M1055). These kinds of compounds can also be obtained from *N*-aryl-*N'*-alkylsulfamides and *s*-trioxane in a reaction involving cyclization by intramolecular sulfamido-methylation (79JOC2032) (Scheme 30).

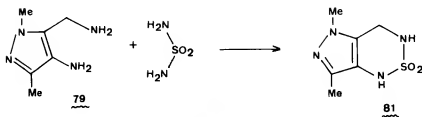
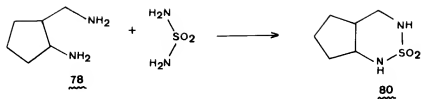
Aminomethyl derivatives, such as 2-aminomethyl-1-aminocyclopentane (**78**) and 5-aminomethyl-1,3-dimethyl-4-aminopyrazole (**79**), and sulfamide afford the fused derivatives **80** and **81** (76IJC(B)66) (Scheme 31).

Naphthothiadiazine 2,2-dioxide **82** cannot be prepared from 1,8-naphthalenediamine and sulfonyl chloride, but the use of sulfamide gives this compound in good yield (71JCS(C)993) (Scheme 32).

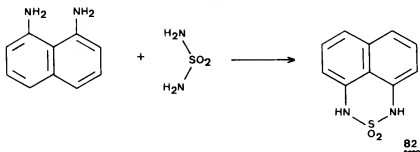
Acid-catalyzed condensation of 2-acetyl-1-tetralone with sulfamide affords **83** (76IJC(B)66). The sulfur dioxide isoester of norlumiflavine (**84**) can be obtained from quinoxaline-2-carboxylic esters and sulfamide (86AP79) (Scheme 33).



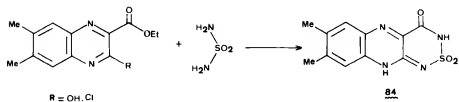
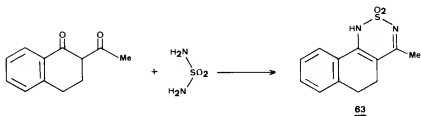
SCHEME 30



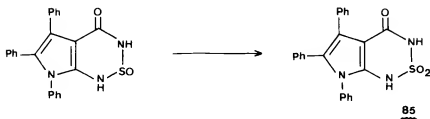
SCHEME 31



SCHEME 32



SCHEME 33



SCHEME 34

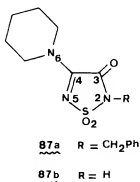
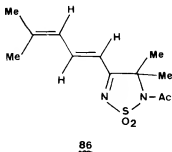
In some instances, the dioxides can be obtained from the corresponding sulfur compounds by oxidation with hydrogen peroxide (71LA171; 81AP168), as in the case of pyrrolo[2,3-*c*]-1,2,6-thiadiazine **85** (Scheme 34).

III. 1,2,5-Thiadiazole 1,1-Dioxides and Fused Systems

A. STRUCTURE

1. *Molecular Dimensions: X-Ray Diffraction*

To our knowledge, only two 1,2,5-thiadiazole 1,1-dioxide derivatives have been studied by X-ray crystallographic analysis. This technique was applied to compound **86**, final product of an amino-Claisen rearrangement (Section III,B,2,d), to confirm the proposed structure (80JOC482). On the other hand, the diffraction analysis of compound **87a** has been done (88UP3) to explain some striking ¹H- and ¹³C-NMR spectral features (Section III,A,4) (87JCS(P1)955). In this case, two different but very similar thiadiazole molecules were found in the crystal, both showing a remarkable shortening of the C-4—N-6 bond and a planar geometry around N-6.



The 1,2,5-thiadiazole 1,1-dioxide ring present in compounds **86** and **87a** is planar, with bond lengths and angles similar to those found in 1,2,5-thiadiazoles and in their 1-oxides (**84MI3**); only the C-3—C-4 bond is abnormally long in **87a**. As in the case of most 1,2,6-thiadiazine 1,1-dioxides (see Section II,A,2), the sulfone group has a distorted tetrahedral arrangement, and S—O linkages have some intermediate bond character between single and double.

2. Molecular Spectra

a. *¹H-NMR Spectra.* This technique has been mostly used to establish structures and in some studies on tautomerism. Spectra of thiadiazole and thiadiazoline 1,1-dioxides with hydrogen atoms linked to the ring carbon atoms have not been published, and thus only spectral data of some 2-acetyl-3,3-dimethylthiadiazolines (**80JOC482**); 2-substituted 4-alkoxy- and 4-amino-3-oxothiadiazolines (**75JOC2743**; **86JCS(P1)643**; **87JCS(P1)955**); and 4-alkyl- (or aryl-) 3-amino- (or hydroxy-) (**83JHC821**), 3,4-dialkoxy-, and 3,4-diaminothiadiazoles (**75JOC2743**; **88LA337**) are available. From the chemical shifts, a strong electron-withdrawing power, modulated by the different substitution pattern, can be deduced for the thiadiazole 1,1-dioxide ring (**87JCS(P1)955**).

A more complete study of the spectrum of the symmetrically substituted thiadiazolidine **88a** shows the equivalence of the ring-methylene protons. In the corresponding 1-oxide, these methylene protons can be considered as an A₂B₂ system, owing to the asymmetry of the sulfoxide group (**66MI1**).

The ¹H-NMR spectra of other closely related 2-mono- and 2,5-disubstituted thiadiazolidines (**78CB1915**), and those of some 2-acetyl-3,3-dimethyl- (**80JOC482**) and 3,4-dioxo-1,2,6-thiadiazolidines (**75JOC2743**; **83IC2095**), have also been published. No special features have been noticed in the spectra of 2,1,3-benzothiadiazoline 2,2-dioxides (**71JCS(C)993**; **80JHC383**; **81JMC1300**).

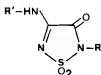
b. *¹³C-NMR Spectra.* Very few ¹³C-NMR spectral data of thiadiazole 1,1-dioxides have been reported. The C-3 and -4 atoms of 2-substituted 4-amino-3-oxothiadiazolines **87** and **89** appeared as two signals between 153 and 157 ppm, but the exact assignment was not carried out (**86JCS(P1)643**; **87JCS(P1)955**). The C atoms of some nonsymmetrically substituted 3,4-diaminothiadiazole 1,1-dioxides **90** have been reported to appear at 155.9 ppm (**82JMC207**); other compounds of this class prepared by us present two signals in this region, separated by 0.2 ppm (**88LA337**). Carbon signals of salt **91a** appear at lower field (171.9 ppm), probably owing to the charge delocalization

(75JOC2743). Some spectra of 2,1,3-benzothiadiazolines have been reported (84JCS(P2)1851).



88a $R = Et$

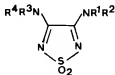
88b $R = H$



89a $R \neq H; R' = H$

89b $R, R' \neq H$

89c $R, R' = H$



90a $R^1, R^2, R^3, R^4 = H$

90b $R^1, R^2, R^3 = H; R^4 \neq H$

90c $R^1, R^3 = H; R^2 = R^4 \neq H$

90d $R^1, R^3 = H; R^2 \neq R^4$

90e $R^1, R^2, R^3, R^4 = Me$

c. *IR Spectra.* No extensive studies on the IR spectra of 1,2,5-thiadiazole 1,1-dioxide derivatives have been made, and so the few published data cannot be easily summarized. In most cases, the different bands were not assigned, but fortunately they could be easily identified.

No data about ring $C=N$ stretching of fully unsaturated thiadiazole 1,1-dioxides have been reported; nevertheless, this band appears in thiadiazoline 1,1-dioxides at $1620\text{--}1680\text{ cm}^{-1}$ (75JOC2743; 80JOC482; 86JCS(P1)643; 87JCS(P1)955). Ring NH groups of 2,1,3-benzothiadiazoline, 1,2,5-thiadiazoline, and 1,2,5-thiadiazolidine dioxides produce the expected stretching bands between 3100 and 3400 cm^{-1} ; it seems that there is no relationship between the number of NH groups and that of the appearing bands (65M216; 71JCS(C)993; 75JOC2743; 78CB1915; 80JHC383). The exocyclic NH stretching of aminothiadiazoles and aminothiadiazoles appears in the same region; various bands, four or five, were found in 4-amino-3-oxothiadiazoles **89a** and only one in 4-(substituted)amino-3-oxothiadiazoles **89b** (86JCS(P1)643; 87JCS(P1)955). Carbonyl stretching bands of 2-substituted or -unsubstituted 4-amino-3-oxothiadiazoles **89** appear at $1740\text{--}1760\text{ cm}^{-1}$ (86JCS(P1)643; 87JCS(P1)955; 88LA337); 3,4-dioxothiadiazoles present two bands between 1725 and 1800 cm^{-1} (75JOC2743; 83IC2095). Two SO_2 stretching bands between 1170 and 1350 cm^{-1} (74S22; 83IC2095) are frequently not assigned, but easily identified, in spectra of all thiadiazole derivatives.

d. *UV Spectra.* UV spectral data have been published by Carmack and co-workers for some thiadiazole 1,1-dioxides. It seems that only α,β -unsaturated 3-oxo compounds such as **89**, or those 3,4-diaminothiadiazoles

(90) in which an α,β -unsaturated 3-imino tautomer is possible (Section III.A.3), show an absorption maximum at 220–280 nm ($\log \epsilon = 3.9$). Fully unsaturated thiadiazoles, such as 92, in which tautomerism is not possible, show only terminal absorption in this zone (75JOC2743).

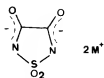
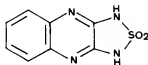
e. *Mass Spectra.* Mass spectrometry of 1,2,5-thiadiazole 1,1-dioxides has been used mostly to confirm expected molecular masses (78CB1915; 80JOC482). Although detailed fragmentation patterns of thiadiazoles have not been extensively studied, the elimination of SO_2 from the molecular peak seems to be a common feature (72OMS1313; 75JOC2743; 76JHC13; 86JCS(P1)643; 87JCS(P1)955).

3. Tautomerism

Only some considerations about oxo-hydroxy and imino-amino tautomerism have been reported for thiadiazole 1,1-dioxides. Although very few cases are known, it seems that potential 3-hydroxythiadiazoles exist only as 3-oxo tautomers. In fact, compound 93, the first of this class to be reported, was formulated as the 3-oxo derivative on the basis of NMR and IR spectral data (65M216). Furthermore, the carbonyl absorption of compound 89c at 1760 cm^{-1} (88LA337) is very similar to that found in the 2-substituted derivatives 89a and b (86JCS(P1)643; 87JCS(P1)955), in which oxo-hydroxy tautomerism is not possible. Although Carmack and co-workers (75JOC2743) reported that compound 87b did not show carbonyl absorption above 1700 cm^{-1} , we have demonstrated the structure of the product of these authors to be erroneous. The carbonyl absorption of real compound 87b (1740 cm^{-1}) is very similar to that found in the corresponding 2-benzyl derivative (87a) (1735 cm^{-1}) (87JCS(P1)955). On the other hand, although compound 94 was reported as the 3-hydroxy tautomer, no spectral data supporting the proposed structure were given (83JHC821).

Potential 3,4-dihydroxythiadiazole 1,1-dioxide 95a exists as the 3,4-dioxo tautomer; its IR carbonyl absorption is similar to that of 2,5-disubstituted derivative 95b, in which tautomerism is not possible. The lack of absorption in the $\text{C}=\text{N}$ stretching zone is also very evident in these compounds (75JOC2743).

The existence of imino-amino tautomerism in aminothiadiazole 1,1-dioxides was first suggested by Disselinkoetter (71GEP1961864). A relationship between the appearance of single or multiple bands in the 1590 to 1780 cm^{-1} region of the IR spectra of some of these compounds and the possibility of tautomerism was established by Carmack and co-workers (75JOC2743).

91a M = Na91b M = K91c M = Ag92939495a R = H95b R = Me96

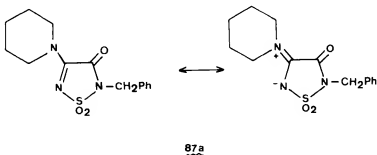
Although most authors represent amino or diamino derivatives as amino tautomers, no extensive studies have been done, and available data are somewhat confusing (79TL2827; 82JMC207, 82JMC210; 83JHC821; 86JCS(P1)643; 87JCS(P1)955). Nevertheless, some related open-chain sulfonylamidines were found to exist predominantly in the amino form (71JCS(C)1704).

Only in compounds such as **96**, in which the formation of a quinoxaline ring acts as a stabilizing factor, does the imino tautomer clearly predominate (75JOC2743).

4. Unusual Structures

The recently synthesized **87** showed some striking spectral features. In the $^1\text{H-NMR}$ spectra, two different signals were obtained for the methylene protons adjacent to the piperidine nitrogen atom, and in the $^{13}\text{C-NMR}$ spectra, the five piperidine C atoms produced five different signals. All these data indicate restricted rotation of the piperidine ring, which was further confirmed by X-ray diffraction analysis of **87a** (Section III.A,1). In fact, the C-4—N-5 and C-4—N-6 bonds have some intermediate character between single and double, and the geometry around N-6 is planar. Thus, this

compound is best represented, as originally proposed, as a resonance hybrid of the two depicted structures (87JCS(P1)955). A similar feature has been observed in some open-chain N-sulfonylamidines (71JCS(C)1704).



B. REACTIVITY

The reactivity of 1,2,5-thiadiazole 1,1-dioxide derivatives, as many other aspects of their chemistry, has not been fully explored, and only a heterogeneous series of generally unrelated reactions is known. Nevertheless, the discovery of the potential of thiadiazole 1,1-dioxides as “urea equivalent fragments” (82JMC207, 82JMC210) in the development of new histamine H_2 -receptor antagonists (Section VI) may perhaps increase the interest in this field.

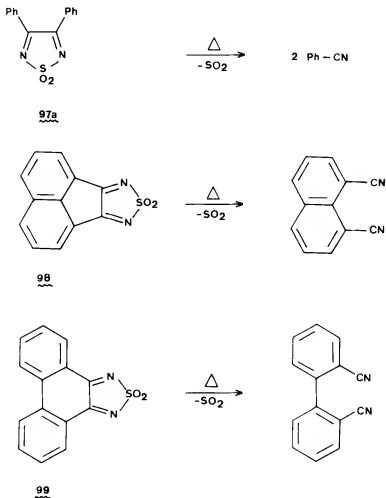
1. Reactions at the Heterocyclic Ring

a. Thermal and Photochemical Reactions Involving No Other Species.

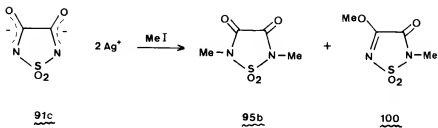
The pyrolysis of 1,2,5-thiadiazole 1,1-dioxides, such as **97a**, **98**, and **99**, to carbonitriles has been reported (74S22) (Scheme 35). 2,1,3-Benzothiadiazoline 2,2-dioxides and other related cyclic sulfamides are rapidly destroyed by UV light of 250–300 nm but the components of the resulting complex mixture have not been identified (71JCS(C)993).

b. *Electrophilic Attack.* Thiadiazoline and thiadiazolidine 1,1-dioxides having NH groups in the ring have been N-alkylated, -acylated, -carbamoylated, -sulfonylated, etc., following standard procedures (64JOC1905; 65USP3177221; 78CB1915, 78GEP2658906).

The silver salt **91c** can be alkylated with methyl iodide, producing a mixture of dimethyl derivatives **95b** and **100** (75JOC2743) (Scheme 36).

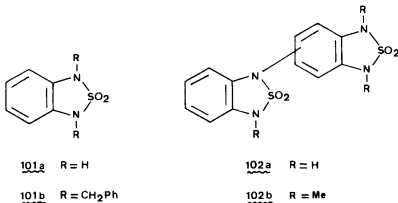


SCHEME 35

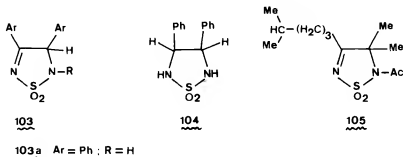


SCHEME 36

The oxidation of benzothiadiazoline **101a** using sodium hypochlorite has been reported to produce a polymer or the dimer **102a**, depending on the oxidant/thiadiazoline ratio. The dimer was isolated as the trimethyl derivative **102b**, but whether coupling occurred at the ortho or meta position was not established (71JCS(C)993).

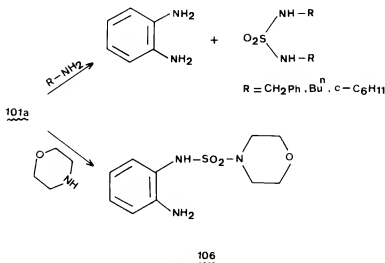


c. *Nucleophilic Attack.* 1,2,5-Thiadiazoline 1,1-dioxide **103a**, as well as the fully unsaturated 1,2,5-thiadiazole 1,1-dioxide **97a**, can be reduced to the saturated thiadiazolidine **104** using Adams catalyst (64JOC1905).



On the other hand, compounds **86** and **87a** can be transformed into **105** and **87b**, respectively, without affecting the ring double bonds using palladium as catalyst (80JOC482; 87JCS(P1)955).

Thiadiazoline and thiadiazolidine dioxide rings are easily opened by reaction with nucleophiles. Thus, taking advantage of the known amino-exchange reactions of sulfamides first reported by Paquin (48AG316), compound **101a** could be opened by treatment with amines yielding the



SCHEME 37

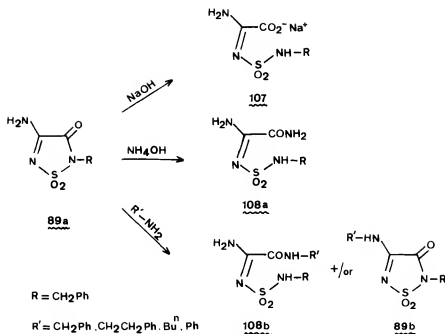
corresponding sulfamide or the half-exchange product **106** (82JCR(S)84) (Scheme 37).

The lack of stability of the ring toward nucleophiles has also been observed in 3-oxothiadiazoline **89a** ($R = CH_2Ph$) (Scheme 38). This compound reacted with sodium or ammonium hydroxide solution to yield opened products **107** and **108a**, respectively. With amines, depending on their basicity, ring-opened compounds **108b** and/or amino-exchange products **89b** were obtained (87JCS(P1)955).

3,4-Dioxothiadiazolidine 1,1-dioxide **95a** is easily hydrolyzed by water to produce sulfamide and, presumably, oxalic acid; nevertheless, its alkaline and silver salts (**91**) are stable (75JOC2743).

2. Reactions of Substituents

The most important reactions of substituted 1,2,5-thiadiazole 1,1-dioxides are, without doubt, nucleophilic displacements of heteroatom-containing groups at positions 3 and 4. The behavior of chloro, alkoxy, and amino derivatives has been compared with that of acid chlorides, esters, and amides, respectively. The enhanced reactivity of these compounds has been explained by taking account of the electron-withdrawing power of the SO_2 group, which also justifies the strong acidic character of compound **95a** (Section III,B,3) (75JOC2743).



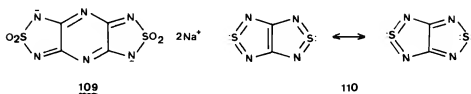
SCHEME 38

a. *N-Linked Substituents.* Aminothiadiazole derivatives easily undergo amino-exchange reactions when treated with amines. This behavior, similar to that found in sulfamides by Paquin (48AG316), has been observed in 3,4-diaminothiadiazole 1,1-dioxides **90** (88LA337), and in 4-amino-3-oxothiadiazole 1,1-dioxides **89a** (89JCS(P1)955) (Section III,B,1,c). A study leading to the preparation of thiadiazole-derived histamine H_2 -receptor antagonists (82JMC207, 82JMC210) (see Sections III,B,2,b and VI) by this procedure is in progress in our laboratories (Scheme 39).

Diamino compound **90a**, despite the amidelike character of its amino groups, can react with dimethoxy compound **92** in the presence of sodium methoxide to give **109** (75JOC2743). With sulfur mono- or dichloride, a concomitant reduction of the SO_2 group takes place, and the aromatic thiadiazolothiadiazole **110** is obtained (75JOC2749).

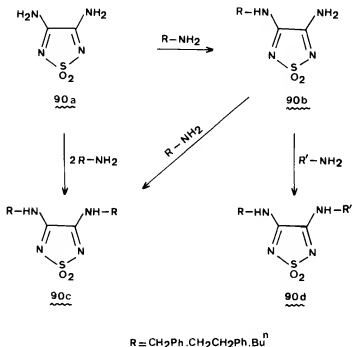
Imino- (71GEP1961864; 88UP4) and some amino-1,2,5-thiadiazole (88LA337) 1,1-dioxide derivatives are easily hydrolyzed to oxo compounds by acid or basic treatment respectively.

b. *O-Linked Substituents.* Treatment of salts **91a,b** with PCl_5 produced the dichloro derivative **111**, which reacted with methanol to yield the

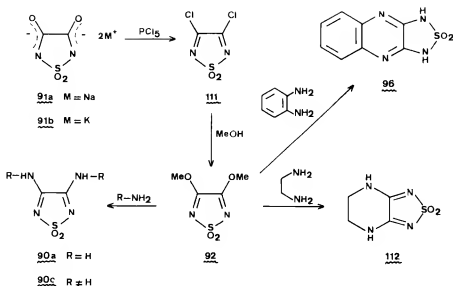


dimethoxy derivative **92**. This compound has been used to obtain, through a nucleophilic displacement, condensed heterocycles **96** and **112**, and amino derivatives **90a,c** (75JOC2743) (Scheme 40). Compounds **90b,d** carrying furan, imidazole, etc., derived chains, have been reported to be promising as histamine H_2 -receptor antagonists (82JMC207, 82JMC210). Numerous patents covering the preparation of these potential antiulcer agents by stepwise substitution of alkoxy by amino groups are known (see Section VI).

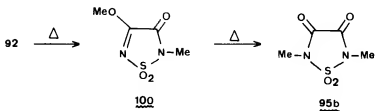
Following the same pattern, 4-phenyl-3-oxothiadiazoline 1,1-dioxides have been transformed into 4-phenyl-3-(substituted)aminothiadiazoles via the corresponding 3-chloro and 3-alkoxy derivatives (83JHC821). Another aspect



SCHEME 39



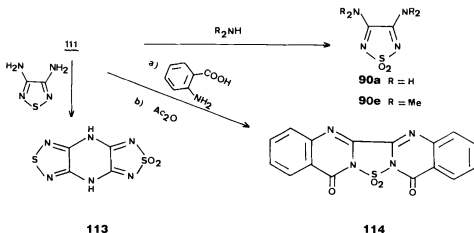
SCHEME 40



SCHEME 41

of interest in the chemistry of alkoxy derivatives is the rearrangement of O-alkyl to N-alkyl derivatives, illustrated by the thermal transformation of **92** into **100** and **95b** (Scheme 41). These compounds were also formed in the methylation of the silver salt **91c** (75JOC2743) (Section III,B,1,b).

c. Halogens. As mentioned in the preceding section, 3,4-dichloro-1,2,4-thiadiazole 1,1-dioxide **111** has been mostly used in the preparation of diamino derivatives and other compounds via the corresponding dialkoxy derivatives; nevertheless, in some cases, as in the preparation of **113** and **114** (Scheme 42), the dichloro derivative **111** has been used directly (75JOC2743; 76JHC13).

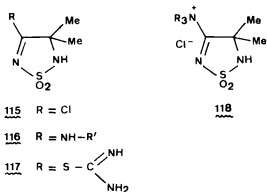


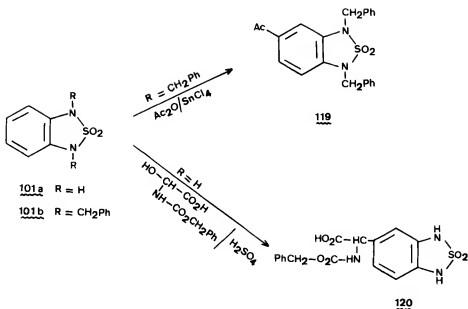
SCHEME 42

Following a related procedure, chlorothiadiazoline **115** can react with amines or thiourea to give the corresponding substitution products **116** and **117**. Treatment with tertiary amines yielded the thiadiazolylammonium salts **118** (75MI1).

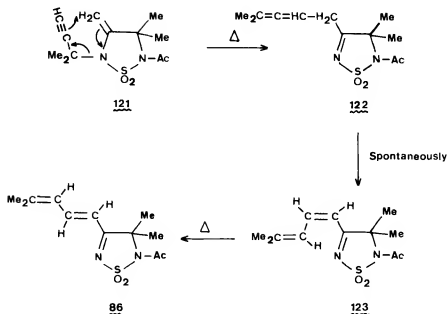
The acid hydrolysis of dichloro derivative **111** to give the dioxothiadiazolidine **95a** has also been reported (75JOC2743).

d. *Fused Benzene Rings and C-Linked Substituents.* The benzene ring of 2,1,3-benzothiadiazoline 2,2-dioxides **101** can react under Friedel-Crafts conditions to give the ketone **119** (81JMC1300) or the phenylglycine derivative **120** (80JHC383) (Scheme 43).





SCHEME 43



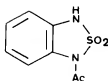
SCHEME 44

N-Benzyl groups of 1,2,5-thiadiazolines (88LA337) (Section III,B,1,c) and 2,1,3-benzothiadiazolines (81JMC1300) can be easily removed by hydrogenolysis, using palladium as catalyst. N-*tert*-Butyl groups of some thiadiazolidines have been removed by treatment with trifluoroacetic acid (78CB1915, 78GEP2658906).

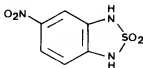
The amino-Claisen rearrangement of compound **121** to compounds **123** and **86** has also been reported (Scheme 44). The intermediate compound **122** has been detected but not isolated (80JOC482).

3. Acidity and Basicity

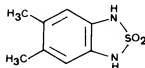
1,2,5-Thiadiazole 1,1-dioxide derivatives may be acidic owing to the ring NH groups or to the substituents. The acidic character of 2,1,3-benzothiadiazoline 2,2-dioxides has been studied by Spillane and co-workers. The pK_a values of these compounds are ~ 2 units lower than those of the corresponding benzothiadiazines, and ~ 4 units lower than those of the related open-chain sulfamides. Thus, compound **101a** has a pK_a of 6.41 while acyclic analogs, such as *N*-phenyl- or *N,N'*-diphenylsulfamide, have pK_a values of 11.1 and 10.3, respectively. This enhanced acidic character was attributed to the thiadiazole ring strain, and was shown to be modulated by the different substituents, as demonstrated by the pK_a values of 3.40, 2.85, and 6.88, respectively, of compounds **101c–e**. No overlapping of the two possible ionizations was observed in compounds such as **101d**, in which the two NH groups are not equivalent (84JCS(P2)1851). The lack of activity of some 2,1,3-benzothiadiazole analogs of epinephrine has been explained on the basis of these low pK_a values (81JMC1300).



101c



101d



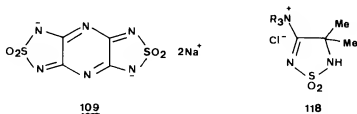
101e

Oxo- and dioxothiadiazole 1,1-dioxides are also very acidic. Although pK_a values have been reported only for dioxo compound **95a** ($pK_{a_1} = 2.20$, $pK_{a_2} = 5.55$) (75JOC2743), mention is made of the strong acidic character of other oxothiadiazoles (65M216; 88LA337).

Simple aminothiadiazole derivatives have pK_a values of 8.5–10 (82JMC207; 83JHC821). This amidelike acidity may contribute to the high

activity of the histamine H_2 -receptor antagonists containing the amino-thiadiazole fragment as "urea equivalent moiety" (82JMC207, 82JMC210) (Section VI). Fused thiadiazole dioxides having tautomeric NH groups are acidic compounds. The depicted *p*-quinonoid structure with maximum separation of the negative charges was proposed to be preferred for the salt **109** (75JOC2743).

On the other hand, despite the weak basicity of these amino derivatives, the isolation of thiadiazolylammonium salts **118** has been claimed by authors in the Soviet Union (75MI1).



C. SYNTHESIS

1. 1,2,5-Thiadiazole 1,1-Dioxides and Their Benzo Derivatives

Most syntheses of the title compounds from nonheterocyclic materials use similar strategies, generally based on sulfamides or sulfonyl chloride reactivities. A classification of the known methods, according to the fragments used, is gathered below. Very few methods of synthesis of thiadiazole 1,1-dioxide derivatives from other heterocyclic compounds are known.



a. *From [3 + 2]-Atom Fragments.* All these syntheses use sulfamide or N-substituted sulfamides as the three-atom fragment. Depending on the two-carbon-atom fragment, a further division can be introduced.

i. *Glyoxal, α -diketones, and α -hydroxy ketones.* The addition of sulfamide to glyoxal to produce 3,4-dihydroxy-1,2,5-thiadiazolidine 1,1-dioxide has been claimed in some patents (70USP3512922; 72USP3669977).

A great number and variety of α -diketones, including the rigid acenaphthenequinone, phenanthrenequinone, and pyrenequinone, have been condensed with sulfamide both under basic or acidic catalysis to give simple or condensed thiadiazole 1,1-dioxides, such as **97**, **98**, or **99** (64JOC1905; 65M216; 74S22). Although the reaction of benzil with sulfamide under acidic or weakly basic conditions yielded the expected 3,4-diphenyl derivative **97a** (64JOC1905), the reaction using concentrated potassium hydroxide as catalyst produced, through a rearrangement, the 3-oxo-4,4-diphenylthiadiazole **93** (65M216).

**93****97** $R, R' = \text{Ar}, \text{Me}$ **97a** $R = R' = \text{Ph}$ **103a** $\text{Ar} = \text{Ph}; R = \text{H}$ **103b** $\text{Ar} = \text{Ph}; R = \text{Bu}^n$

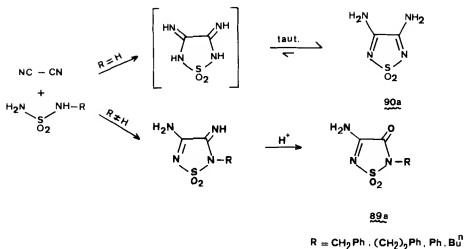
Following a rather similar procedure, the reaction of benzoin with sulfamides afforded the thiadiazoline 1,1-dioxides **103** (64JOC1905).

ii. *Oxalic acid derivatives.* Carmack and co-workers reported the condensation of ethyl oxalate and sulfamide in the presence of bases, and showed the cyclic nature of the resulting 3,4-dioxo-1,2,5-thiadiazole salts **91** ($M = \text{Na}$ or K). One of these had been previously prepared by another procedure (Section III,C,1,c); an open structure was proposed. Treatment of potassium or silver salts with a cation-exchange resin or with hydrogen sulfide, respectively, afforded the free dioxo compound **95a** (75JOC2743).

Acylation of *N,N'*-dimethylsulfamide with oxalyl chloride has been reported to produce the 2,5-disubstituted 3,4-dioxothiadiazole **95b** (83IC2095). This compound had been previously prepared by Carmack and co-workers (Sections III,B,1,b and III,B,2,b) by two different procedures, which implied transformations on a preformed thiadiazole derivative.

We have found in our laboratories that the reaction of cyanogen with sulfamide or *N*-substituted sulfamides in the presence of hydrogen chloride produces, respectively, 3,4-diamino compound **90a** (88LA337) or an imino derivative easily hydrolyzed to **89a** (Scheme 45).

iii. *Others.* The condensation of ethyl phenylglyoxylate with sulfamide has been reported to produce 3-oxo-4-phenylthiadiazoline 1,1-dioxide **94** (83JHC821).



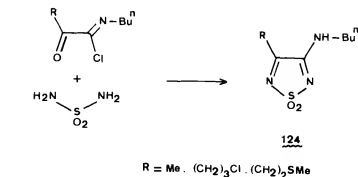
SCHEME 45

(Substituted)aminothiadiazole 1,1-dioxides **124** and **89b** have been obtained respectively from α -ketoimidoyl chlorides (83JHC821) or from chloro(ethoxycarbonyl)methyleneiminium salts (79TL2827) and sulfamides (Scheme 46).

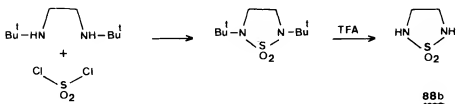
b. *From [4 + 1]-Atom Fragments.* These methods start from sulfamides or sulfonyl chloride as the one-atom fragment. As the four-atom fragment, ethylenediamines, *o*-phenylenediamines, 2-aminonitriles, and α -diimines have been used.

The known amino-exchange reactions of sulfamides first reported by Paquin (48AG316) have been used frequently in the preparation of thiadiazoline dioxides derivatives. Thus, the reaction of *o*-phenylenediamines with sulfamide in boiling diglyme, although sometimes unreliable (81JMC1300), has been used to prepare benzothiadiazoline derivatives **101** (65USP3177221; 71JCS(C)993; 82JCR(S)84; 84JCS(P2)1851). Nevertheless, although 1,3-diaminopropane and longer α,ω -diaminoalkanes react with sulfamide to give cyclic derivatives, the reaction of ethylenediamine and sulfamide yields only polymeric material (78CB1915), and not 1,2,5-thiadiazolidine 1,1-dioxide **88b** as reported (65CI(M)1200; 67JAP4666; 69YGK980).

The reaction of ethylenediamines with sulfonyl chloride, reported in 1953 (53USP2624729), was the first method employed in the preparation of 1,2,5-thiadiazolidine 1,1-dioxides, and has found little use since then (66MI1; 78CB1915). Nevertheless, this procedure (Scheme 47) was used to indirectly prepare the unsubstituted thiadiazolidine **88b**, which, as mentioned above, could not be obtained by amino-exchange reactions (78CB1915).



SCHEME 46

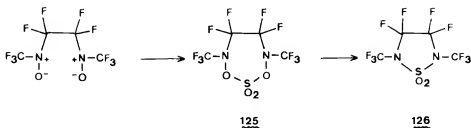


SCHEME 47

Only a direct synthesis of 4-halothiadiazolines such as **115**, based on the reaction of 2-aminoacetonitriles with sulfur chloride, is known (75MI1).

Another example of thiadiazole 1,1-dioxide synthesis using sulfur chloride is the reaction with benzilbis(trimethylsilyl)imine, which has been reported to afford the 3,4-diphenyl derivative **97a** (68LA174).

c. *From Other Heterocycles.* Ethylene- (53USP2624729) and *o*-phenylenediamines (81JMC1300), bisimino ethers (82JMC210), bis(trimethylsilyl)imines (68LA174), etc. can react with sulfur dichloride or thionyl chloride to

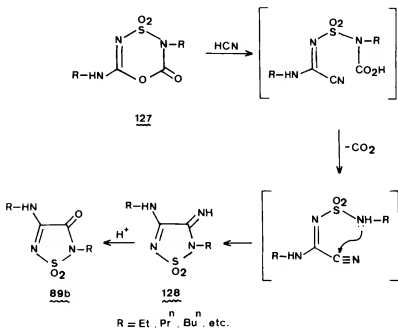


SCHEME 48

give thiadiazoles or their oxides, which, in turn, can be oxidized to the corresponding dioxides.

Another example which involves an oxidation reaction is the transformation of 2,1,3-benzothiadiazole into the potassium salt of 3,4-dioxo-thiadiazole 1,1-dioxide **91b** (75JOC2743) (Section III,C,1,a).

The perfluorothiadiazoledione **126** was obtained by treatment of compound **125** (itself formed from the depicted nitroxide and sulfur dioxide) with triphenylphosphine (84JCS(P1)1791) (Scheme 48).



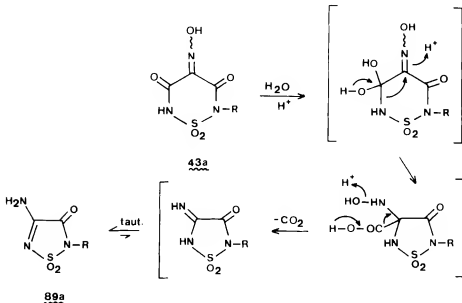
$\text{R} = \text{Et}, \text{Pr}^n, \text{Bu}^n, \text{etc.}$

SCHEME 49

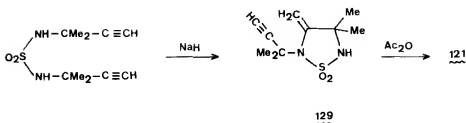
Two more complicated ring-contraction reactions, both yielding 4-amino-3-oxothiadiazoline 1,1-dioxides, are known. Disselkoetter reported (71GEP1961864) that the reaction of 1,4,3,5-oxathiadiazine **127** with hydrogen cyanide produced imino derivatives **128**, which were easily hydrolyzed to the corresponding oxo derivatives **89b** by acid treatment (Scheme 49). The course of the reaction may involve addition of cyanide ion, decarboxylation of the resulting "carbamic acid," and a nucleophilic attack at the carbonitrile group, as shown in Scheme 49.

Our research group has reported the ring contraction of 1,2,6-thiadiazine 1,1-dioxides **43a** to 1,2,5-thiadiazole 1,1-dioxides **89a**, which has been assumed to proceed through an alloxan-alloxanic acidlike rearrangement (Scheme 50) (86JCS(P1)643).

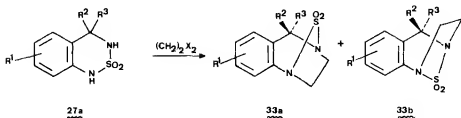
d. *Miscellaneous.* The cyclization of a *N,N'*-dipropargylsulfamide to thiadiazolidine **129** has been reported (Scheme 51). This product was too unstable to be isolated and its structure was established on the basis of the ^1H -NMR spectrum of the reaction crude mixture (78JOC61). Although the acetylated product **121** had increased stability, it easily underwent an amino-Claisen rearrangement (Section III,B,2,d) (80JOC482).



SCHEME 50



SCHEME 51



SCHEME 52

2. Other Fused Systems

Most syntheses of these compounds are based on the reactivity of 3,4-diamino-, 3,4-dimethoxy-, and 3,4-dichloro-1,2,5-thiadiazole 1,1-dioxides (75JOC2743; 76JHC13) (Section III,B,2,a-c).

Another method which has found a little use is exemplified by the alkylation of benzothiadiazine **27a** with ethylene halides to give the thiadiazolo-thiadiazine **33** (Scheme 52). When $R^2 \neq R^3$, the two possible stereoisomers, **33a** and **33b**, are obtained (71M1055) (Section II,B,1,c).

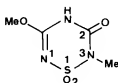
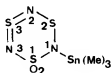
IV. Other Six-Membered Rings

These heterocycles include thiatriazine 1,1-dioxides, which have been the most studied six-membered heterocycles containing other heteroatoms besides the sulfamide moiety. The herbicidal activity claimed in a number of patents for 1,2,4,6-thiatriazine 1,1-dioxides has prompted the preparation and study of several of its derivatives. The less reported oxathiadiazine 4,4-dioxides and dithiadiazine 1,1-dioxides are also included in this section.

A. STRUCTURE

1. *Molecular Dimensions: X-Ray Diffraction*

Solid-state molecular structures of 5-azido-2*H*-1,2,4,6-thiatriazin-3(4*H*)-one 1,1-dioxide (**130**) (80JOC1662), 2-methyl-5-methoxy-1,2,4,6-thiatriazin-3(4*H*)-one 1,1-dioxide (**131**) (85LA2363), and 2-trimethylstannyl-1,3,5- λ^4 -trithiatriazine 1,1-dioxide (**132**) (79CB1372) have been confirmed by X-ray diffraction analysis.

**130****131****132**

All of these are nonplanar as expected from their nonaromatic character. In **130** and **131**, the SO₂ group is out of the plane formed by the other atoms at the ring as occurs in 1,2,6-thiadiazine 1,1-dioxides (Section II,A,2). In **131** the S-1—N-1 is a single bond, while the S-1—N-3 has some double bond character and is conjugated with the N-3—C-2 partial double bond. In compound **132**, N-1 is out of the plane formed by the other ring atoms. The Sn atom is coordinated with N-1 and one of the oxygens of the SO₂ group of other molecule-building dimers.

2. *Molecular Spectra*

a. *NMR Spectra.* Most of the NMR spectra of thiatriazine and oxathiatriazine derivatives reported have been registered in order to assign unequivocally the structure of the compounds.

¹H-NMR chemical shifts of methyl substituents in 1,4,3,5-oxathiatriazine 4,4-dioxides with no protons at the ring have been used to distinguish between a four- and a six-membered ring structure (73JOC1249).

The ¹H-NMR spectrum of the parent compound of 4*H*-1,2,4,6-thiatriazine 1,1-dioxides (**64a**) has been reported and only one signal for the two CH protons of the ring at 8.05 ppm is shown in the spectrum; this fact led to the conclusion that only the 4-NH tautomer is present in DMSO solution (84H471). Other 4-substituted thiatriazine derivatives show in their ¹H-NMR

spectra signals between 7.63 and 8.35 ppm corresponding to CH ring protons (85TL4149). Dihydro and tetrahydro derivatives show signals for the CH ring protons at saturated carbons at 6.0 and at 4.03–4.73 ppm, respectively (81M489).

As expected, signals of methyl substituents at N-2 are more deshielded (3.57–3.70 ppm) than those at N-4 (3.30–3.40 ppm) (85TL1101, 85TL4149).

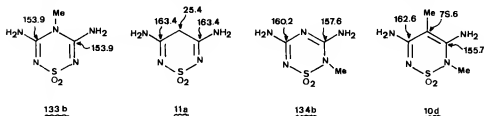
¹H-NMR spectra of 3-*tert*-butylamino-1,2,4,6-thiatriazine 1,1-dioxides show splitting of all the alkyl substituents on the ring, indicating that each of these derivatives exists in two stable conformations due to restricted rotation of the alkyl amino groups (85TL1101).

1,2,4,6-Thiatriazin-3(4*H*)-one 1,1-dioxide derivatives whose ¹H-NMR data have been reported have no protons at the ring and only chemical shifts of substituents have been described (81AG(E)884; 85LA2363). In these derivatives, the methyl at N-4 is more deshielded than the one at N-2 (85LA2363).

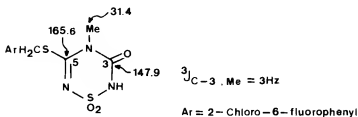
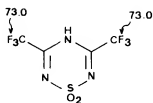
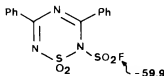
¹³C-NMR data of two N-methyl isomers (**133b** and **134b**) have been reported to confirm the structure of the 4-methyl derivative **133b** (85TL1105). From the comparison of the C-3 and C-5 chemical shifts of **133b** and the related 3,5-diamino-4*H*-1,2,6-thiadiazine 1,1-dioxide (**11a**) it may be concluded that the substitution of C-4 in **11a** by an N-methyl group (**133b**) shifts the C-3/C-5 signal ~10 ppm to higher field. On the other hand, comparison of C-3 and C-5 of **134b** and related 3,5-diamino-2,4-dimethyl-2*H*-1,2,6-thiadiazine 1,1-dioxide (**10d**) shows that substitution of the nitrogen at position 4 of **134b** by a C-4 methyl group shifts the C-5 signal in the same sense (2 ppm) and the C-3 signal (2 ppm) downfield (see Scheme 53).

¹³C-NMR data of **135** have been reported to confirm whether the correct structure is **135** or the other possible regioisomer, in which the positions of the SO₂ and CO are exchanged. The coupling found at 147.9 ppm between the C signal and methyl protons led the authors to assign structure **135** (79H1199). Nevertheless, no evidence for assignment of C-3 and C-5 is provided, and thus the structure may not be correct.

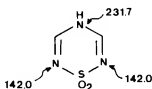
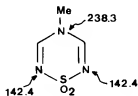
¹⁹F-NMR of the two 1,2,4,6-thiatriazine derivatives **136** and **137** have been reported using CFC1₃ as external reference (69AG(E)510; 78AG(E)129).



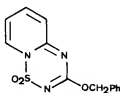
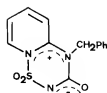
SCHEME 53

135136137

^{15}N -NMR spectra of 4H-1,2,4,6-thiadiazine 1,1-dioxide (**64a**) and its 4-methyl derivative (**64b**) have been recorded in DMSO using NO_2Me reference (86MRC444). The spectra show two signals in both cases, one of them corresponding to a pyrrole-type nitrogen and the other one to a pyridine-type nitrogen (the equivalent N-2 and N-6).

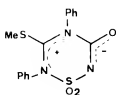
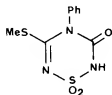
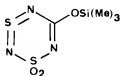
64a64b

b. *UV Spectra.* UV spectra of fused 1,2,4,6-thiadiazine derivatives have been reported (79H815). Neutral forms of **138**, **139**, and **140** each show two absorption bands at 302, 235; 323, 231; and 332, 257 nm, respectively. Compound **140** shows a bathochromic and hyperchromic effect due to double-bond conjugation across the two rings. Compound **141**, which has zwitterionic character, shows only one maximum at 312 nm.

138139140141

c. *IR Spectra.* Typical bands for NH stretching vibrations at 3240 and 3370 cm^{-1} (71AG(E)264; 81M489), C=O from 1725 to 1650 cm^{-1} (71AG(E)264; 79H1199; 85LA2363), C=N from 1700 to 1605 cm^{-1} (73JOC1249; 74CB1, 74ZN(B)799; 79H815), and two normal absorption bands for SO_2 at 1350 and 1130–1180 cm^{-1} (74CB1; 79H1199; 81M489) have been reported.

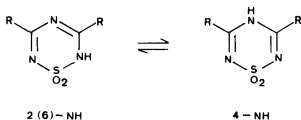
d. *Mass Spectra.* Very few detailed mass studies have been reported for six-membered rings containing more than three heteroatoms.

142143a144

The heterocyclic betaines **141** (79H815) and **142** (79H1199) show the loss of the common SO_2NCO fragment, while the neutral form **143a** loses the SO_2HNCO fragment (79H1199). Heterocycles **136** and **137**, which contain fluorine, show the ($\text{M}^+ - \text{F}$) peaks (69AG(E)510; 78AG(E)129). For dithia-triazine derivative **144**, losses of CO, $\text{SO}_2\text{NCOSi}(\text{Me})_3$, $\text{OSNCOSi}(\text{Me})_2$, $\text{OSN}_2\text{COSi}(\text{Me})_3$ (major peak), SNCO , and $\text{Si}(\text{Me})_3$ fragments have been reported (74ZN(B)799).

3. Tautomerism

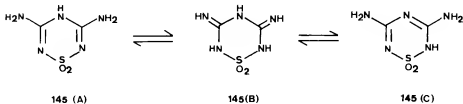
a. *Prototropic Tautomerism.* 1,2,4,6-Thiatriazine 1,1-dioxides can exist as 2(6)-NH or 4-NH tautomers. In most cases, no evidence for the



existence of one or the other tautomer is provided, although, in many reports, the 4-NH tautomer is depicted.

In the case of thiatriazine **64a**, ^1H -, ^{13}C -, and ^{15}N -NMR data show conclusive evidence for the existence of the 4-NH tautomer in DMSO solution (86MRC444) (Section IV,A,2,a). However, no systematic study on tautomerism in other related derivatives has been carried out.

X-Ray analysis has demonstrated the existence of the 4-NH tautomer, in solid state, for derivative **131** (85LA2363) (Section IV,A,1).

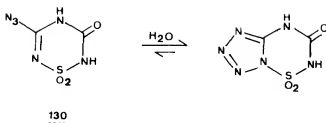


The existence of tautomers **B** and **C** rather than **A** for 3,5-diamino-1,2,4,6-thiatriazine 1,1-dioxide (**145**) (70CRV593) has been claimed without conclusive evidence. However, the existence of tautomer **A** is more likely on the basis that it occurs in thiatriazine **64a** and in related thiadiazine **11a** (Section II,A,5). In any case, an NMR study is necessary.

b. Ring-Chain Tautomerism. 5-Azidothiatriazine derivative **130** shows in its solid-state infrared spectrum the characteristic azide absorption band at 2190 cm^{-1} and its structure has been confirmed by X-ray crystallography (Section IV,A,1). However, treatment of **130** with boiling water converted it into a tetrazolylurea derivative (Section IV,B,1,d). This fact can be explained by the existence of the ring-chain tautomerism shown (80JOC1662).

4. Betaines

The formation of betaines **141** and their N-phenyl homologs from the reaction of 2-substituted aminopyridine and chlorosulfonyl isocyanate (CSI)



(79H815), and that of betaine **142** from *N,N'*-diphenylisothiomethylurea and CSI, have been claimed (79H1199). Their structures have been confirmed by UV and mass spectra.

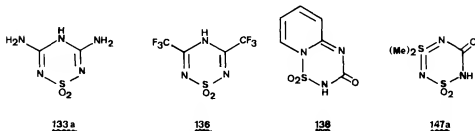
B. REACTIVITY

1. Reactions at the Heterocyclic Ring

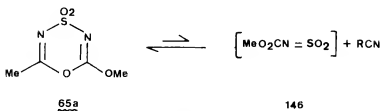
a. *Thermal Reactions Involving No Other Species.* Thermal conversion of 6-substituted 2-methoxy-1,4,3,5-oxathiadiazine dioxide **65a** gives *N*-sulfonylurethane (**146**), which participates in subsequent cycloadditions with alkenes (73JOC1249) (Scheme 54).

This fragmentation is apparently thermodynamically controlled, with the equilibrium favoring the cyclized compound **65** (80JOC721). Reaction of **65** and ynamines afford thiadiazine derivatives **68** and **69** (Section II,C,1,d). 2,6-Dichloro-1,4,3,5-oxathiadiazine 4,4-dioxide (**202**) decomposes above 100°C (Section IV,C,2) to give CSI and cyanogen chloride.

b. *Acidity and Basicity.* As related 1,2,6-thiadiazine 1,1-dioxides, these heterocycles show acidic properties.



Thus, thiadiazines **133a** and **138** are soluble in alkali and not in water or acid (70CRV593; 79H815). Addition of tetraphenylphosphonium and tetraphenylarsonium chloride to an aqueous solution of thiadiazine **136** results in



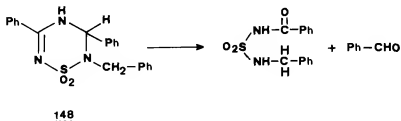
SCHEME 54

precipitation of the corresponding salts (69AG(E)510). Dithiadiazine **147a** can be titrated as a monobasic acid (71AG(E)264)). However, no data about their pK_a values have been reported.

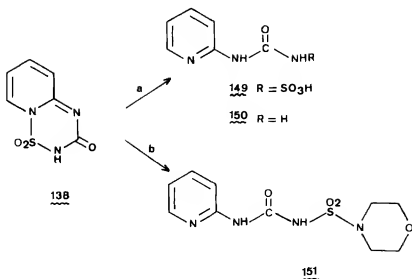
c. Electrophilic Attack at Nitrogen: Alkylation. There have been some reports dealing with alkylation of these heterocycles. In general, alkylation occurs at nitrogen atoms and, in some cases, the N- and O-alkylated isomers have been isolated (79H815). Thus, reaction of **138** with benzyl bromide affords equal amounts of the O-benzylated **140** and N-benzylated **141** isomers. The reagents used most often have been methyl iodide (58HOU(11)725; 76CB2107; 79H1199; 85LA2363, 85TL1105; 86MRC444), followed by diazomethane (71RC285), benzoyl chloride (58HOU(11)725), and benzyl bromide (79H815).

In 1,2,4,6-thiadiazine derivatives, alkylation occurs preferentially at N-4, as demonstrated by ^{13}C -NMR in **133b** and **134b** (85TL1105) (Section IV,A,2,a) and by ^{13}C - and ^{15}N -NMR in 4-methyl-1,2,4,6-thiadiazine 1,1-dioxide **64b** (86MRC444) (Section IV,A,2,a). In several other papers, alkylation at position 4 in 1,2,4,6-thiadiazine 1,1-dioxides has also been reported (60JOC970; 61JOC4315; 75GEP2508832).

d. Ring-Cleavage Reactions. Some thiadiazine derivatives undergo hydrolytic reactions involving ring cleavage, such as that observed for **148** in acid medium (Scheme 55) (81M489).



SCHEME 55



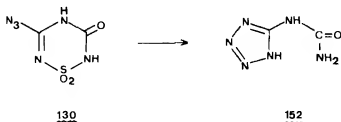
SCHEME 56

Compound **138** in aqueous alkaline solution (a) is slowly hydrolyzed to the urea **150** via **149**, whereas reaction with morpholine (b) yields **151** (Scheme 56) (79H815).

Treatment of azide **130** with boiling water affords the urea derivative **152** in which the tetrazole system has been formed (Scheme 57) (Section IV,A,3,b) (80JOC1662)

Hydrolysis of oxathiadiazine derivative **65a** in a mixture of acetone and 1.5 *N* hydrochloric acid gives *N*-acetyl-*N*-carbomethoxysulfamide, as well as *N*-carbomethoxysulfamide (73TL2783).

e. *Nucleophilic Attack at Carbon.* Reaction of thiatriazine **64** with ethyl cyanacetate and malononitrile yields thiadiazine derivatives **3c** and **7c**,

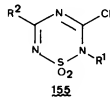
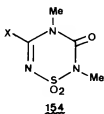
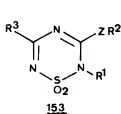


SCHEME 57

respectively (Section II,C,1,d). The mechanism probably involves nucleophilic attack of two moles of the reagent on positions 3 and 5 of thiatriazine **64a** (84H471) (Section II,C,1,d).

2. Reactions of Substituents

Several 1,2,4,6-thiatriazine 1,1-dioxides have been synthesized from other thiatriazine derivatives by nucleophilic substitution of 3- and/or 5-oxo, -thio, -chloro, -methoxy, -aryloxy, and -thiomethyl by ammonia or amines (84JHC1553; 85TL1101, 85TL1105). Other nucleophilic substitutions have been claimed to give derivatives such as **153** (83GEP3134145), **154** (76CB2107), and **155** (83GEP134141).

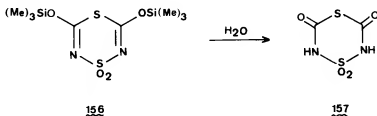


Hydrolysis of O-substituents of 3,5-di(trimethylsilyloxy)dithiadiazine derivative **156** affords the corresponding 3,5-dioxo derivative **157** (Scheme 58) (77ZN(B)1390).

C. SYNTHESIS

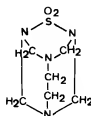
1. 1,2,4,6-Thiatriazine 1,1-Dioxide Derivatives and Fused Systems

This subsection has been organized on the basis of the starting materials used in the syntheses.



SCHEME 58

a. *From Sulfamides.* Reaction of sulfamide and formaldehyde with primary aliphatic amines in aqueous medium affords 4-substituted tetrahydro-1,2,4,6-thiatiazine 1,1-dioxides **158** ($R^1 = H$) (48AG316; 52GEP831248; 53GEP855566; 58HOU(11)725; 70CRV593), whereas with ammonia the reaction yields the pentamethylenetetraminsulfone **159** (53GEP855566; 57HOU(11)725; 70CRV593). Compound **160**, a homolog of **159**, can be prepared by condensation of sulfamide, formaldehyde, ammonia, and ethylenediamine (48AG316; 58HOU(11)725).

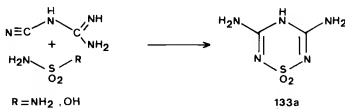
**158****159****160**

On the other hand, cyclocondensation of *N,N'*-dimethylsulfamide with formaldehyde and primary aliphatic amines yields **158** ($R^1 = Me$, $R^2 = \text{alkyl}$) (78AP47).

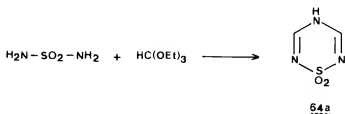
Cyclocondensation between sulfamide, sulfamic acid or its salts, and dicyanamide (Scheme 59) has been claimed to give the 3,5-diamino derivative **133a** (48USP2449520; 58HOU(11)725).

Only one preparation of 4*H*-1,2,4,6-thiatiazine 1,1-dioxide (**64a**) has been found in the literature (84H471) (Scheme 60). Substituted 3(5)-alkyl or -aryl derivatives have not been described.

When sulfamide is treated with an excess of ethyl orthoformate in a sealed tube at 130°C compound **64a** is obtained. The mechanism of the formation of this product is not very clear but the fact that sulfamide when heated over its



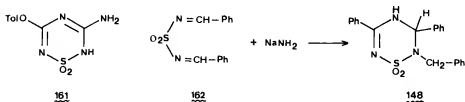
SCHEME 59



SCHEME 60

melting point undergoes decomposition should be taken into account. The reaction failed for N-substituted sulfamides.

Reaction of sulfamide and tolyl cyanate affords the 3-amino-5-tolyloxy derivative **161** (71GEP2026625; 85TL1105). Treatment of the sulfamide

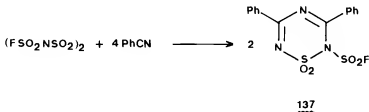


derivative **162** with sodium amide affords 2-benzyl-3,5-diphenyl-3,4-dihydro-2H-1,2,4-thiadiazine 1,1-dioxide (**148**) (81M489).

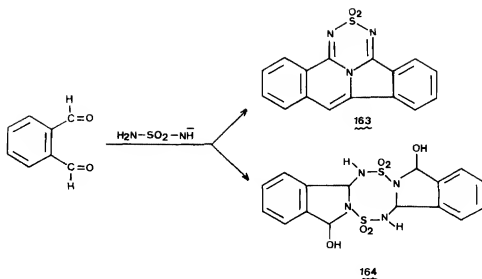
N-Fluorosulfonylsulfimide reacts with benzonitrile to give 1:2 adducts; compound **137** was isolated (Scheme 61) (78AG(E)129).

Phthalaldehyde, sulfamide, and sodium methylate in methanol upon heating produce isindolothiadiazinequinoline **163** and bis(isindolo)-dithiatetrazocine **164** (Scheme 62) (77AP435).

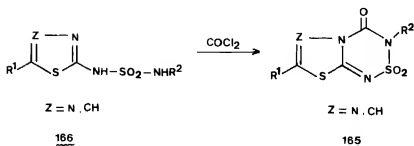
Substituted 1,3,4-thiadiazolo [2,3-c]-1,2,4,6-thiadiazinone dioxides and related thiazolo derivatives **165** have been prepared by phosgenation of N-substituted sulfamides **166** (77JCR(M)2813) (Scheme 63).



SCHEME 61



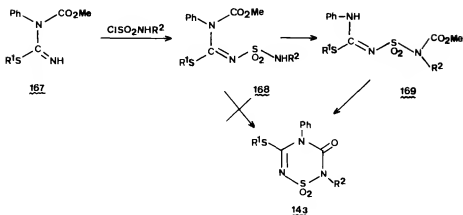
SCHEME 62



SCHEME 63

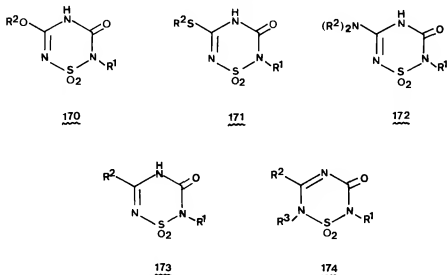
b. *From Sulfamoyl Chlorides.* Several isothiourea, isouraea, and guanidine derivatives have been made to react with sulfamoyl chloride or its N-substituted derivatives to give different kinds of 1,2,4,6-thiatiazine 1,1-dioxide derivatives.

A route to the thiatiazine-3-one derivative **143** has been accomplished via a 1,5-carboxylic ester shift (Scheme 64) (81AG(E)884). Isothiourea **167** can be readily converted into the sulfamide **168**. Direct cyclization is not possible; however, under mild basic conditions, the ester group migrates to form **169**, which cyclizes to **143**.

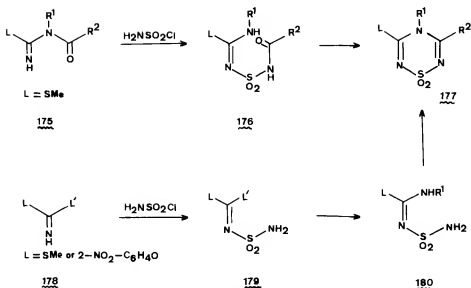


SCHEME 64

Other authors claimed that the reaction between alkylsulfamoyl chlorides and *N*-methoxycarbonylisourea, isothiurea, guanidine, and amidine derivatives afforded thiazine-3-one derivatives **170**, **171**, **172**, **173**, and **174**, respectively (75GEP2508832; 79GEP2933889; 80CRV151; 81AG(E)151; 83GEP3134140, 83GEP3134141; 85LA2363).



Reaction of *N*-acylisothiureas **175** with sulfamoyl chloride gives the sulfamide derivative **176**, which cyclizes by heating in an inert solvent to afford **177** in low yield (Scheme 65) (85TL4149).

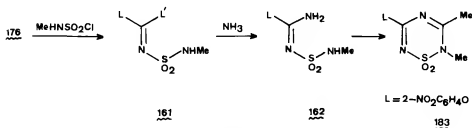


SCHEME 65

On the other hand, imidothiocarbonates **178** react with sulfamoyl chloride to give the sulfamide **179**. Reaction with one equivalent of primary amine provides the isourea **180**, which can be readily cyclized by refluxing with trimethyl orthoacetate or trimethyl orthoformate to give **177** ($R^2 = Me$ or H , respectively) (Scheme 65).

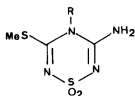
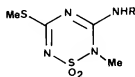
The isomeric 2-methylthiatriazines **183** have been prepared using an analogous sequence of reaction through intermediates **181** and **182** (Scheme 66) (85TL4149).

Reaction of dithioimidocarbonate **178** ($L = SMe$, $L' = SPh$) with sulfamoyl chloride gives the sulfamide derivative **179** ($L = SPh$). Treatment of



SCHEME 66

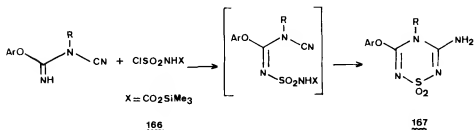
179 ($L = \text{SMe}$, $L' = \text{SPh}$) with NaH followed by reaction with an alkylcyanamide (RNHCN) yields the 4-alkylthiatriazine **184** (85TL1105). The 2-methyl isomer, **185**, can be prepared by reaction of the diisothiobiuret ($\text{MeS}-\text{C}(=\text{NH})-\text{N}=\text{C}(\text{NHR})-\text{SCH}_2\text{Ph}$) with methyl sulfamoyl chloride (85TL1101).

**184****185**

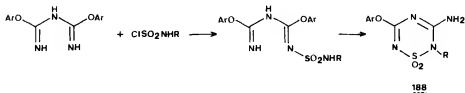
The use of a new sulfamoylation reagent, *N*-(trimethylsilyloxy)carbonyl-sulfamoyl chloride (**186**) has led to an abbreviated and high-yield preparation of 3-amino-4-alkyl-5-aryloxy-1,2,4,6-thiatriazine derivatives **187** (Scheme 67).

A related approach to the 2-alkyl isomer (**188**) has been described (86TL123) (Scheme 68).

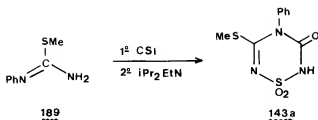
N-Chlorosulfonyliminotrichlorophosphorane ($\text{ClSO}_2-\text{N}=\text{PCl}_3$), prepared from amidosulfuric acid and phosphorus pentachloride, reacts with



SCHEME 67



SCHEME 68



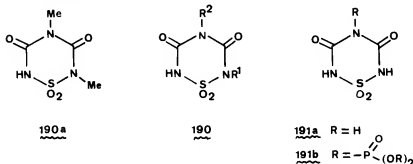
SCHEME 69

trifluoroacetic acid to give 3,5-bis(trifluoromethyl)-4*H*-1,2,4,6-thiatriazine 1,1-dioxide (**136**) (Section IV,A,2,a) (69AG(E)510).

c. *From Chlorosulfonyl Isocyanate.* Reaction of CSi and 5-amino-1*H*-1,2,3,4-tetrazole yields 5-azidothiatriazin-3-one derivative **130** (Section IV,A,1) (80JOC1662).

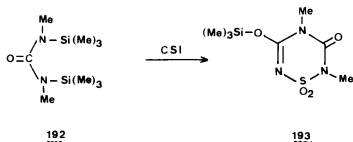
Isothiourea **189** reacts with CSi to give thiatriazin-3-one derivative **143a** (79H1199) (Scheme 69).

Reaction of 1,3-dimethylurea with CSi in dry dioxane affords thiatriazin-3,5-dione derivative **190a** (69USP3435031). Other substituted ureas under similar conditions yield derivatives **190** (81GEP3013268). Dialkylphosphoric acid amides with sulfonyl diisocyanate in ether at $0-5^\circ\text{C}$ give derivative **191b** (71RC285). Reaction between sulfonyl diisocyanate and ammonia yields **191a** (58CB1200).

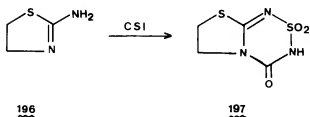
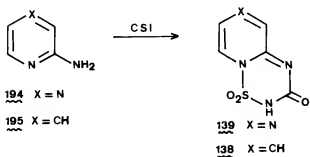


Ditrimethylsilylureido derivative **192** reacts with CSi to give thiatriazin-3-one derivative **193** (76CB2107) (Scheme 70).

1,3-Dinitrogen nucleophiles, such as 2-aminoazines **194** and **195**, react with CSi to afford fused thiatriazinone derivatives **139** and **138** (79H815). Similarly 2-aminothiazoline **196** reacts with CSi to give a single adduct **197** (Scheme 71).



SCHEME 70

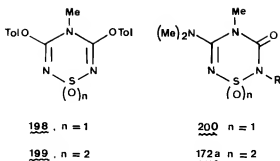


SCHEME 71

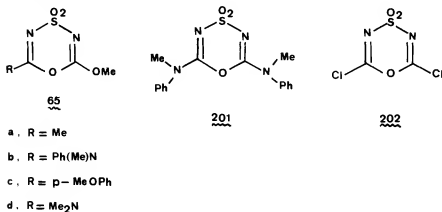
d. *From Other Heterocycles.* Oxidation of the previously synthesized 1-oxide derivative **198** gave 3,5-bistolyl-4-methyl-1,2,4,6-thiadiazine 1,1-dioxide **199** (8STL1105). In the same way, 1-oxide derivative **200** is oxidized to compound **172a** by treatment with *m*-chloroperbenzoic acid (84JHC1553).

2. 1,4,3,5-Oxathiadiazine 4,4-Dioxides

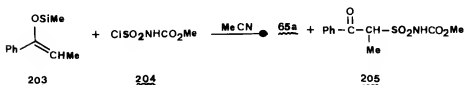
Certain nitriles react with the sodium salt of carbomethoxysulfamoyl chloride to afford 6-substituted 2-methoxy-1,4,3,5-oxathiadiazine dioxides **65**, whereas *N*-chlorosulfonyl-*N'*-methyl-*N'*-phenylurea with an excess of



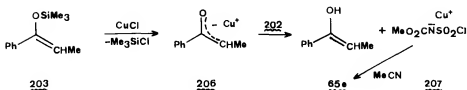
phenylmethylcyanamide gives symmetrically disubstituted oxathiadiazine **201** in high yield (73JOC1249).



Compound **65a** has been prepared by Rasmussen and Hassner by a different pathway, as depicted in Scheme 72 (73TL2783). Silyl ether **203** was allowed to react in the presence of a catalytic amount of cuprous chloride with carbomethoxysulfamoyl chloride (**204**) (63CB56) in acetonitrile. Upon anhydrous work-up, adduct **205** was obtained in addition to compound **65a**. In the absence of silyl ether **203**, CuCl does not catalyze the addition of **204**



SCHEME 72

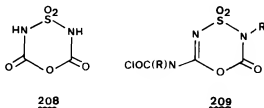


SCHEME 73

to acetonitrile. The authors suggest that the initial step is the formation of a metal enolate (**206**), which acts as a base upon **204** forming the Cu^+ salt **207**. This salt then reacts with acetonitrile to give **65a** (Scheme 73).

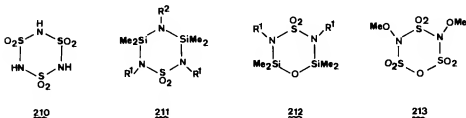
Reaction of sulfur trioxide with cyanogen chloride at a temperature not exceeding 0°C results in the formation of CSI and the 1,4,3,5-oxathiadiazine 4,4-dioxide **202**, which is of interest due to its high reactivity (58HOU(11)725; 62HC700; 68AG(E)172; 70CRV593).

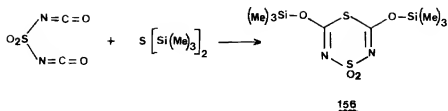
Sulfuryl diisocyanate reacts with water to give the cyclic oxathiadiazine derivative **208** (58CB1200). Reaction of an alkyl isocyanate and chlorosulfonyl isocyanate catalyzed by SnCl_4 yields oxathiadiazinone **209** (71GEP1961864).



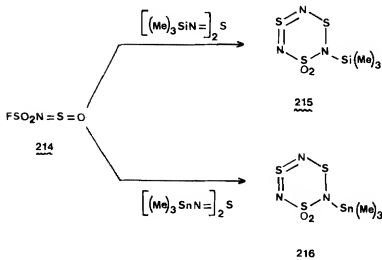
3. Miscellaneous

On heating sulfamide at 170°C the cyclic trimer **210** is isolated (01CB3444; 56CB179; 58HOU(11)725; 65CI(M)1200). Wannagat and Labuhn have reported on the cyclic compounds **211** and **212**, which were prepared by con-





SCHEME 74



SCHEME 75

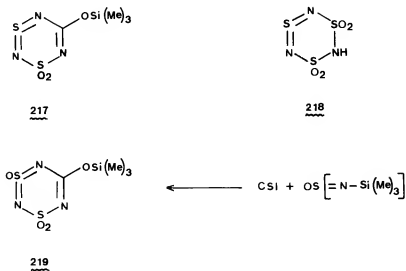
densation of N,N' -dialkylsulfamides with $\text{R}^2\text{N}(\text{SiMe}_2\text{Cl})_2$ and $\text{O}(\text{SiMe}_2\text{Cl})_2$, respectively (73MI1; 80CRV151). N,N' -Dimethoxysulfamide reacts with sulfur trioxide to give compound **213** (56CB179).

Cyclic compound **156**, which contains two sulfur atoms, can be prepared by the reaction depicted in Scheme 74 (77ZN(B)1390).

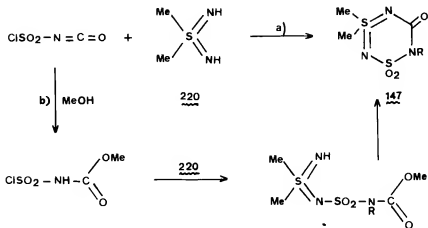
Reaction of **214** and silyl- and stannyl-substituted sulfur diimide affords derivatives **215** and **216**, respectively (Scheme 75) (79CB1372).

Several reports deal with reaction of CSI to give six-membered heterocycles containing N and S atoms. Thus, N,N' -bis(trimethylsilyl)sulfurdiimide reacts with CSI and imidobissulfonic acid chloride to give heterocycles **217** and **218**, respectively (74CB1, 74ZN(B)799; 76CRV389).

Appel *et al.* have prepared compound **219** by a similar pathway (Scheme 76) (74ZN(B)799).



SCHEME 76



SCHEME 77

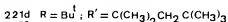
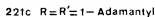
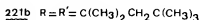
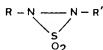
Reaction between CSI and dimethylsulfonyldiimine (**220**) in the presence of triethylamine yields dithiatiazine dioxide **147** (Scheme 77, route a). Preparation of **147** by a modified route (Scheme 77, route b) proved to be more favorable (71AG(E)264).

V. Miscellaneous Compounds

The section deals with three- and four-membered rings, five-membered rings other than thiadiazole dioxides (Section III), seven- and eight-membered rings, and larger rings. Many compounds of this class have pure inorganic character, and except for the thiadiaziridine 1,1-dioxides, they have limited interest for organic chemists. However, some relevant references will always be included. In some cases, only unrelated syntheses of a few compounds, occasionally "scientific curiosities," have been reported, and thus a further division of each subsection is neither convenient nor necessary. Most reported reactivities and spectral data are trivial and will not be treated in detail.

A. THREE-MEMBERED RINGS: THIADIAZIRIDINE 1,1-DIOXIDES

These compounds were first proposed as intermediates in the classical synthesis of azoalkanes and hydrazines from sulfamides studied by Ohme *et al.* (65AG(E)433; 68LA74). A few years later, the isolation of some thiadiaziridine 1,1-dioxides carrying two stabilizing bulky groups, such as **221a–d**, was reported, almost simultaneously by Timberlake and co-workers (73JA634, 73TL3843), Chang and Weinstein (73CC397), and Quast and Kees (73TL1655).



1. Structure

a. *Molecular Dimensions: X-Ray Diffraction Analysis.* The diffraction analysis of compound **221b** (73JA636) shows, among other interesting features, a trans configuration of alkyl groups and an N—N bond length of 1.67 Å. This abnormal distance between the nitrogen atoms indicates that their linkage is appreciably weakened, and that the molecule has some diradical or "virtual diradical" character (81JOC2082), which explains some special physical and chemical properties.

b. *Molecular Spectra.* In the ^1H -NMR spectra of symmetrically substituted compounds **221a** and **c**, both alkyl groups were found to be magnetically equivalent (73JA634; 77CB1780); nevertheless, the two methyl groups closest to the ring nitrogen atoms of compound **221b** are nonequivalent in benzene. The coalescence temperature of 125°C corresponds to a ΔG^\ddagger of 21 kcal/mol for the equivalence process (73JA634), and similar values were found in other closely related compounds that display the nonequivalence phenomenon at room temperature (81JOC2082). The process was assumed to take place through an N—N bond breaking, producing a diradical, inversion, and bond reformation mechanism.

^{13}C -NMR spectra of some thiadiaziridine 1,1-dioxides have been reported. That of compound **221c** presents four signals, thus confirming the magnetic equivalence of both 1-adamantyl groups (73TL1655; 77CB1780).

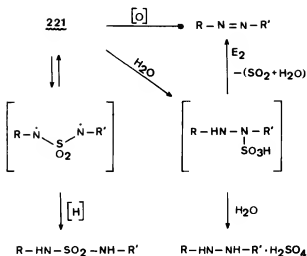
IR spectra of compounds **221** present the typical SO_2 stretching bands between 1180 and 1340 cm^{-1} . Other bands due to the alkyl groups have sometimes been identified (73TL1655; 77CB1780, 77JCS(P1)1601).

Mass spectra of compounds **221a** (77JCS(P1)1601) and **c** (77CB1780) have been discussed in some detail. Elimination of SO_2 from the molecular peak, a common feature of other heterocycles containing the N— SO_2 —N moiety, either does not take place at all (**221a**), or produces a very weak signal (**221c**). Fragments of the hydrocarbon moiety and other peaks, similar to those produced by the related azoalkanes and N,N'-disubstituted sulfamides (Section V.A.2), are frequently found in the spectra of thiadiaziridine 1,1-dioxides.

2. Reactivity

The thiadiaziridine 1,1-dioxide ring is not stable and, in fact, only derivatives carrying stabilizing bulky groups on both nitrogen atoms are known. As in the case of other systems, such substituents protect the ring from nucleophilic or electrophilic attack, or add hindrance to the concerted ring opening. The chemical properties of compounds **221** depend greatly on the exact nature of the alkyl groups. Among the more studied, **221a–c**, the former is, by far, the less stable. Nevertheless, other derivatives of this class have been characterized only incompletely since they decompose in a few minutes at room temperature (81JOC2082).

The reactivity of compounds **221** may follow three different patterns, as shown in Scheme 78. A useful summary has been published by Timberlake and co-workers (81JOC2082). Although the formation of hydrazine bisulfates and sulfamides can be clearly explained, in most cases, by a nucleophilic attack or a reduction process, respectively, azoalkanes are formed by different ways, and



SCHEME 78

using very different reagents. Thus, the reactivity of thiadiaziridines will be considered according to the product resulting from the overall process, and not taking account of the reagents used.

a. *Oxidation Reactions.* We will treat as oxidation reactions all processes that yield azoalkanes as final product, regardless of the mechanism.

In some cases, classical oxidizing reagents, such as chlorine or hypochlorites, have been used to transform thiadiaziridine 1,1-dioxides into azoalkanes; other common oxidants, such as hydrogen peroxide or potassium permanganate, fail to react (73JA634, 73TL1655, 73TL3843; 81JOC2082).

In other cases, the formation of azoalkanes involves the oxidation of the nitrogen-containing fragment of the thiadiaziridine with a concomitant reduction of the sulfone group to sulfur dioxide. As an example, it has been reported that compound **221a** when refluxed in benzene is converted rapidly into the corresponding azoalkane, while compounds **221b** and **c** are much more stable under similar conditions (73CC397, 73JA634, 73TL1655, 73TL3843; 77CB1780; 81JOC2082). Although a concerted cheletropic elimination of sulfur dioxide was suggested, it has been shown that pure **221a** is also stable under the mentioned conditions if moisture is rigorously excluded (77JCS(P)1601). Thus, the more feasible mechanism involves the nucleophilic addition of water, ring opening, and E₂ elimination to the azoalkane and sulfur dioxide. Similar transformations of solid **221a** exposed to atmospheric moisture, or other thiadiaziridine dioxides heated in wet solvents, produce azoalkanes or hydrazine salts (Section V.A.2.c), depending

on experimental details (73TL3843; 77JCS(P1)1601; 81JOC2082). An attempt to quantify the stability provided by different substituents toward nucleophilic attack of water on the thiadiaziridine ring has been carried out; nevertheless, and owing to the two depicted reaction patterns, only qualitative results have been obtained (81JOC2082).

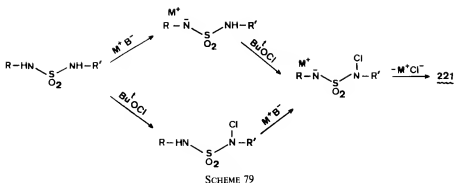
Other products, such as alcohols, sodium methoxide or hydroxide, phenyllithium, hydrogen chloride, and picric acid, also convert compounds **221** into azoalkanes (73TL3843; 77CB1780, 77JCS(P1)1601; 81JOC2082); surprisingly, when treated with lithium aluminum hydride, **221b** produces a 4:1 mixture of azoalkane and the corresponding sulfamide. Although, in most cases, a mechanism similar to that proposed for the addition of water can be inferred, the possibility of an initial formation of the corresponding hydrazine, easily oxidized by atmospheric oxygen, cannot be neglected (81JOC2082).

b. *Reduction Reactions.* Thiadiaziridine 1,1-dioxides **221** can be reduced to sulfamides by treatment with iodide ion (73TL1655; 77CB1780), thiophenols (73TL3843; 77JCS(P1)1601; 81JOC2082), Grignard reagents, tri-*n*-butyltin hydride, hydrogen in the presence of palladium-charcoal (81JOC2082), and aromatic hydrocarbons (77CB1780).

Some of these results may be explained by direct reaction of compounds **221** with the reducing agents, or, in some cases, taking into account the diradical character of thiadiaziridine dioxides, which is enhanced at high temperature (Section V.A.1). The second hypothesis seems to be preferred in the case of reaction with classical radical scavengers, such as thiophenols, mesitylene, and cumene. Disulfides and, in some cases, dimers of aromatic hydrocarbons have been isolated from these reactions (77CB1780; 81JOC2082). On the other hand, attempts to obtain adducts from the hypothetical diradical and reagents such as tetracyanoethylene, acetylenedicarboxylates, diphenylketene, and furan have been unsuccessful (81JOC2082).

When **221b** is heated in the absence of scavengers or with poor ones like cumene, a rearranged sulfamide is obtained. Although the formation of the latter was supposed to occur through an intermediate nitrene (81JOC2082), a radical mechanism seems to be more likely (83JOC755).

c. *Nucleophilic Attack.* As mentioned above, the nucleophilic attack of water on thiadiaziridine 1,1-dioxides (Section V.A.2,a) affords an intermediate hydrazinosulfonic acid, which can react following two different patterns: (1) elimination of sulfurous acid yields the corresponding azoalkane, and (2) hydrolysis of the sulfonic acid gives hydrazinium bisulfate (73TL3843; 77JCS(P1)1601; 81JOC2082). A similar mechanism has been proposed in the synthesis of hydrazines from sulfamides developed by Ohme and Preuschhof (68LA74).



3. Synthesis

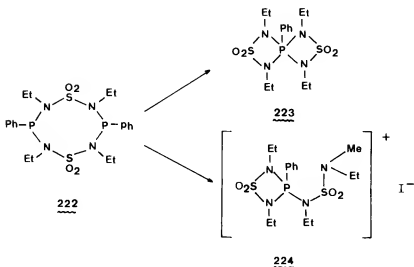
Thiadiaziridine 1,1-dioxides **221** have been obtained by treatment of *N,N'*-disubstituted sulfamides with sodium hydride (73CC397, 73JA634, 73TL3843; 77JCS(P1)1601) or potassium *tert*-butoxide (73TL1655; 77CB1780) and *tert*-butyl hypochlorite in an organic solvent (Scheme 79). Although several authors reported that, in some cases, the order of addition of the reagents was decisive to obtain compounds **221** in good yield, it seems that the effectiveness of this procedure depends mainly, among other experimental details, on the temperature (81JOC2082).

B. FOUR-MEMBERED RINGS

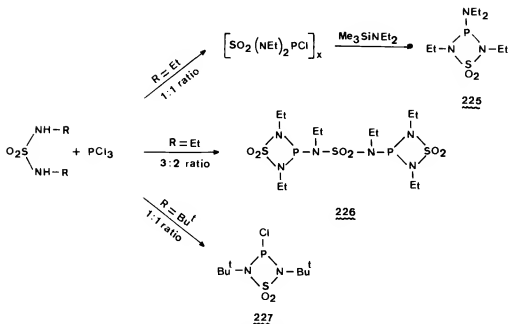
All heterocycles of this class found in the literature have pure inorganic character, and contain phosphorus, boron, silicon, etc., as the fourth atom of the ring [see references included in (83IC2095)].

Thiadiazaphosphetidine 1,1-dioxides, in which the phosphorus atom may be penta- or tricoordinate, have usually been obtained, directly or indirectly, from sulfamides. Thus, compound **222** (obtained from *N,N'*-diethylsulfamide and PhPCl_2) (Section V,E) treated with PCl_5 or MeI afforded, respectively, phosphetidines **223** and **224** (Scheme 80) (80ZN(B)1130). Other similar compounds, also containing pentacoordinate phosphorus, have been prepared by Becke-Goehring and co-workers (68N491; 69MI1, 69MI2; 70MI1).

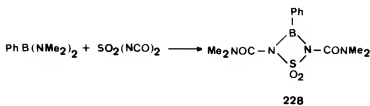
The reaction of substituted sulfamides with PCl_3 yields different compounds, depending on the nature of the substituent and the molar ratio (Scheme 81). Equimolar amounts of *N,N'*-diethylsulfamide and the phosphorus halide yield a polymeric or open-chain derivative, which can be transformed into the phosphetidine **225**; however, if a 3:2 molar ratio is used,



SCHEME 80



SCHEME 81



SCHEME 82

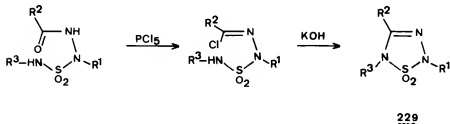
compound **226** is obtained directly (80ZN(B)1130). On the other hand, the reaction of equimolar amounts of *N,N'*-di-*tert*-butylsulfamide and PCl_3 produces phosphetidine **227**. The different behavior of *N,N'*-diethyl- and *N,N'*-di-*tert*-butylsulfamide toward PCl_3 had been attributed to the steric demand of the *tert*-butyl groups; as in the case of thiadiaziridine 1,1-dioxides (Section V.A.2), these bulky substituents may play an important role in the stabilization of these strained four-membered rings (81IC712).

The usual spectral techniques have been used to elucidate the structure of these compounds; in some cases, ^{31}P -NMR data have been reported.

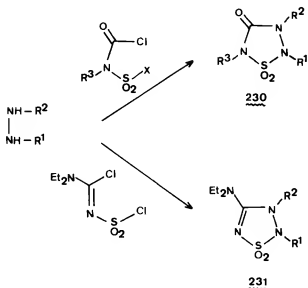
As an example of thiadiazaboretidine 1,1-dioxides, compound **228** has been prepared by reaction of a borane with sulfonyl diisocyanate (Scheme 82). All spectral data support this structure, which results from the insertion of isocyanate, and are inconsistent with that of a dipolar addition alternative product (78AG(E)599).

C. FIVE-MEMBERED RINGS

Thiatriazole 1,1-dioxides are the most studied compounds of this class. Trisubstituted derivatives **229** have been prepared by intramolecular cyclization of *N*²-acylsulfamoylhydrazides (85M1141) (Scheme 83); other related compounds have been obtained following [3 + 2]- or [4 + 1]-synthetic patterns.



SCHEME 83

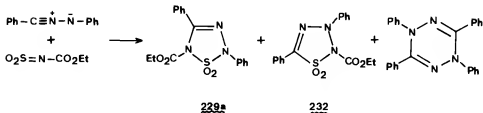


SCHEME 84

Among the $[3 + 2]$ -type, we mention the reaction of hydrazines with 2-halosulfonylcarbonyl chlorides (77JCR(S)238) or substituted formamides (85M1321) to afford, respectively, 1,2,3,5-thiazotriazoles **230** or **231** (Scheme 84). 1,3-Dipolar cycloaddition of nitrilimines and sulfimides gives the two isomeric thiazotriazole 1,1-dioxides **229a** and **232**, and a tetrazine resulting from the dimerization of nitrilimine (85M1141) (Scheme 85).

Following a $[4 + 1]$ -fragmentation pattern, compounds **229** ($\text{R}^1 = \text{R}^2 = \text{Ph}$; $\text{R}^3 = \text{H}$, Ph , CH_2Ph) have been prepared from benzamidrazones and sulfonyl fluoride (85M1321).

1,3,2,5-Dithiadiazole 1,1-dioxides can be prepared from $[3 + 2]$ -atom fragments using N,N' -dialkylsulfamides and $\text{ClC}(\text{O})\text{SCl}$ (83IC2095); five-



SCHEME 85

membered inorganic rings containing only nitrogen and sulfur are also known (81CB201).

Some alkylation and thermolysis reactions of compounds **229** and **231** (85M1141, 85M1321), and a ring expansion of compounds **230**, to 1,2,4,6-thiatriazine 1,1-dioxides (77JCR(S)239) have been reported.

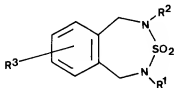
D. SEVEN-MEMBERED RINGS

A number of thiadiazepine and benzothiadiazepine dioxides have been obtained using amino-exchange reactions (i.e., from $[6 + 1]$ -atom fragments). Dilution techniques were found to be necessary in the preparation of compound **233** from tetramethylenediamine and sulfamide (78CB1915); the product claimed to be **233** by other authors (76IJC(B)766) seems to be a polymeric or open-chain material.

Benzothiadiazepine 3,3-dioxides **234** have been prepared following the same pattern from α,α' -diamino-*o*-xylenes and sulfamides (74M114; 76IJC(B)766), or by reaction of α,α' -dibromo-*o*-xylene with sulfamides ($[4 + 3]$), and α,α' -diamino-*o*-xylenes with sulfonyl chloride ($[6 + 1]$) (74M114).



233

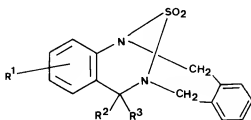
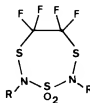
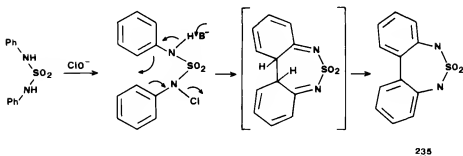


234

Dibenzothiadiazepine **235** has been prepared from 2,2'-diaminobiphenyl and sulfamide, or by oxidative cyclization of *N,N'*-diphenylsulfamide (Scheme 86); the reaction of 2,2'-diaminobiphenyl and sulfonyl chloride does not work (71JCS(C)993).

Bicyclic derivatives **35a** have been obtained from benzothiadiazine dioxides **27a** and α,α' -dibromo-*o*-xylene (71M1055); in this case, when $R^2 \neq R^3$, only one of the two possible stereoisomers is obtained (Sections II,B,1,c and III,C,2).

As an example of a ring with more heteroatoms, compounds **236** and other related ones have been prepared starting from disulfonyl dichlorides and silylated sulfamides (85CB2811).

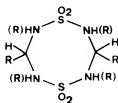
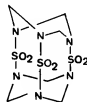
35a236235

SCHEME 86

E. EIGHT-MEMBERED RINGS

1,2,8-Thiadiazocine 1,1-dioxide **237** may be prepared by an amino-exchange reaction of pentamethylenediamine and sulfamide, if dilution techniques are used (78CB1915); otherwise (76IJC(B)766), polymeric or open-chain derivatives are obtained.

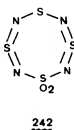
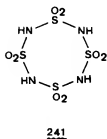
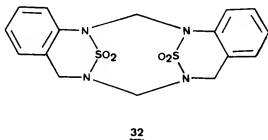
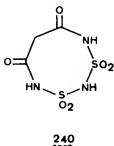
Sulfamides and many aldehydes (or their acetals) produce, under acidic catalysis and through an α -ureido alkylation (73S243), dithiatetrazocine

237238239

tetroxides **238** (74AP881; 75M1095; 78JHC253); formaldehyde behaves abnormally, yielding the highly toxic tetramethylenedisulfotetramine and the tetracyclic derivative **239** (71IJS261).

Thermal elimination of ammonia from malonyldisulfamide yields dithiazotriazocine **240** (48AG316), and alkylation of benzothiadiazine **27** with methylene iodide produces the dimeric compound **32** (71M1055).

Among eight-membered inorganic rings we mention compound **241** (tetrasulfimide), formed by reaction of sulfur trioxide and ammonia (58HOU(11)725), and the diphosphorocines **222**, obtained from sulfamides and dichlorophosphanes, and easily converted into phosphetidines (80ZN(B)1130) (Section V,B). Several reactions of compound **242**, in which sulfur atoms have three different oxidation states, have been reported by Roesky and co-workers (79CB1372; 81CB201).



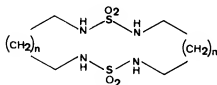
F. LARGER RINGS

It has been claimed that α,ω -diaminoalkanes react with sulfamide, depending on the length of the methylene chain. When the latter does not exceed eight carbon atoms, monomeric 9-, 10-, and 11-membered rings **243** are formed; however, if the methylene chain exceeds eight carbon atoms, dimeric 24-, 26-,

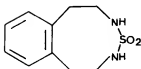
and 30-membered rings **244** are formed (76LJC(B)766). Some different results concerning the reactivity of shorter diamines have been reported by Ciaperoni *et al.* (65CI(M)1200).



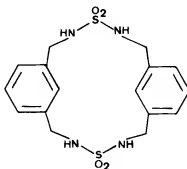
243 $n = 4, 5, 6$



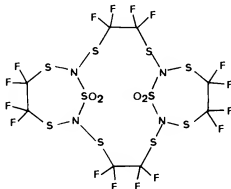
244 $n = 7, 8, 10$



245



246



247

Apparently, this rule cannot be applied to the synthesis of benzo derivatives; 1,2-bis(2-aminoethyl)benzene has been reported to produce compound **245** (74M114) while the shorter α,α' -diamino-*m*-xylene yields the dimeric compound **246** (76IJC(B)766).

Tricyclic derivative **247**, prepared from **236**, is an example of a large ring with more heteroatoms than $\text{N}-\text{SO}_2-\text{N}$ (85CB2811).

VI. Biological Properties and Other Applications

A variety of biological activities have been reported for different heterocycles containing the sulfamide moiety. For the sake of brevity, they will be listed together with their respective structures.

The following biological effects have been mentioned for 2,6-disubstituted 3,5-dioxothiadiazines: antiinflammatory, antipyretic, and analgetic (60USP2956997); fungicide (82GEP3230332), insecticides and miticide (79JAP(K)79-05991); and antibacterial (78JAP(K)78-121774). The antiinflammatory, analgetic, and antipyretic activities of thiadiazinones related to phenylbutazone and antipyrine have been tested and compared to those of the pyrazolones (84MI2; 87CJC298). Arylazo derivatives of 3,5-disubstituted 1,2,6-thiadiazine 1,1-dioxide have been reported to cause the lowering of blood sugar levels (72JMC435).

A pyrazolothiadiazinone and an aminothiazolothiadiazine, prepared as potential transition-state analogs, were found to be inhibitors of guanase (79JMC944). Many of the thiadiazine nucleosides (Section II,B,1,c) were prepared as potential antimetabolites, but only 3-(2,3,5-tri-*O*-benzoyl- β -D-ribofuranosyl)-7-aminofurazano[3,4-*c*]-1,2,6-thiadiazine 5,5-dioxide (82JHC305) showed cytostatic activity against HeLa cells (84MI1).

The antiparasitic activity of 3,5-diaminothiadiazine derivatives has been tested, the most active compound being the parent one (**IIa**) (86FES862). Some 4-nitro derivatives of 1,2,6-thiadiazine have shown antimalarial and trichomonocidal activity (86MI1). Benzothiadiazine dioxides have been claimed to act as sedatives and mild tranquilizers (66USP3278532).

Special mention should be made of bentazone, 3-isopropyl-1*H*-2,1,3-benzothiadiazin-4-one 2,2-dioxide (**74**) (Section II,C,2,b) (66GEP1542838). Basagran, the biologically active form of bentazone, is nowadays employed extensively as a selective postemergence herbicide in numerous crops. As already mentioned (Section II,C,2,b), a wide variety of thiadiazines fused to heterocyclic systems have been synthesized in the search for herbicides and success is frequently claimed in the patent literature.

Many pharmacological properties have been claimed for 1,2,5-thiadiazole 1,1-dioxides. Nevertheless, since the discovery of their potential as "urea equivalent moiety" in the development of new histamine H_2 -receptor antagonists (82JMC207, 82JMC210), the interest in them has greatly increased. Some of the most active compounds of this class are, in fact, aminothiadiazole 1-oxide and 1,1-dioxide derivatives. Numerous patents covering the preparation of these compounds (Section III,B,2,b) have been published every year since 1981 (81EUP40696; 84USP4471122; 86USP4567191). Antihypertensive and vasodilating properties have also been claimed for some aminothiadiazole 1,1-dioxides (84GEP3309655).

β -Lactam antibiotics (78GEP2658906), quinazoline cardiac stimulants (83EUP94766), and antiparasitic nitroimidazoles (82IJC(B)941; 84IJC(B)342) carrying a thiadiazolidine 1,1-dioxide-derived side chain have been reported.

Central nervous system depressant, muscle relaxant, tranquilizer (65USP3177221), and, more specifically, antihypertensive (77GEP2705863; 79USP4156734) activities have been claimed for some benzothiadiazolines and benzothiadiazoline-substituted alanines, respectively. Benzothiadiazoline analogs of epinephrine (81JMC1300) and dopamine (83MI1) have been reported to be inactive, probably owing to the enhanced acidity of this ring (Section III,B,3).

Furthermore, according to the publication, pesticide activity can be inferred for some thiadiazoline 1,1-dioxides prepared by authors in the Soviet Union (75MI1).

Finally, thiadiazole 1,1-dioxides have found other uses, such as auxiliary agents in textile treatments (67JAP4666; 69YGK980; 70USP3512922; 72USP3669977), electrodeposition processes (67BRP1088644), and color photography (79GEP2729213).

A wide variety of 1,2,4,6-thiatriazine 1,1-dioxide derivatives have been claimed as herbicidal in numerous patents (75GEP2508832; 81GEP3013268; 83GEP3134141, 83GEP3134145). In other reports, fungicidal and bactericidal activities have been claimed for these heterocycles (52GEP831248; 75GEP2508832).

Resins produced by treating thiadiazines with aldehydes are acid resistant, and provide shrink and crease resistance to textiles (48USP2454262).

ACKNOWLEDGMENTS

The authors are grateful to Professor J. Elguero for his encouragement, to Dr. M. Stud for indispensable help in searching the literature, and to I. Aikorta, F. Caballero, J. Castro, A. de la Cruz, A. Cuberta, J. A. Paez, N. Palacios, I. Rozas, and J. R. Ruiz for technical assistance in preparing this manuscript.

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Regioselective Substitution in Aromatic Six-Membered Nitrogen Heterocycles

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I. Introduction

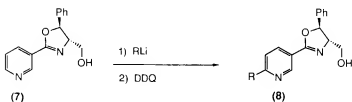
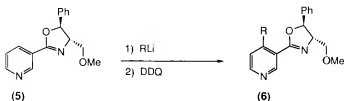
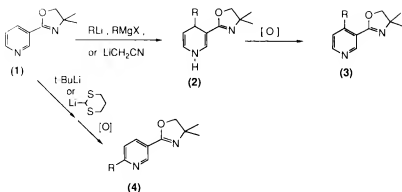
The reactivity of various six-membered heteroaromatic compounds has been previously reviewed through 1982 (84MI1-84MI6). This article describes nucleophilic, electrophilic, and free-radical substitution reactions on the ring carbon atoms of pyridines, pyridazines, pyrimidines, pyrazines, triazines, and tetrazines. Fused-ring derivatives of these heterocycles are not covered. Multistep substitutions involving dihydro intermediates are included. The primary chemical literature between 1982 and June 1987 has been surveyed. Earlier material has been included only if it was not covered in previous reviews, or when needed to describe further developments. Molecular properties and general reactivity of six-membered heteroaromatic rings are not discussed for they have been previously reviewed (84MI7).

II. Substitution of Pyridines

A. NUCLEOPHILIC SUBSTITUTION

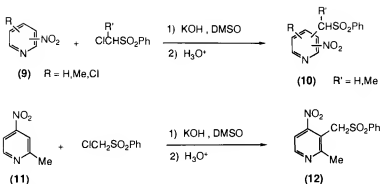
1. *Via N-Unsubstituted Pyridines*

Pyridine undergoes alkylation by lithium reagents mainly at the α -position, although there are some exceptions. Addition of Grignard reagents, alkyl-lithiums, or lithiated acetonitrile to 3-pyridyloxazoline **1** gives 1,4-dihydropyridines **2** in good yield. Oxidation with air, quinones, or permanganate furnishes the 4-substituted pyridines **3**. The use of *tert*-butyllithium or lithiodithiane results mainly in 6-substituted pyridines **4** after aromatization (82JOC2633). The regioselectivity of the nucleophilic substitution of **1** with lithium reagents was found to depend on temperature and solvent (84H1091, 84JCS(P1)2227, 84JOC56; 86H125). Organolithium reagents have been found to add to the 4- or 6-position of chiral 3-pyridyloxazolines, depending



on the nature of the oxazoline present. Methoxy-containing oxazoline **5** leads to 4-substituted product **6**, whereas hydroxy-containing oxazoline **7** gives the 6-substituted pyridine **8** after aromatization with 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (DDQ). The regioselectivity of these reactions is solvent and temperature dependent (82H13). *N*-Phenyl-3-pyridinecarboxamide reacts with alkylolithiums and phenyllithium to give 4-substituted *N*-phenylnicotinamides in 59–91% yield after aromatization of the 1,4-dihydro adducts with potassium permanganate (83TL4735).

Dilithiated amides, derived from *N*-phenyl- or *N*-methylacetamide and *n*-BuLi, react with 3-cyanopyridine, 3-(1,3-oxazol-2-in-2-yl)pyridine, or 3-(4,4-dimethyl-1,3-oxazol-2-in-2-yl)pyridine to give mainly 6-substituted dihydropyridines, which can be aromatized ($KMnO_4$, acetone) to 3,6-disubstituted pyridines (85H57).

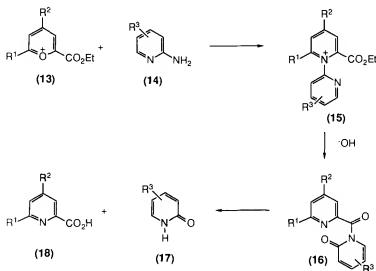


Nitropyridines and chloronitropyridines react with carbanions of α -chloroalkyl phenyl sulfones to give products of the nucleophilic substitution of hydrogen at positions ortho and para to the nitro group. All three nitropyridines (9, R = H) react readily with the chloromethyl phenyl sulfone carbanion to give nitropyridylmethyl phenyl sulfones (10, R = H) in good yield. Mixtures of isomers are obtained with 2-nitro- and 3-nitropyridine, with substitution at the position ortho to the nitro group somewhat favored over that at the para position. The chloromethyl phenyl sulfone carbanion and 4-nitropyridine give 4-nitro-3-(phenylsulfonylethyl)pyridine, a rare example of nucleophilic substitution of hydrogen at a β -position of a pyridine ring. With methyl nitropyridines there is a strong preference for the substitution of hydrogen at positions ortho to the methyl group, regardless of the steric effects. Reaction of 2-methyl-4-nitropyridine (11) and the carbanion of chloromethyl phenyl sulfone results in substitution at the more hindered 3-position to give 12. Several chloronitropyridines were subjected to reaction with chloromethyl phenyl sulfone carbanion. Nucleophilic substitution of chlorine did not compete with the nucleophilic substitution of hydrogen. With 2-chloro-3-nitro- and 2-chloro-5-nitropyridines, reaction took place predominately or exclusively at the 4-position, whereas 4-chloro-3-nitropyridine gave products substituted at the 2- and 6-positions, along with 2,6-disubstituted product in a ratio of 1:7:3, respectively (84LA8).

The reaction of nicotine with methyllithium leads to 2-methylnicotine as a major product, in addition to 4- and 6-methylnicotines (83JOC4899). In contrast, other alkylolithium reagents have been found to add regioselectively to (–)-nicotine to provide 6-substituted nicotinoids of low optical purity (82TL2519; 85T595). An alkylolithium adds to the 6-positions of 2-methoxypyridine to give a 2,5-dihydropyridine intermediate, which on oxidation with 10% Pd–C in cyclohexane provides the 2-methoxy-6-alkylpyridine (86JOC2184). The addition of 4-alkylphenyllithiums to pyridine gave 2-(4-alkylphenyl)-1-lithio-1,2-dihydropyridines, which on treatment

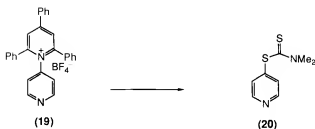
with alkyl bromides afforded 2-(4-alkylphenyl)-5-alkylpyridines in 12–18% yield (85KGS1389). Reactions of pyridine with Et_2Mg – EtLi solutions differ from those of either organometallic alone. Et_2Mg or EtLi and pyridine react to produce exclusively 2-ethylpyridine. Et_2Mg – EtLi mixtures and pyridine form 2-ethylpyridine along with significant amounts of 4-ethylpyridine (85TL275).

Improved procedures for the Chichibabin amination of pyridine derivatives have been reported (83JAP(K)58-208266, 83USP4386209, 83USP4405790). A general method has been developed for the conversion of 2-aminopyridines into 2-pyridones via 2-ethoxycarbonyl-1-(2-pyridyl)pyridinium ions **15**. The pyridinium ions **15** are easily made from the 2-aminopyridines **14** and the corresponding pyrylium salt **13**. On treatment with aqueous sodium hydroxide, pyridinium ions **15** are converted into the 1-(substituted-2-pyridylcarbonyl)-2-pyridones **16**, which are readily hydrolyzed to the 2-pyridones **17** and the picolinic acid **18** (83JCS(P1)2623).



Pyrolysis of the tetrafluoroborate **19** with sodium dimethyldithiocarbamate gave the novel 4-pyridyldithiocarbamate **20** (83JCS(P1)2617).

Ipsso substitution of halopyridines continues to be a widely utilized route to substituted pyridines. This approach has been used to prepare diphenylpyridylphosphines from 2- and 4-chloropyridine (84ZOB971). Nucleophilic substitution on 2-halopyridines under phase-transfer catalysis conditions has been used to prepare 2-alkoxy- and 2-alkylthiopyridines in high yield (82CPB519, 82S465; 83JAP(K)58-154561, 83TL3243; 84MI8). The halogen



of 2-, 3-, and 4-halopyridines can be substituted by alkoxides and thiolates in dimethyl sulfoxide (83EUP149088; 85JHC1419). Trifluoromethylsulfide anion reacts with pentafluoropyridine at the 4-position (85C185). Mercaptopyridines can be prepared by mercaptylation of 2- and 4-halopyridines with elemental sulfur in the presence of molten salts (NaOH-KOH) (95CPB5184). Reaction of 3-bromopyridine with 3-hydroxypyridine or pyridine-3-thiol gives 3,3'-oxybispyridine and 3,3'-thiobispyridine, respectively (83JHC1411; 84JHC917). Substitution occurs at the 4-position when 4-cyanopyridine is treated with 4-methylpiperidine (83EUP74837). Nucleophiles react with tetrachloro-4-cyanopyridine by replacement of chlorine rather than by reactions involving the cyano group (82JCS(P1)2897). Substitution of pentachloropyridine by potassium *tert*-butoxide occurred at C-2 (84S33). The reactions of 2,6- and 2,5-dibromopyridines and of 2,3-, 2,6-, and 3,5-dichloropyridines with sodium alkylthiolates or sodium alkoxides give the products of mono- or of bis-substitution, depending on the experimental conditions (83JAP(K)58-206565; 84USP4490380; 85T1373). Diazotization of 3-aminopyridine-2-sulfonamide and reaction of the diazonium salt with copper thiocyanate gave 3-cyanothiopyridine-2-sulfonamide (84MI11). Disodium hexaethylene glycolate and 1,5-bis(6-bromo-2-pyridyl)-1,5-dioxopentane undergo macrocyclization in toluene at 70°C (86JOC850). Several aryloxypyridines have been prepared from 2- or 4-halopyridines and phenolates (83EUP79311, 83EUP92112, 83GEP3151589, 83GEP3205150, 83GEP3240975, 83GEP3241138, 83JAP(K)58-08063, 83MI1, 83USP4410701, 84BRP2133400, 84EUP128658, 84GEP3242519, 84JAP(K)59-31761; 85EUP142328, 85MI1, 85SZP652714, 85USP4491468, 85USP4493730, 85USP4521426, 85USP4558134; 86CZP221135, 86EUP178260, 86EUP202195, 86JAP61-34418; 87GEP3628864).

Pyridines containing a halogen atom ortho or para to an electron-withdrawing group (i.e., —CN, —COOR, —COMe, —NO₂, —CF₃) are easily substituted with sulfur nucleophiles, alkoxides, or cyanide (82MI2; 83JAP(K)58-170759, 83USP4374140; 84EGP209450, 84EUP97460, 84EUP100068, 84EUP104876, 84EUP109027, 84GEP3301198, 84JHC97, 84MI8, 84MI9; 85EUP131861, 85JAP(K)60-237067; 86USP4609732;

87JHC85). 2-Bromo-3-cyanopyridines undergo nucleophilic substitution with alkoxides, amines, iodide, cyanide, and rhodamine (84ZOR1517). A study has appeared on the behavior of 6-alkoxy-2-amino- or 2-chloro-4-aryl-3,5-dicyanopyridines in the presence of nucleophiles (84MI10). 3-Trichloromethylpyridine and its α -chlorinated derivatives react with methoxide at the α -position with concomitant attack at the trichloromethyl group (84TL5693). Aliphatic alcohols and diols or ethylene glycols react with 2-chloro-6-cyanopyridine to give, depending on the reaction conditions, alkoxypyridines or imino ester pyridines (85T4941).

Rate constants have been measured for the reaction of ammonia with various fluorinated pyridines in aqueous dioxane at 25°C (82MI1). The reactivity of some 3-substituted derivatives of 2,6-dihalopyridines toward potassium amide in liquid ammonia has been studied (85JHC985). The reaction of 2-chloro-5-nitropyridine with potassium amide/liquid ammonia gives 2-amino-5-nitropyridine via a ring-opening and ring-closure mechanism. In contrast, 2-chloro-3,5-dinitropyridine is nearly quantitatively aminated by liquid ammonia into 2-amino-3,5-dinitropyridine, with no ring opening involved (85JOC484). Several preparations of aminopyridine derivatives from 2- or 4-halopyridines have appeared (83GEP3318560, 83USP4374141, 83USP4383851; 84EUP112704, 84JAP(K)59-122468, 84JAP(K)59-161360, 84KGS92, 84MI12; 85BRP2144740, 85EUP151451, 85JAP(K)60-13762, 85JAP(K)60-13763, 85JAP(K)60-112767, 85JAP(K)60-112768, 85JAP(K)60-112769, 85JAP(K)60-169463, 85JAP(K)60-197681, 85JHC193, 85MI1; 86EUP196016, 86MI1). Tetrafluoroisonicotinic acid reacts with PhNHMgBr to give substitution at C-3 (84IZV93). In the presence of base, 2-pyridones will substitute 2-halopyridines at the 2-position (86JAP(K)61-72754, 86JAP(K)61-186363). Treating 2-bromonicotinonitriles with dimethylformamide and thionyl chloride gives 2-(dimethylamino)nicotinonitriles (84EGP205893). Hydrazinopyridines can be prepared from 2-halopyridines and hydrazine hydrate in ethanol (83JAP(K)58-198469; 84EGP216455, 84USP4448780; 85EUP159112; 87JCS(D)5). The 2- or 4-alkoxy group of alkoxynitropyridines is replaced by heating with alkylamines or ammonium acetate in aqueous solution (85EGP3334029, 85EUP149537; 86JHC669). Pyridine and thionyl chloride give 1,4'-bipyridinium chloride hydrochloride, which on treatment with dimethylamine or dimethylformamide gives 4-(dimethylamino)pyridine (83MI2; 84GEP3241429). The analogous reactions using aromatic amines or thiols as nucleophiles also give 4-substituted pyridines in high yield (83JMC222; 85JAP(K)60-112766). Treatment of 1,4'-bipyridinium chloride with sodium hydroselenide yields di-(4-pyridyl)selenides (83MI5, 83MIP1).

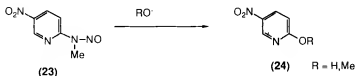
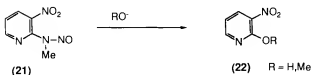
Substitution reactions of pentafluoropyridine occurred with nucleophiles in the presence of alkali metal fluorides in graphite (84IZV2158). Treatment

of 2-chloro-5-(trifluoromethyl)pyridine and 2,3-dichloro-5-(trifluoromethyl)pyridine with hydrofluoric acid or potassium fluoride exchanges the Cl atom for an F atom at the 2-position (82EUP63872; 85USP4547577; 86USP4567274; 87JAP(K)62-12758). A 60% yield of 2,3-difluoro-5-(trifluoromethyl)pyridine is obtained from the reaction of 3-chloro-2-fluoro-5-(trifluoromethyl)pyridine, potassium fluoride, and potassium carbonate in sulfolane (85EUP146924). This conversion can also be carried out with cesium fluoride and potassium carbonate in dimethylsulfoxide (84JAP(K)59-20269). Pentachloropyridine is converted to 3,5-dichloro-2,4,6-trifluoropyridine and pentafluoropyridine with potassium fluoride at 330–450°C under pressure (85USP4542221; 86JAP(K)61-215370). A similar reaction occurs with tetrachloro-3-cyanopyridine to give tetrafluoro-3-cyanopyridine (85JAP(K)60-149566, 85JAP(K)60-152467). Chlorine exchange for fluorine in ring-fluorinated pyridines has been performed (85USP4493932, 85USP4546192). Chlorination of a 2-pyridone with thionyl chloride and a catalytic amount of dimethylformamide gives a high yield of the 2-chloropyridine (85CZP219406). Treatment of 2,6-dichloropyridine and 2-chloro-6-phenoxy pyridine with hydrobromic acid gives 2,6-dibromopyridine and 2-bromo-6-phenoxy pyridine in high yield (83JAP(K)58-18360; 85USP4521603). Selective dechlorination was observed during the attempted transformation of 2,6-dichloronicotinic acid to its 2,6-diiodo derivative with hydroiodic acid and sodium iodide, from which 6-iodonicotinic acid was isolated in 51% yield (86JOC953).

A sulfinyl or sulfonyl group at the 2- or 4-position of a pyridine ring can be displaced by nucleophiles (i.e. alkoxides, thiolates, and cyanide) to afford the corresponding ipso substitution products. Similarly, 2-halo-6-methylsulfinyl- or 2-halo-6-methylsulfonylpyridines react with nucleophiles to give 2-halo-6-substituted pyridines (83TL3243; 84EGP210036, 84JCS(P1)1839; 85JAP(K)60-185764; 86USP4616087). Bipyridines are formed by treatment of methyl 2-pyridyl sulfoxides with Grignard reagents (84TL2549).

When aminopyridine N-nitroso derivatives **21** and **23** were treated with hydroxide or methoxide, ipso substitution occurred at the 2-position to give nitropyridines **22** and **24** (85MI2). Reactions of 3-azido-2-nitropyridine (**25**) and 4-azido-3-nitropyridine (**27**) with nucleophiles were studied. Pyridine **25** and sodium hydroxide gave pyridone **26**. Morpholine and pyridine **27** gave the 3,4-disubstituted pyridine **28** (83KGS373). Treatment of 2-cyano-3,5-dimethyl-4-nitropyridine with sodium methoxide effects substitution at the 4-position (85MIP2).

Dimethyl 4-iodo-2,6-pyridinedicarboxylate reacts with copper(I) phenylacetylide in pyridine to form dimethyl 4-(phenylethynyl)pyridine-2,6-dicarboxylate in moderate yield. A higher yield can be obtained by using phenylacetylene in the presence of bis(triphenylphosphine)palladium(II)

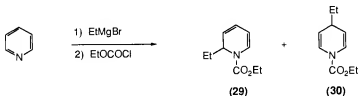


acetate and copper(I) iodide in triethylamine (83EUP79312; 87ACS(B)219). In an analogous manner, 3- and 4-bromopyridines are converted to 3- and 4-alkynylpyridines in high yield (83EUP79312; 84JHC607). Reaction of 1-tridecyne and 3-bromopyridine-4-carboxaldehyde in the presence of palladium acetate and triphenylphosphine gives 3-(1-tridecynyl)pyridine-4-carboxaldehyde (86EUP194093). A similar reaction affords 2-pyridyl acrylates from 2-bromopyridines and ethyl acrylate (87USP4639459). Treatment of 2- and 3-bromopyridine with a fourfold excess of 2:1 Grignard reagent/Cu(I) salt mixtures gives alkylated pyridines in 52–82% yield via ipso substitution (87JOC3847). A 2-aryl-3-methylpyridine can be obtained in good yield by the addition of an aryllithium to 2-fluoro-3-methylpyridine (86JOC2021). Treatment of 2-fluoro-3,5-dinitropyridine with an enamine effected substitution at C-2 (84USP4443458). Reaction of 2-butenyl Grignard

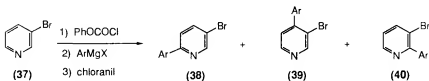
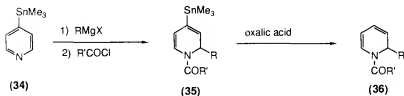
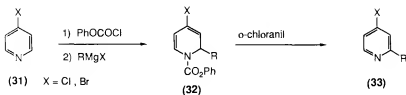
reagent with 2-bromo-3-picoline gives 2-(α -methylallyl)-3-picoline in 78% yield (83G227). Arylboronic acids couple efficiently with 5-bromonicotinates in the presence of a palladium catalyst to give 5-arylnicotinates (84JOC5237). All six isomeric thienylpyridines are prepared in high yield through the coupling of 2- and 3-thiopheneboronic acids with the bromopyridines and a palladium catalyst in aqueous sodium carbonate–dimethoxyethane mixtures (84CS5). Reaction of 2,6-dichloropyridine with 2-thienylmagnesium bromide in the presence of a palladium catalyst gave 2-chloro-6-(2-thienyl)pyridine in 55% yield (84CC511). Heterocyclic mercury and tin derivatives couple with iodopyridines in the presence of a palladium catalyst to give arylpyridines (83KGS1467). Coupling of 2-bromopyridine occurs in dimethylformamide in the presence of $\text{Ni}(\text{Ph}_3)_4$ to give a 68% yield of 2,2'-bipyridine (84S736). A large-scale preparation of 3-(3-methoxyphenyl)pyridine via a nickel-catalyzed Grignard coupling of 3-bromoanisole and 3-bromopyridine has been reported (85T129).

2. Via 1-Acylpyridinium Salts

The addition of nucleophiles to 1-acylpyridinium salts has surfaced as a powerful method for the synthesis of substituted pyridines. The 1-acylpyridinium salts are formed *in situ* by adding an acyl chloride to a pyridine in an aprotic solvent such as tetrahydrofuran. The formation of the 1-acylpyridinium salt is very rapid and will occur in the presence of various organometallics without significant competition from the reaction of the nucleophile and the acyl chloride. The addition of ethyl chloroformate to a mixture of pyridine and ethylmagnesium bromide gives 1,2- and 1,4-dihydropyridines **29** and **30** in a ratio of 64/36. Although these dihydropyridine intermediates can be aromatized with hot sulfur to 2- and 4-alkylpyridines, the poor regioselectivity makes this procedure unattractive.



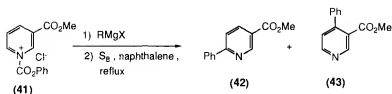
However, aryl, alkenyl, and alkynyl Grignard reagents add mainly or exclusively at the 2-position of 1-acylpyridinium salts (82JOC4315; 83TL1801). When the pyridine ring has the 4-position blocked with a substituent, an alkyl Grignard reagent adds exclusively to the 2-position. Even the labile 4-chloro- and 4-bromopyridines (**31**) are attacked by Grignard reagents



exclusively at the 2-position of their 1-(phenoxy-carbonyl)pyridinium ions to provide dihydropyridine intermediates **32**. Aromatization of crude **32** with *o*-chloranil gives the desired 2-alkyl-4-halopyridines **33** in moderate overall yield (85JOC4410). A regiospecific α -addition of alkyl Grignard reagents can be achieved indirectly by using 4-trimethylstannylpyridine (**34**). The trimethylstannyl group can be removed from the dihydropyridine intermediate **35** to give **36** *in situ* by hydrolysis with oxalic acid (84TL4867).

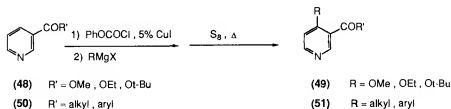
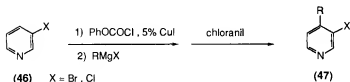
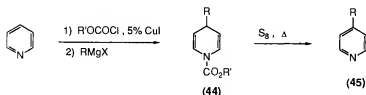
The addition of aryl Grignard reagents to the 1-phenoxy-carbonyl salt of 3-bromopyridine (**37**) affords 2-aryl-5-bromo-1-(phenoxy-carbonyl)-1,2-dihydropyridines and 4-aryl-3-bromo-1-(phenoxy-carbonyl)-1,4-dihydropyridines. The crude dihydropyridines were aromatized with *o*-chloranil to give 4- and 6-aryl-3-bromopyridines. The regioselectivity, 6- vs 4-substitution, was examined and found to be dependent upon the structure of the Grignard reagent. Unhindered aryl Grignard reagents (i.e., phenyl and 2-naphthyl) give mainly 6-aryl-3-bromopyridines (**38**) (49–52%) along with 9% of the 4-substituted isomer (**39**) and less than 4% of the 2-aryl-3-bromopyridine (**40**). Hindered aryl Grignard reagents (i.e., *o*-tolyl and 1-naphthyl) are less regioselective (83JHC1239).

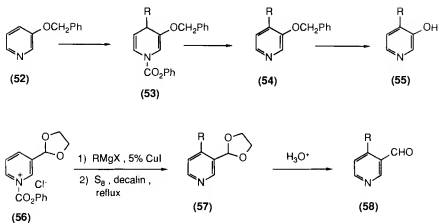
The regioselective addition of Grignard reagents to the 1-phenoxy-carbonyl salts of alkyl nicotinates has been studied. Phenyl Grignard reagent and the



1-phenoxy-carbonyl salt of methyl nicotinate (**41**) give substituted nicotines **42** and **43** in a ratio of 84/16 after aromatization of the dihydropyridines. Alkyl Grignard reagents are less regioselective (84H151).

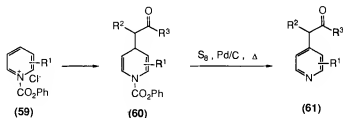
Stoichiometric organocopper reagents (R_2CuLi , RCu , $RCu \cdot BF_3$) add regioselectively to the 4-position of 1-acylpyridinium salts (74JC3563; 82TL429). A convenient and practical method for the synthesis of 4-substituted pyridines utilizes a regioselective addition of Grignard reagents to 1-acylpyridinium salts in the presence of a catalytic amount of cuprous iodide. The intermediate 1-acyl-4-alkyl(aryl)-1,4-dihydropyridines **44** are aromatized with hot sulfur or chloranil to provide substituted pyridines **45** in moderate to good yield (82JOC4315). Variations of this method have been used to substitute 3-halopyridines (**46**), alkyl nicotines (**48**), and 3-acylpyridines (**50**) at the 4-position to provide 3,4-disubstituted pyridines **47**, **49**, and **51**, respectively (83JHC1239; 84H339; 86H3199). In a similar manner, 2-alkoxy-5-lithiopyridines, 5% cuprous iodide, and 1-ethoxycarbonylpyridinium chloride give 3,4'-bipyridine derivatives (86H1585).

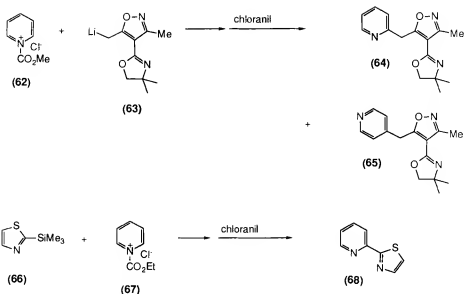




A synthesis of 4-alkyl-3-pyridinol (55) from 3-benzyloxypyridine (52) utilizes a copper-catalyzed Grignard reaction. The dihydropyridine intermediates **53** are aromatized to 4-alkyl-3-benzyloxypyridines (**54**), which on hydrogenolysis provide the pyridinol **55** (85JHC1419). Substitution at the 4-position of 3-pyridinecarboxaldehydes can be achieved via 1-acylpyridinium salt **56**. The intermediate acetal **57** is hydrolyzed to give pyridinecarboxaldehyde **58** (84H339).

Titanium enolates of ketones add to the 4-position of 1-(phenoxycarbonyl)pyridinium salts **59** to give 1,4-dihydropyridines **60**. Subsequent aromatization provides 4-(2-oxoalkyl)pyridines **61** (84TL3297). Various α -functionalized organometallics add to 1-ethoxycarbonylpyridinium chloride (85TL1027). Silyl enol ethers attack regioselectively at the 4-position of 1-alkoxycarbonylpyridinium salts to give 4-(2-oxoalkyl)pyridines after aromatization of the dihydropyridine intermediates (83TL5269; 85TL3267). A mixture of pyridine, benzoyl chloride, 3-MeC₆H₄NMe₂, and copper on heating gives 3-methyl-4-(4-pyridinyl)-*N,N*-dimethylaniline (83USP4415578; 84H795). *N*-(Alkoxycarbonyl)pyridinium salts are allylated in the α -position by treatment with allyltributyltin (85JOC287). Benzyltin reagents undergo highly regioselective γ -addition to a variety of 1-acylpyridinium salts to give 4-benzyl-1,4-dihydropyridines (86TL211). A regiospecific γ -substitution of





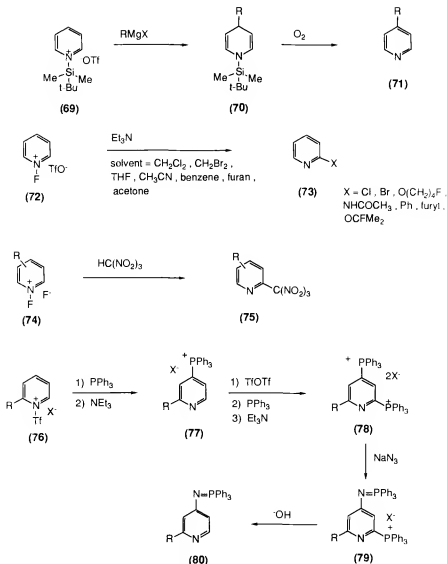
pyridine can be achieved by trialkyl alkynylborates in the presence of acetyl chloride (74CC347).

The isoxazolyloxazoline anion **63** and 1-methoxycarbonylpyridinium chloride (**62**) give a mixture of 1,2- and 1,4-addition products. Oxidation of the intermediate dihydropyridines with *p*-chloranil provides the substituted pyridines **64** and **65** (85JOC5660). *N*-Ethoxycarbonylpyridinium chloride (**67**) reacts with 2-trimethylsilylthiazole (**66**) regioselectively to give a 1,2-dihydropyridine intermediate, which on oxidative deacylation affords 2-substituted pyridine **68**. In a similar manner, 4-methyl-2-trimethylsilyloxazole and **67** provide the corresponding 2-heteroarylpyridine (84TL3637).

3. Via Other Pyridinium Salts

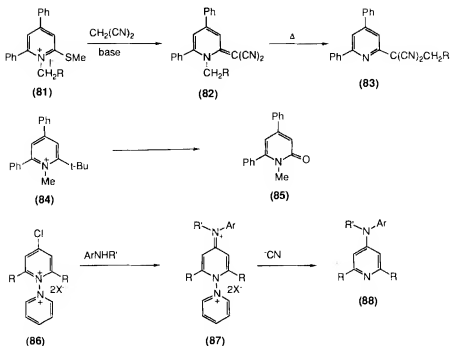
The reactivity of *N*-substituted pyridinium salts has been reviewed (86H181). Pyridine and *tert*-butyldimethylsilyl triflate in methylene chloride at 0°C react to form 1-*tert*-butyldimethylsilylpyridinium triflate (**69**). Treatment of **69** with Grignard reagents gives dihydropyridines **70**, which are oxidized using oxygen to give the 4-substituted pyridines **71** in good yield and high regioselectivity (>98%) (82TL3935; 84BCJ1994).

Several 2-substituted pyridines **73** can be prepared by reactions of *N*-fluoropyridinium triflate (**72**) with triethylamine in various solvents. A novel carbene has been proposed as the reactive species (87TL2705). Treatment of *N*-fluoropyridinium fluorides **74** with trinitromethane gives trinitromethyl-



pyridines **75** (83IZV2655). Pyridines react with acetyl hypofluorite to form 2-acetoxypyridines (87JA3789).

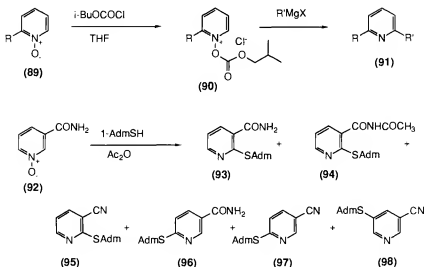
N-Trifluoromethanesulfonylpyridinium salts **76** react with phosphines to give 4-substituted pyridines **77**. The N-trifluoromethanesulfonylpyridinium salts of **77** and triphenylphosphine provide bisphosphonium salt **78**, which on reaction with sodium azide yields the iminophosphorane **80** via intermediate **79** (87TL2675).



Reaction of 2-iodo-1-methylpyridinium iodide with dimethylamine in ethanol gives 2-(dimethylamino)pyridinium iodide (84M114). Abnormal micellar effects on reactions of azide and N-alkyl-2-bromopyridinium ions have been found (87JOC901). The kinetics of reactions of 2-halopyridinium salts with primary, secondary, and aromatic amines in acetonitrile has been studied (83ZOR1970; 84ZOR1704). Pyridinium salt **81** on treatment with malononitrile in the presence of base gives adduct **82**, thermolysis of which gives pyridylmalononitriles **83** (83TL5805). Potassium ferricyanide oxidation of pyridinium salt **84** was accompanied by elimination of the *tert*-butyl group at C-2 to give pyridone **85** (83CCC511). Indole reacts with N-methylpyridinium iodides in the presence of triethylamine to give 2-indolyl-N-methylpyridinium salts (84KGS1383). Treatment of 4-chloro-1-pyridinopyridinium salts **86** with arylamines gives a high yield of isolable 4-aryliminium salts **87**, which are readily fragmented with sodium cyanide or sodium salts of sulfonic acids into 4-(arylamino)pyridines **88** (83JCS(P1)973).

4. Via Pyridine N-Oxides

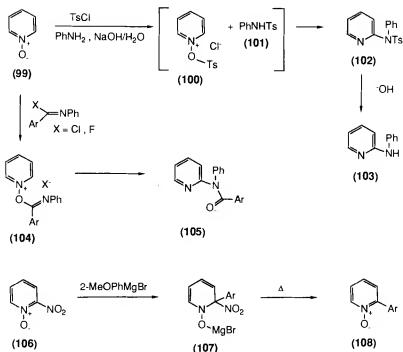
A regioselective one-pot synthesis of 2-substituted pyridines from pyridine N-oxides has been described. The pyridine N-oxide **89** in tetrahydrofuran



when treated with isobutyl chloroformate forms 1-(alkoxycarboxy)pyridinium salt **90** *in situ*. Addition of an aryl, alkenyl, or alkynyl Grignard reagent gives the 2-substituted pyridine **91** in 41–55% yield (85TL3191).

Six new sulfides (**93–98**) were isolated from the reaction of nicotinamide N-oxide (**92**) with 1-adamantanethiol in boiling acetic anhydride. The analogous reaction with nicotinic acid N-oxide gave 2-(1-adamantylthio)nicotinic acid as the only sulfide isolated (85JHC771). The reaction of 3-picoline N-oxide with either *tert*-butyl or 1-adamantyl mercaptan in acetic anhydride gave a mixture of 2-(alkylthio)-3-picolines, 2- and 3-(alkylthio)-5-picolines, and *trans,trans*-1-acetyl-2-(alkylthio)-3,4-diacetoxy-1,2,3,4-tetrahydropyridines (85JOC997). A review on deoxidative substitutions of pyridine N-oxides by thiols has appeared (86H161). Sodium hydroxide, sulfur, and pyridine N-oxide in refluxing toluene gave 62% of 2-pyridinethiol N-oxide (83JAP(K)58-152867; 84JAP(K)59-112968).

The reaction of pyridine N-oxide (**99**) with aniline and *p*-toluenesulfonyl chloride (TsCl) in an alkaline medium provides the sulfonamide **102** via intermediates **100** and **101**. Hydrolysis of **102** gives aminopyridine derivative **103** (82KGS1278; 83ZOR663; 86KGS936). Direct acylamination of pyridine N-oxide with *N*-phenylarenimidoyl chlorides and fluorides gives **105** via the intermediate pyridine N-oxide salt **104** (83JOC4391). *N*-Methylcarbamoylation at the C-2 or C-4 position of pyridine occurs on reaction of pyridine N-oxide with *N*-methylformamide (86CPB3431). An improved procedure for preparation of 2-pyridones from pyridine N-oxides uses trifluoroacetic anhydride as the reagent and dimethylformamide as the solvent (86H2169). Treatment of 2-pyridinecarboxylic acid N-oxides with acetic anhydride and triethylamine gives 2-hydroxypyridines in good yield (85JAP(K)60-61567).



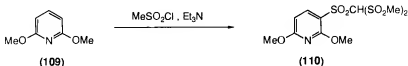
The reaction of nicotine *N*-oxide with methylmagnesium bromide gives both 2- and 6-methylnicotine. At -70°C , the distillable yield was more than three times greater (65%) than that found at 50°C (83JOC4899). The addition of 2-anisylmagnesium bromide to 2-nitropyridine *N*-oxide (**106**) yields 1-hydroxydihydropyridine salt **107**, which on heating in toluene gives a 65% yield of 2-(2'-methoxyphenyl)pyridine *N*-oxide (**108**) (84TL4045). Pyridine *N*-oxides are readily converted into α -alkylated pyridines by allyl- or benzyltrimethylsilane and fluoride ion (83TL889). Treatment of 3-substituted pyridine *N*-oxides with trimethylsilyl cyanide, or with dimethylcarbamyl chloride and trimethylsilyl cyanide, gives 2- and 6-cyanopyridines (83JOC1375, 83S316; 84H93, 84H1121, 84H2375; 85CPB565). *N*-Methoxypyridinium salts react with cyanide to give 2-cyanopyridines (84JOC4290; 85MIP2; 86JHC177). The halogen of 2- or 4-halopyridine *N*-oxides, or of 2- or 4-halo-*N*-methoxypyridinium salts, is replaced by reaction with thiols, hydroxide, alcohols, phenols, amines, and enamines (83AP27, 83AP63, 83GEP3245818; 84MI11; 85MI3; 86GEP3514073; 87TL3733). The reactions of 3-halo-4-nitropicoline *N*-oxides with nucleophiles has been studied (86MI2). Treatment of 3-fluoro-4-nitropyridine *N*-oxide with an enamine gave substitution at C-3 (84USP4443457).

The reaction of 1-oxido-4-pyridinediazonium tetrafluoroborate with olefins in the presence of tris(dibenzylideneacetone)dipalladium affords the C-4 alkenylated products in good yield (86CPB7).

B. ELECTROPHILIC SUBSTITUTION

1. *Via Direct Substitution with Electrophiles*

Pyridines are electron-deficient and generally resistant to electrophilic substitution reactions, such as the Friedel-Crafts alkylation or acylation. Only a few examples of the Friedel-Crafts alkylation are known in the pyridine series (84MI1). A recent report describes an electrophilic benzylation of 2-aminopyridine. Benzyl chloride and 2-aminopyridine at 250°C for 3 hr gave 5-benzyl-2-aminopyridine in 48% yield. A similar reaction occurs with 2-amino-3-picoline and with 2-benzylaminopyridine (84MI15). Electrophilic attack by sulfene or its dimer on the aromatic ring of 2,6-dimethoxypyridine (**109**) gave the 3:1-adduct **110** in 85% yield (82CC1175).



Several examples of direct chlorination of pyridines have appeared. The ferric chloride-catalyzed chlorination of 2-chloro-5-(trifluoromethyl)pyridine provides the 2,3-dichloro-5-(trifluoromethyl)pyridine (83EUP78410). Symmetrical tetrachloropyridine can be prepared by catalytic chlorination of 3-(trichloromethyl)pyridine (86EUP204848, 86JAP(K)61-277666). Chlorination of 6-hydroxy-2-picoline occurred at C-3 with >98% selectivity (86USP4594422). Vapor-phase catalytic chlorination of 2,6-dichloropyridine gives 2,3,5,6-tetrachloropyridine in good yield (83JAP(K)58-206564).

Bromination of pyridinecarbonitrile **111** in chloroform with bromine gives **112** in 80% yield (84EGP205895). Treatment of mercury(II) nicotinate with iodine or bromine in nitrobenzene at 180–185°C affords 3-iodo- and 3-bromopyridines in 44 and 27% yield, respectively (83JOC3297).

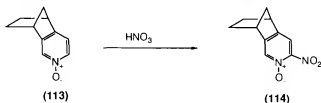


Nitration of 2-chloro-6-methoxypyridine occurs in good yield at C-3 by treatment with fuming nitric acid and sulfuric acid at 20°C (84GEP3308449, 84JAP(K)59-33262). A convenient synthesis of 4-hydroxy-3-nitropyridine uses a nitration of 4-hydroxypyridinium nitrate (85OPP409). Nitration of 3-trifluoromethyl-2-pyridone gives 5-nitro-3-trifluoromethyl-2-pyridone (85JAP(K)60-112770).

2. Via *N*-Oxides

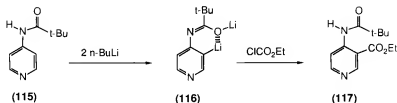
The halogenation of *N*-oxides of acetylaminopyridines has been studied. Treatment of 2-acetamidopyridine *N*-oxide with HCl or HBr and hydrogen peroxide gives the 5-chloro, the 3,5-dichloro, and the 3,5-dibromo analogs. Reaction of 3-acetamidopyridine *N*-oxide gives the 2,4,6-trihalo product, whereas 4-acetamidopyridine *N*-oxide affords the 3,5-dihalo derivatives (83MI4).

The direct nitration of pyridine *N*-oxides generally gives the 4-nitro derivatives in good yield (84EUP103553, 84M11, 84USP4490350). A one-pot procedure for the preparation of 3-bromo-4-nitropyridine *N*-oxide from 3-bromopyridine has been developed (83OPP280). Nitration occurs at C-5 of 2-acetamidopyridine *N*-oxide (85MI4) and at C-4 of 2-chloropyridine *N*-oxide (85EUP138420). The isolation of the α -nitro-substituted pyridine *N*-oxide **114** from the nitration of pyridine *N*-oxide **113** has been reported (86JHC177).



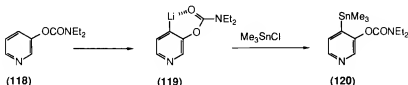
3. Via Metallated Pyridines

Regiospecific lithiation of substituted pyridines is useful for the introduction of certain electrophiles onto the pyridine ring. Turner has shown that 2- and 4-(pivaloylamino)pyridines undergo lithiation exclusively at C-3 and subsequent reaction with a variety of electrophiles gives 2,3- and 3,4-disubstituted pyridines, respectively. Using this method, 4-(pivaloylamino)pyridine (**115**) was converted to 3,4-disubstituted pyridine **117** via lithiated pyridine **116** in 65% yield. The major product of reaction of 3-(pivaloylamino)pyridine by this method resulted from lithiation-alkylation at C-4, but the reaction is complicated by competing nucleophilic attack

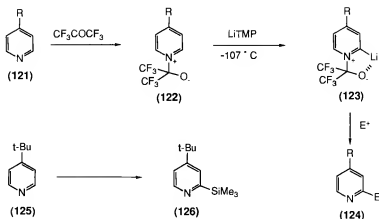


on the pyridine nucleus by the metallating agent (83JOC3401). Formylation at C-4 of 3-methoxy-5-(pivaloylamino)pyridine was accomplished by lithiation with *n*-butyllithium and subsequent reaction with dimethylformamide (83JAP(K)58-92641).

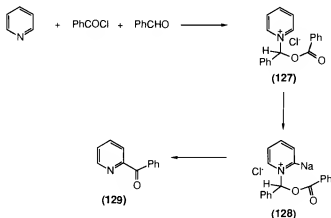
Directed ortho lithiation of 2-, 3-, and 4-pyridyl diethylcarbamates provides a route to a variety of polysubstituted pyridines. The 2- and 4-pyridyl carbamates are metallated at C-3, whereas the 3-pyridyl carbamate is lithiated at C-4. For example, 3-pyridyl diethylcarbamate (**118**) on treatment with *sec*-BuLi/TMEDA/THF (TMEDA = *N,N,N',N'*-tetramethylethylenediamine) at -78°C followed by Me_3SnCl gives 3,4-disubstituted pyridine **120** in 83% yield via lithiated intermediate **119**. On warming, the metallated pyridyl



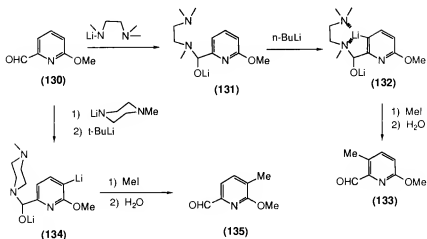
carbamates undergo the anionic Fries rearrangement to give substituted pyridinols or pyridones (85JOC5436). Reaction of pyridines **121** and hexafluoroacetone gives complexes **122**, which are regioselectively lithiated with lithium 2,2,6,6-tetramethylpiperidide (LiTMP) at the 2-position to give anion **123** *in situ*. Addition of electrophiles provides the 2- or 2,4-substituted pyridines **124**. Pyridine **125** can be directly lithiated at room temperature by LiTMP in the presence of Me_3SiCl to give the silylated pyridine **126** (83JOC4156). Pyridine is metallated selectively (85–80%) in the 2- or the 4-position using *n*-BuLi/*tert*-BuOK in ether or in a mixture of tetrahydrofuran and hexamethylphosphoramide, respectively (84CC257, 84JOC3857). A general study on the directed metallation of secondary and tertiary pyridine-carboxamides has been carried out (83TL4735; 86JCR(S)18, 86JCR(S)20). Tertiary 2- and 4-pyridinesulfonamides are ortho-lithiated at C-3 by excess lithium diisopropylamide. Reaction with electrophiles gives the corresponding ortho-disubstituted pyridines in high yield. The analogous lithiation-alkylation of 3-pyridylsulfonamides provides the 3,4-disubstituted products (83S822; 87JOC1133).



Pyridine, benzaldehyde, and benzoyl chloride react to form pyridinium salt **127**. Treatment of **127** with sodium bis(trimethylsilyl)amide transfers the acyl group to the 2-position of the pyridine ring via anion **128** to give pyridyl ketone **129**. Ethyl picolinate is prepared by this method using ethyl chloroformate as the acyl chloride (84TL1715; 86CB279).



Regioselective substitution at C-3 or C-5 of 6-methoxy-2-pyridine-carboxaldehyde (**130**) is possible using directed metallation methodology. On treatment with lithiated *N,N,N'*-trimethylethylenediamine, pyridinecarboxaldehyde **130** is converted into α -amino alkoxide **131** *in situ*. Metallation with *n*-butyllithium occurs at C-3 to give dianion **132**, which on methylation and aqueous workup provides the 2,3,6-trisubstituted pyridine **133** in good yield and with high regioselectivity (>95%). When lithiated *N*-methylpiperazine is used as the amine component and *tert*-butyllithium is the metallating base,

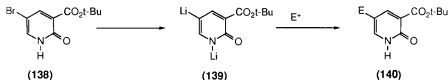


lithiation occurs regioselectively at C-5 to give dianion **134**. Methylation and aqueous workup provide the 2,5,6-trisubstituted pyridine **135** (87UP2).

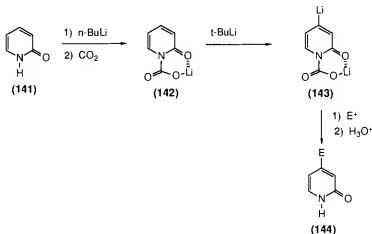
Regioselective ortho lithiation of 3-alkoxypyridines at C-2 occurs with n -butyllithium/TMEDA in tetrahydrofuran (82S235). Deuteration studies on 4-ethoxypyridine showed that H-D exchange occurs in the EtO group and at C-3 and C-5, but not at C-2 or C-6 (83MI3). Lithiation-alkylation at C-3 of 2- and 4-methoxypyridine occurs in good yield using mesityllithium as the base. The analogous reaction with 3-methoxypyridine gives substitution at C-2 (87UP3). Lithiation of 4,6-dimethoxypyridinecarboxylate **136** with lithium diisopropylamide, followed by carboxylation with CO_2 , gives pyridinecarboxylic acid **137** in high yield (86EUP181311).



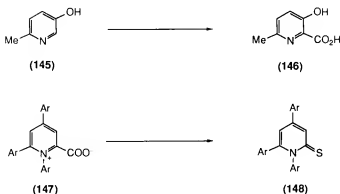
Lithiation of 1-methyl-4-pyridone at C-2 with n -butyllithium at -78°C , followed by reaction with electrophiles, gives the 2-substituted 1-methyl-4-pyridones in 34–78% yield (85CC1021). Pyridone dianion **139** is prepared by lithium-halogen exchange from bromopyridonecarboxylate **138** and two



equivalents of *n*-butyllithium. This dianion readily reacts at C-5 with electrophiles to give **140** (84JHC1705). A novel synthetic route to 4-substituted 2-pyridones **144** from 2-pyridone (**141**) involves the *in situ* formation of lithium carbamate **142**, lithiation at C-4 with *tert*-butyllithium to form dianion **143**, reaction with electrophiles, and decarboxylation on acidic workup. This synthetic sequence is carried out in one pot and provides the 4-substituted 2-pyridones **144** in 35–62% yield (87T2343).



The reaction of 2-bromo-6-phenoxy pyridine with magnesium in tetrahydrofuran gives the Grignard reagent, which on treatment with dimethylformamide provides 6-phenoxy-2-pyridinecarboxaldehyde in high yield (85CL1803). Substitution at C-2 of 2-bromo-5-chloropyridine can be effected via lithium-halogen exchange with *n*-butyllithium (84EUP102727). Several 2-arylpyridines are formed selectively from 2-pyridylcopper and unactivated iodoarenes in the presence of triphenylphosphine in toluene at 100°C (86T3981). The mixed chiral cuprate reagent (LiPyR*Cu), prepared from 2-pyridylcopper and (*S*)-2-(1-dimethylaminoethyl)phenyllithium, transfers its pyridyl group to 4-phenyl-3-buten-2-one at -60°C in ether to give (+)-4-phenyl-4-(2-pyridyl)-2-butanone in good yield (23% e.e.) (83JOM(243)241). The reaction of bromopyridines with trimethylstannylpyridines in xylene in the presence of Pd(PPh₃)₄ gave bipyridines in 59–77% yield (86S564). Methyl 4-iodobenzoate, 2-trimethylstannylpyridine, and a palladium catalyst in THF at reflux yields the 2-arylpyridine in 95% yield (86TL4407). A convenient method for the preparation of 3- or 4-aryl- and 3- or 4-heteroaryl pyridines utilizes a palladium-catalyzed cross-coupling reaction of diethyl-3- or 4-pyridylborane with aryl and heteroaryl halides (84H265, 84S936; 85CPB4755). A similar reaction using vinyl halides and borylpyridines gives 3- and 4-alkenylpyridines (84H2475). Reaction of trialkyl (3-pyridyl)borates

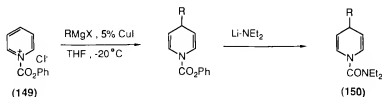


with allylic bromides in the presence of copper(I) salts provides 3-allylpyridine derivatives (85H117).

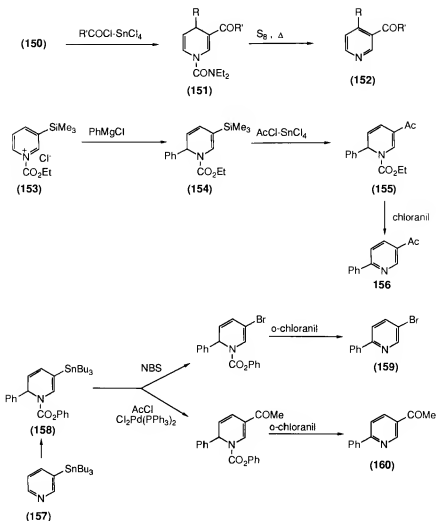
Carboxylation of the potassium salt of 3-hydroxy-6-methylpyridine (**145**) gave the picolinic acid **146** (84MI16). The Hammick condensation reaction of picolinic acid with benzaldehyde has been studied with regard to the effect of solvent, temperature, and molar ratio of reactants (85MI5). Benzoyl chloride, or benzaldehyde, and 1-benzyl-4,6-diphenylpyridinium-2-carboxylate afford 2-benzoyl-4,6-diphenylpyridine (85JCS(P1)2167). Sulfur and 1,4,6-triarylpyridinium-2-carboxylates **147** in xylene at 140°C give the corresponding pyridine-2-thiones **148** (83S149).

4. Via Dihydropyridine Intermediates

One can indirectly substitute the pyridine ring with electrophiles by using an electron-rich dihydropyridine intermediate. Dihydropyridines **150** can be prepared by a one-pot synthesis utilizing a copper-catalyzed Grignard addition to 1-(phenoxycarbonyl)pyridinium chloride (**149**). Dihydropyridines **150** undergo regioselective Friedel-Crafts acylation in good yield with many

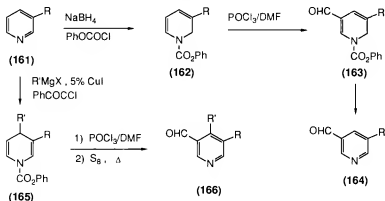


acid chlorides. The crude products **151** are aromatized with sulfur in refluxing naphthalene to provide 3-acyl-4-substituted pyridines **152**. Although the overall yields are not high (28–49%), this three-step synthesis is convenient and represents an indirect Friedel-Crafts acylation of the pyridine ring. The analogous acylation of 1-ethoxycarbonyl-1,4-dihydropyridines gives lower



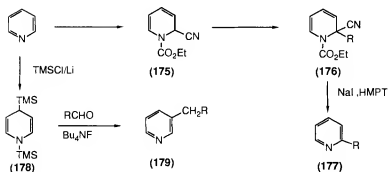
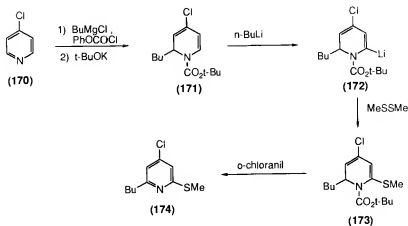
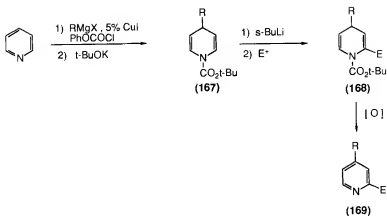
yields (83TL3683; 84BCJ1994). One example of a Friedel–Crafts acylation of a 1,2-dihydropyridine has been reported. The addition of phenylmagnesium chloride to 1-acetylpyridinium salt **153** is regiospecific providing dihydropyridine **154**, which is acylated–desilylated to give **155**. Aromatization with *o*-chloranil gives 5-acetyl-2-phenylpyridine (**156**). This synthetic sequence is tantamount to the regiospecific Friedel–Crafts acylation of 2-phenylpyridine (83TL3683). A similar approach starting from 3-tributylstannylpyridine (**157**) provides a regioselective synthesis of 5-bromo-2-phenylpyridine (**159**) (using *N*-bromosuccinimide, NBS) and 5-acetyl-2-phenylpyridine (**160**) (using $AcCl$) via 1,2-dihydropyridine **158** (87TL759).

Substituted 3-pyridinecarboxaldehydes can be prepared by Vilsmeier formylation of dihydropyridine intermediates. Various 3-substituted pyridines (**161**) can be transformed into 3-substituted 1-(phenoxycarbonyl)-1,2-dihydropyridines (**162**) using NaBH_4 and phenyl chloroformate in methanol. Treatment of these 1,2-dihydropyridines with Vilsmeier reagent (POCl_3/DMF) gives 3-substituted 5-formyl-1-(phenoxycarbonyl)-1,2-dihydropyridines (**163**), which are aromatized with sulfur to provide 5-substituted 3-pyridinecarboxaldehydes (**164**). The formylation of 4-substituted 1-(phenoxycarbonyl)-1,4-dihydropyridines **165** and subsequent aromatization give 3-pyridinecarboxaldehydes **166** (87H2159).



A new route to 2,4-disubstituted pyridines involves an electrophilic substitution at an α -position of an intermediate 1,4-dihydropyridine. Pyridine is converted to the 1-(*tert*-butoxycarbonyl)-1,4-dihydropyridine **167** using a copper-catalyzed Grignard reaction. Treatment of **167** with *sec*-BuLi in THF gives an α -lithiated intermediate, which reacts with various electrophiles to give **168**. Oxidation of dihydropyridines **168** with *o*-chloranil or sulfur gives the 2,4-disubstituted pyridines **169** (83TL2807). The 1-(*tert*-butoxycarbonyl)-1,2-dihydropyridine **171**, prepared from 4-chloropyridine (**170**), is α -lithiated with *n*-butyllithium to give anion **172**, which is treated with dimethyl disulfide to provide dihydropyridine **173**. Aromatization with *o*-chloranil yields the 2,6-disubstituted 4-chloropyridine **174** (87UP1).

A novel method for the synthesis of 2-alkylpyridines and 2-pyridinecarbinols starts from pyridine and involves the pyridine Reissert analog **175**. Anion formation with sodium hydride and alkylation with alkyl halides afford the 2-alkyl-1-ethoxycarbonyl-2-cyano-1,2-dihydropyridines **176**, which on heating with HMPT/NaI (HMPT = hexamethylphosphorous triamide) give 2-alkylpyridines **177**. Condensation of the anion with benzaldehyde or *o*-tolualdehyde leads to 2-pyridinecarbinols (85CI(L)125). The reaction of 1,4-bis(trimethylsilyl)-1,4-dihydropyridine (**178**) with aldehydes (and ketones) in

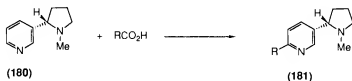


the presence of tetrabutylammonium fluoride provides 3-alkylpyridines **179** (84CL1255).

C. FREE-RADICAL SUBSTITUTION

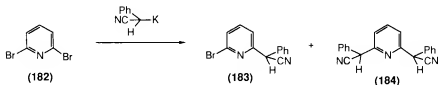
1. Via *N*-Unsubstituted Pyridines

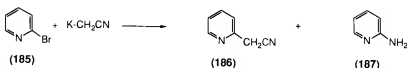
Free-radical alkylation of (*S*)-nicotine (**180**) with alkanolic acids in the presence of ammonium peroxydisulfate and silver nitrate gives 6-alkylnicotines **181** as the major products (85S953). Radical hydroxymethylation of nicotine leads to 6-(hydroxymethyl)nicotine as well as a low yield of the isomeric 4-(hydroxymethyl)nicotine (86JOC1548).



The absolute rate constants for the homolytic phenylation of several 4-substituted pyridines have been determined (86JOC4411). Alkylation of 4-cyanopyridine with alkyl radicals gives 2- and 2,6-substitution in high yield (86JOC4411). Photolysis of benzophenone oxime esters, prepared with aliphatic carboxylic acids and benzophenone oxime, generates aliphatic radicals, which in the presence of pyridine produce 2-, 3-, and 4-alkylpyridines (86TL3239). A photo-stimulated radical substitution reaction is observed on treatment of pyridine with alkylmercury halides (84MI17). The photocoupling of 3-(4-chlorophenyl)-1,1-dimethylurea with pyridine affords 3-(4-dimethylureidophenyl)pyridine in a regiospecific manner (83SC951).

Photo-stimulated reactions of dihalopyridines with pinacolone potassium enolate in liquid ammonia lead to facile replacement of both halogens via a modified $\text{S}_{\text{RN}}1$ mechanism. The potassium salt of phenylacetonitrile reacts with 2,6-dibromopyridine (**182**) under similar conditions to give a mixture of mono- and disubstituted products **183** and **184** (83JOC1180). The photo-stimulated reaction of 2-bromopyridine (**185**) and potassiumacetonitrile in





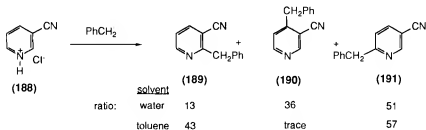
liquid ammonia produces a 75% yield of nitrile **186**, along with 16% of 2-aminopyridine (**187**). A similar reaction using potassiophenylacetonitrile gives α -(2-pyridyl)phenylacetonitrile in 88% yield (83JOC2392).

Nucleophilic substitution of halopyridines with benzenethiolate anion in DMF at 80°C occurs via a radical-chain mechanism (84JHC1243). The photo-stimulated reaction of 2-bromopyridine with ammonium thiophenoxide in liquid ammonia gives a 21% yield of 2-pyridyl phenyl sulfide after 90 min of irradiation (83JOC2392). The photoreaction of 3-bromopyridine with acetonitrile anion or benzenethiolate gives ipso substitution (81IZV2812). The photolysis of 2- and 4-iodopyridine and arenes, or heteroarenes, affords 2- and 4-arylpyridines (84H2347; 85CPB1009; 86H799). Diazotization of 1-acetyl-5-aminoindoline and treatment with pyridine give 1-acetyl-5-pyridylindolines (84FRP2530246).

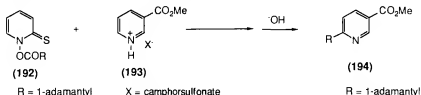
Mono- and polychloropyridines can be prepared by radical chlorination of pyridine and pyridine derivatives (83USP4393214; 84GEP3306905, 84USP4483993, 84USP4487935; 85EUP140438, 85JAP(K)60-78967, 85JAP(K)60-174768, 85USP4497955, 85USP4515953, 85USP4517369; 86EUP172595, 86USP4563531, 86USP4564681).

2. Via Pyridinium Salts

Minisci and co-workers have done extensive work on the radical substitution of protonated pyridines, demonstrating that high yields and selectivity can be obtained (84TL3897; 85T617, 85T4157; 86JOC4411). The regio- and chemoselectivity of the substitution of protonated pyridines by nucleophilic carbon-centered radicals is strongly affected by the nature of the solvent. The reaction of benzyl radical with 3-cyanopyridinium salt **188** in water gives substituted pyridines **189–191**. Only a trace of **190** is obtained when the reaction solvent is toluene (87JOC730).

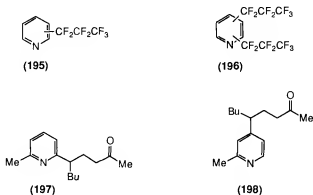


Radicals generated by irradiation of the esters of *N*-hydroxy-2-thiopyridone added efficiently to protonated pyridines. When ester **192**, derived from adamantanoic acid, is irradiated with a tungsten lamp in the presence of pyridinium camphorsulfonate **193** in dichloromethane at room temperature, a smooth reaction occurs to give an 81% yield of the 6-substituted methyl nicotinate **194**. The camphorsulfonate of pyridine itself affords approximately equal amounts of 2- and 4-substituted products (86TL1327).



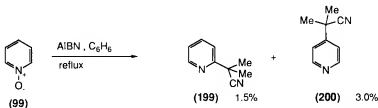
γ -Irradiation of pyridinecarbonitriles in methanol and ethanol in the presence of sulfuric acid effects substitution of methyl and ethyl groups for the ring hydrogen (84CL769). Methyl 6-methylnicotinate (21%) and methyl 4,6-dimethylnicotinate (5%) were the major products of ^{60}Co γ -ray-induced homolytic substitution of protonated methyl nicotinate by 1,3-dioxolane (85JOC4162).

A mixture of (fluoroalkyl)pyridine derivatives **195** and **196** was obtained from reaction of pyridine, $\text{CF}_3(\text{CF}_2)_2\text{CO}_2\text{H}$, and $(\text{CF}_3\text{CF}_2\text{CF}_2\text{CO})_2\text{O}_2$ in $\text{Cl}_2\text{CFCClF}_2$ at 40°C (86JAP(K)61-189268). Homolytic oxoalkylation of methylpyridines in the presence of manganese(III) acetate has been reported. Treating a mixture of acetone, 1-hexene, and 2-picoline with manganese(III) acetate in acetic acid at 70°C gave a mixture of pyridines **197** and **198** in 35% yield (85IZV706). Irradiation of a solution of 2-thiopyridones in 1,2-dimethoxyethane in the presence of an alkene gives 2-(2-mercaptoalkyl)pyridines in good yield (86S54).



3. Via Pyridine *N*-Oxides

The reaction of pyridine *N*-oxide (**99**) with 2,2'-azobisisobutyronitrile (AIBN) in boiling benzene affords 2-(1-cyano-1-methylethyl)pyridine (**199**) and 4-(1-cyano-1-methylethyl)pyridine (**200**) in low yield (81CPB3105). The reaction of 4-aminopyridine 1-oxide with amyl nitrite generates the 1-oxido-4-pyridyl radical, which on treatment with aromatic compounds gives the C-4 arylated products. A similar reaction occurs with 2-aminopyridine 1-oxide (84CPB1780).



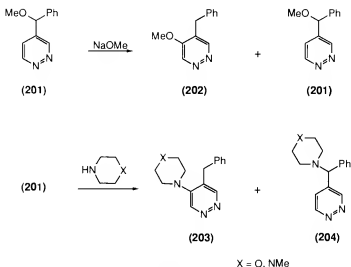
III. Substitution of Pyridazines

A. NUCLEOPHILIC SUBSTITUTION

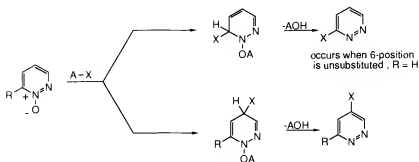
Nucleophilic substitution of pyridazines had been limited to reactions of pyridazine *N*-oxides or halogen-substituted pyridazines (84MI2). One report of substitution of hydrogen at the C-5 position of a pyridazine has appeared. Reaction of methoxide, morpholine, or *N*-methylpiperazine with 4-substituted pyridazine **201** gives mixtures of ring-substituted products **202** and **203**, with minor amounts of the 4-(α -substituted benzyl)pyridazines **201** and **204** (84M1171) (Scheme 1).

Halopyridazines have been substituted by amines (83CZP208441, 83EUP72299, 83EUP72726, 83JHC369, 83JHC1259, 83JHC1473, 83NJC667; 84EUP114770, 84FRP2539742; 85MI6–85MI10; 86EUP202095, 86JHC621, 86JMC369, 86MI3), alcohols (83EUP92945, 83JAP(K)58-183675, 83JCR(S)184, 83JHC369; 84EGP208612, 84EUP9720, 84JAP(K)59-67274, 84JAP(K)59-212479; 85JAP(K)61-207378, 85MI1447; 86M221, 86USP4628088), sulfur nucleophiles (84JAP59-01469; 85GEP3328770), fluoride (83MI6), and carbanions (86H793).

Generally, 4- and/or 6-substituted pyridazines result from the addition of molecules of general formula AX to pyridazine 1-oxides (84MI2) (Scheme 2).



SCHEME 1



SCHEME 2

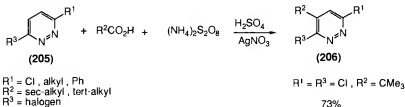
B. ELECTROPHILIC SUBSTITUTION

The pyridazine ring is electron deficient and needs electron-releasing groups to facilitate electrophilic substitution. Reactions include nitration, halogenation (86SC543), protonation, and the Mannich reaction (84M12).

C. FREE-RADICAL SUBSTITUTION

Free-radical substitution of pyridazine has been performed via attack with acyl (83AP508; 85T1199), α -*N*-amido-2-(1,3,5-trioxanyl) (as a precursor to the formyl group), and methyl radicals (84H1395).

Free radicals have been generated by decarboxylation of carboxylic acids in the presence of silver ion. The resulting radicals reacted with pyridazines **205** to yield **206**, which are intermediates in herbicide and fungicide synthesis (86USP4628088).

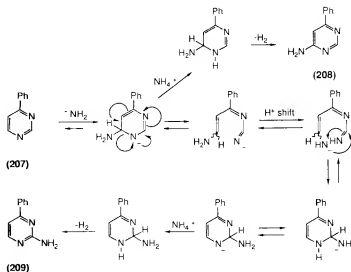


IV. Substitution of Pyrimidines

A. NUCLEOPHILIC SUBSTITUTION

As reported in a review of the literature prior to 1983 (84MI3), nucleophilic substitution on pyrimidine is rare and is limited to aminations at the 2- and 4-positions (83JOC1207, 83RTC367) (Scheme 3).

Nucleophilic substitutions of 2-, 4-, or 2,4-halogenopyrimidines are more common. These reactions include aminolysis (83CPB2540, 83JHC219, 83MI7, 83MI8; 84JCS(P1)1475, 84LA722, 84MI18; 85AJC825, 85JHC149, 85KGS925, 85MI9; 86JHC1079, 86JMC1394), alcoholysis (83CPB2540, 83JHC219, 83KGS1257; 85KGS925, 85LA312, 85MI8; 86JHC1079), substitution by azides (83CPB2540, 83KGS1222), fluoridation (83JAP(K)58-219163; 85EGP221736, 85JHC149, 85SZP647512), hydrolysis (83CPB2540; 85AJC825; 86JHC1079), thiolysis (83AJC1477; 84AKZ753, 84H79; 85AJC825; 86JHC1079), reaction with active methylene groups (83JOC1180; 85JCS(P1)187, 85UKZ313), and hydrogenolysis (83CPB2540). There is a rich patent literature covering the reactions of chloropyrimidines with amines. These include ipso substitution of chlorine by ammonia (84EUP126711; 85MIP3; 86GEP3504895), acyclic aliphatic amines (83EUP80435, 83JAP(K)58-52276; 84EUP103464, 84GEP3305524, 84JAP(K)59-36667, 84JAP(K)59-62593; 85EUP139477, 85GEP3417264; 86GEP3436380, 86GEP3445293, 86USP4617393), cyclic amines (83JAP(K)58-198472, 83USP4409223; 84EUP109340, 84FRP2539414; 86MIP2), and substituted

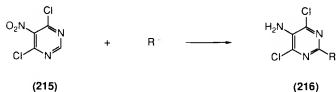


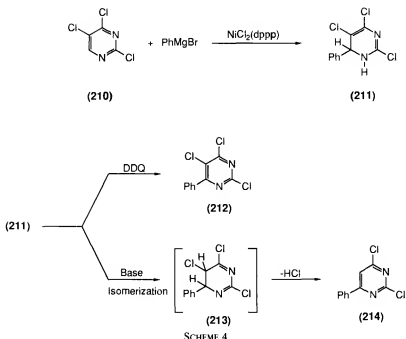
SCHEME 3

anilines (85JAP(K)60-36466). Aminations of pyrimidines containing other leaving groups such as hydroxy (85S104), methoxy (85SC27), mercapto (83MI9; 85EUP130735), thioamide (84AKZ753), sulfone (87GEP3525977), and sulfonate groups (83EUP73328) have been reported.

The nickel-catalyzed coupling reaction between phenyl Grignard reagent and 2,4,5-trichloropyrimidine (**210**) is an interesting example of hydrogen substitution by a nucleophile. The intermediate dihydropyrimidine **211** is either oxidized to 6-phenyl-2,4,5-trichloropyrimidine (**212**) with DDQ, or undergoes dehydrohalogenation from the unobserved but presumed 5,6-dihydropyrimidine intermediate **213** to form 2,4-dichloro-6-phenylpyrimidine (**214**) (83ACS(B)109, 83ACS(B)613) (Scheme 4).

Likewise, addition of the carbanions of β -dicarbonyl compounds to 4,6-dichloro-5-nitropyrimidine (**215**) results in formation of the 2-substituted product **216** (85JCS(P)187).





Standard preparation for 2-, 4-, or 6-chloropyrimidines involves reaction of the corresponding hydroxy compounds with POCl_3 (83EUP96657; 84EUP107914, 84EUP112280, 84MI19; 86JAP(K)61-12675). Hydrogenolysis of chloro- and mercaptopyrimidines has been reported in the patent literature (83EUP71741, 83GEP3241134; 85GEP3402194).

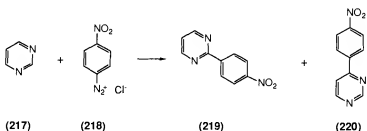
B. ELECTROPHILIC SUBSTITUTION

Pyrimidine contains an electron-poor ring system, similar to 1,3-dinitrobenzene or 3-nitropyridine. Electrophilic attacks occur at the 5-position, the least electron poor, and are aided by the presence of electron-releasing groups on the ring. Substitutions are similar to those of aromatic ring chemistry: nitration (84EUP112280), nitrosation (83JAP(K)58-18367, 83KGS102), diazo coupling (83AJC1659; 85CB4578), halogenation (83JAP(K)58-222070; 84ACS(B)341, 84JHC385, 84S252; 85JMC1864; 86USP4617393), Elbs persulfate oxidation (83AJC1285), sulfonation, and formylation (Reimer-Tiemann and Vilsmeier) (83H1805). Additionally, pyrimidines undergo the Mannich reaction (83JHC145, 83KGS1252,

83KGS1257), hydroxymethylation, and sulfidation (from $\text{Cl}-\text{S}-\text{S}-\text{Cl}$ or SCl_2). Metallopyrimidines react with carbonyl compounds to give the corresponding alcohols (83USP4417050; 84MI3; 85GEP3325761).

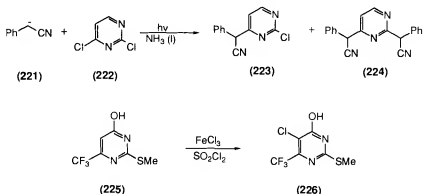
C. FREE-RADICAL SUBSTITUTION

Coupling reactions between pyrimidine (217) and 4-nitrophenyl diazonium chloride (218) yielded 2-(4'-nitrophenyl)pyrimidine (219) and 4-(4'-nitrophenyl)pyrimidine (220) (84MI3).

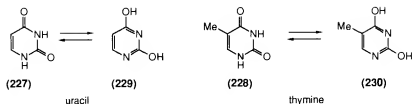


Wolfe and co-workers have found that the photo-induced reaction of the enolate of phenylacetonitrile (221) with 2,4-dichloropyrimidine (222) gives substitution products 223 and 224 via the $\text{S}_{\text{RN}}1$ mechanism (83JOC1180).

Chlorination of 2-methylthio-6-trifluoromethylpyrimidin-4-ol (225) with ferric chloride and suluryl chloride has been reported to yield 5-chloro-2-methylthio-6-trifluoromethylpyrimidin-4-ol (226) (83JHC219).



The vast majority of pyrimidine free-radical literature is confined to the biological systems involving derivatives of uracil (227) and thymine (228). These systems exist in the tautomeric carbonyl form shown, and not as the



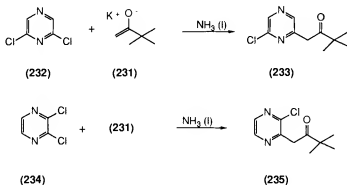
alternate aromatic 2,4-dihydroxypyrimidines **229** and **230**. The free-radical chemistry of uracil and thymine has been reviewed (86MI4; 87MI1) and will not be covered here.

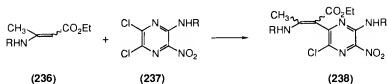
V. Substitution of Pyrazines

A. NUCLEOPHILIC SUBSTITUTION

The only reported substitution of unsubstituted pyrazines with nucleophilic reagents involves amination via the Chichibabin reaction (84MI4).

Most of the nucleophilic substitution reactions of pyrazines are carried out with halogenated compounds (83AJC1357; 84H299). Nucleophiles include cyanide, azide, ammonia, amines (83ABC1561, 83EUP88593, 83JHC1089, 83MIP2; 84BCJ3015, 84SAP83-07270; 84USP4442095), alkoxides (83JHC311, 83JHC1089, 83MI17; 85USP4507299), and thiolates (84SAP83-07270, 84USP4442095; 85H913, 85USP4492700, 85USP4507299; 86AJC69). Other leaving groups on the pyrazine ring have included sulfide, sulfoxide, sulfone, and cyanide (84MI4). The nitro group has also been utilized (83JHC947). The displacement of halogens by carbon nucleophiles has been reported. Carbanion **231** and dichloropyrazines **232** and **234** gave products **233** and **235**, respectively (83JOC1180). Enamines **236** and chloropyrazines **237** gave products **238** in good yield (83JOC4119; 84USP4435400).





Also reported is the reaction of a series of active methylene compounds with tetrachloropyrazine (83JHC365) and the arylation of chloropyrazines with acetanilides (83EUP96517).

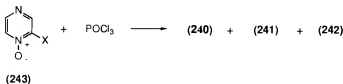
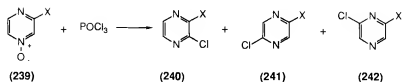
Pyrazine N-oxides are commonly O-acylated and undergo addition followed by elimination (85JHC1291; 86JHC149). Some examples of chlorination by the reaction of pyrazine N-oxides with phosphoryl chloride are given in Tables I and II (84JCR(S)318) (Scheme 5).

TABLE I
REACTION OF 3-SUBSTITUTED PYRAZINE 1-OXIDES
(239) WITH PHOSPHORYL CHLORIDE

Compound	Chlorination yield (%)	Chlorination ratio of product		
		240	241	242
239a	51	99	1	0
239b	79	44	4	52
239c	76	55	2	43
239d	96	43	8	49
239e	88	22	22	56
239f	91	5	5	90
239g	52	24	36	40

TABLE II
REACTION OF 2-SUBSTITUTED PYRAZINE 1-OXIDES
(243) WITH PHOSPHORYL CHLORIDE

Compound	Chlorination yield (%)	Chlorination ratio of product		
		240	241	242
243b	61	12	0	88
243c	76	10	0	90
243d	72	11	2	87
243f	89	1	0	99
243g	2	0	0	100

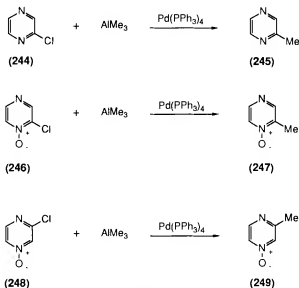


a, X = NH₂ ; b, X = OMe ; c, X = Cl ; d, X = Ph ;
 e, X = CONH₂ ; f, X = CO₂Me ; g, X = CN

SCHEME 5

Halogenated pyrazine N-oxides are more reactive than the parent halopyrazines toward oxygen (85JHC1291), nitrogen, and sulfur nucleophiles (84H1105).

Coupling reactions of 2-chloropyrazine (244), 2-chloropyrazine 1-oxide (246), and 2-chloropyrazine 4-oxide (248) with trimethylaluminum in the



SCHEME 6

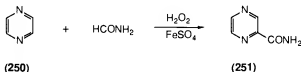
presence of a palladium catalyst to yield 2-methylpyrazine (**245**) and 2-methylpyrazine N-oxides **247** and **249** have been reported (84H2317; 85H133) (Scheme 6). Coupling reactions of chloropyrazines with indole, which are also catalyzed by palladium, have also been carried out (85H2327).

B. ELECTROPHILIC SUBSTITUTION

Only a few examples of electrophilic substitution of pyrazine exist. They include halogenation (83JAP(K)58-85872; 84MI4) and decarboxylative nitration (83USP4414215, 83USP4416882; 84JMC1634) of pyrazines that contain electron-releasing groups.

C. FREE-RADICAL SUBSTITUTION

Homolytic aromatic substitution of pyrazines is a rare reaction. Early workers in the field have updated their work on radical addition of oxidized formamide to pyrazine (**250**). The new procedure affords pyrazine-2-carboxamide (**251**) in 96% yield (85T4157).



Another group has pursued the free-radical acylation work pioneered by Minisci (83EUP76085; 84EUP119718; 86JHC497). Tada and Momose have prepared alkylpyrazines from the reaction of free radicals, derived from decarboxylation of carboxylic acids, with pyrazines containing electron-withdrawing groups (85JHC1357).

VI. Substitution of 1,2,3-Triazines

A. NUCLEOPHILIC SUBSTITUTION

Nucleophilic substitution reactions are limited to exchange of substituents. Bromo- and chloro-1,2,3-triazines are substituted by oxygen, sulfur, nitrogen, and carbon nucleophiles (84M15). These reactions occur preferentially at the 4-position. There are no recent examples.

B. ELECTROPHILIC SUBSTITUTION

There are no references to any electrophilic substitution reactions on the 1,2,3-triazine ring system.

C. FREE-RADICAL SUBSTITUTION

There are no accounts of free-radical substitution reactions of 1,2,3-triazines.

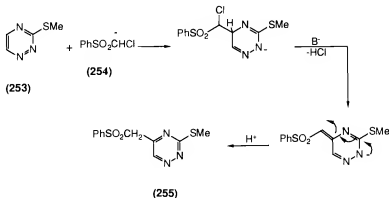
VII. Substitution of 1,2,4-Triazines

A. NUCLEOPHILIC SUBSTITUTION

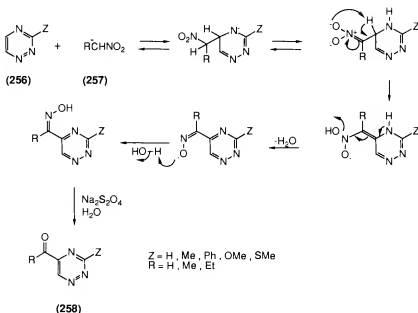
Nucleophilic substitution of 1,2,4-triazine (**252**) occurs preferentially at the 5-position. Subsequent substitution occurs at the 3-position (84MI5).



Makosza (83TL3277) utilized the carbanion of chloromethyl phenyl sulfone (**254**) as the nucleophile to prepare substituted triazine **255**. The proposed mechanism is given in Scheme 7 and accounts for the necessary presence of the chloride leaving group.



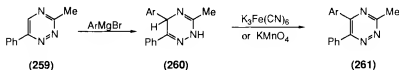
SCHEME 7



SCHEME 8

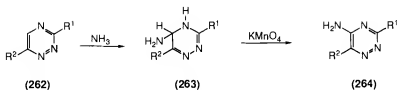
Another nucleophile used by Makosza (84TL4795) was the nitronate anion **257**, which obviates the leaving group on the nucleophilic carbon. Reaction of **257** with triazines **256** provides the 5-acyl-1,2,4-triazines **258**. A proposed mechanism for this reaction is given in Scheme 8.

Yamanaka has used the reaction of Grignard reagents with 3,6-disubstituted 1,2,4-triazines **259** to prepare 5-aryl-1,2,4-triazines **261** via oxidation of the intermediate 2,5-dihydrotriazines **260** (85H2807).



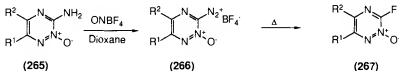
Another example of hydrogen replacement in 1,2,4-triazines involves a modified Chichibabin reaction. Triazine **262** was reacted with liquid ammonia in the presence of potassium permanganate to yield the 5-amino-1,2,4-triazine **264** (85S884). The addition product **263** is the proposed intermediate.

Substituent exchange is well established in the 1,2,4-triazine series. Among the groups exchanged for a ring halogen are hydrogen, hydroxy, alkoxy, amino (83MI10–83MI12; 85JHC1329), hydrazino, hydroxyamino, thiolate,



fluoride, and carbon (84H2241, 84H2245; 86H1243). As with the unsubstituted 1,2,4-triazines, halogenated 1,2,4-triazines that are blocked at the 5-position are attacked by neutral nucleophiles at the 3-position; anionic nucleophiles attack at the 6-position. Alkoxy-1,2,4-triazines undergo ipso substitution with nitrogen nucleophiles (84MI20). 1,2,4-Triazine thio ethers are substituted in a similar manner (83EUP88593; 84JPR994, 84LA283, 84MI21).

3-Fluoro-1,2,4-triazine 2-oxides **267** were prepared by reaction of the corresponding 3-aminotriazine **265** with nitrosonium tetrafluoroborate (85H1969). The intermediate diazonium compound **266** gave **267** on heating.



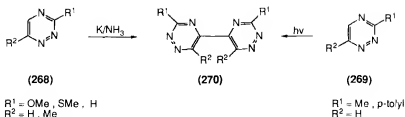
B. ELECTROPHILIC SUBSTITUTION

The literature on electrophilic substitution chemistry of 1,2,4-triazine is limited. The parent compound can be made by decarboxylation of 3-, 5- or 6-(1,2,4-triazino)carboxylic acid. Bromination and nitration have been shown to take place at the 6-position when there is an electron-releasing group (OMe, NH₂) at the 3-position, or when the reactions are carried out with 1,2,4-triazine 1-oxide (84MI5).

C. FREE-RADICAL SUBSTITUTION

Free-radical substitution reactions of 1,2,4-triazines have been limited to coupling reactions at the 5-position. These are induced by either potassium in liquid ammonia or by means of a photochemical process shown in Scheme 9 (84MI5).

There are no more recent examples of this chemistry.

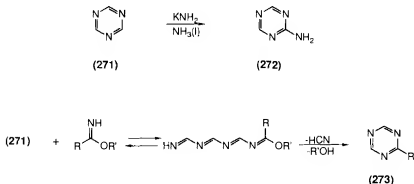


SCHEME 9

VIII. Substitution of 1,3,5-Triazines

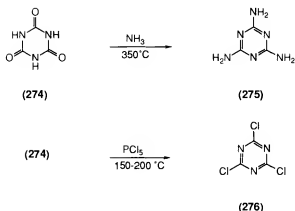
A. NUCLEOPHILIC SUBSTITUTION

Reaction of nucleophiles with 1,3,5-triazines usually results in ring cleavage. Substitution reactions of the parent system (**271**) have been limited to the Chichibabin amination, which provides **272**, and the preparation of alkyltriazines **273** via an S_N (ANRORC) alkylation (84MI16).

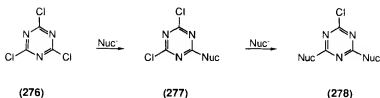


Cyanuric acid (**274**) undergoes nucleophilic substitution only under vigorous conditions (Scheme 10).

The majority of substitution reactions of 1,3,5-triazines are carried out on cyanuric chloride (**276**) and derivatives from which the chlorine(s) is (are) displaced by carbon, hydrogen, fluorine (83GEP3131735), nitrogen (83AP577, 83CZP206962, 83EGP204612, 83EGP204652, 83EUP73328, 83EUP73974, 83EUP94260, 83GEP3206398, 83GEP3218201, 83KGS844, 83MI12-83MI16, 83MIP5; 84AP754, 84AP1048, 84EUP122855, 84GEP3306197, 84JAP(K)59-33280, 84KGS1678, 84MI13, 84MI22-84MI26, 84MIP1-84MIP3; 85JCS(P1)1533, 85JHC1441, 85JIC168, 85MI9, 85ZOR419;

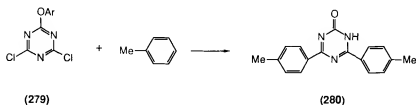


SCHEME 10

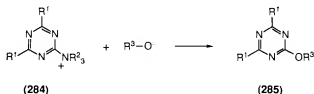
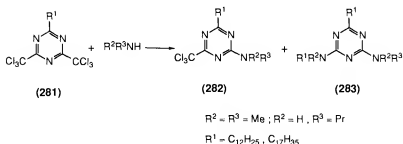


86AF626, 86CZP226627, 86GEP3504073, 86MI5, 86MI6, 86MIP1), oxygen (83AP213, 83EUP73328, 83EUP94260, 83JHC337, 83KGS844, 83MIP3, 83MIP4, 83ZOR2600; 84MI13, 84MIP3; 86GEP3437663, 86MI3, 86MI6), phosphorus (85USP4556710; 86MI7), and sulfur (82JAP57175174; 84AKZ40; 85JGU2384; 86GEP3433546, 86MI7) nucleophiles to give substituted triazines **277** and **278**.

The literature includes a Friedel–Crafts arylation of triazine **279** to yield **280** (83KGS1125).



A few examples have appeared showing displacement of allyloxy or aryloxy groups by nitrogen and oxygen (83IZV2369) nucleophiles. Hydrogenolysis of a thiomethyl group has also been reported (84MI6).



A trichloromethyl substituent has been used as a leaving group for amine nucleophiles. Triazine **281** was converted to aminotriazines **282** and **283** (84KGS1674; 85KGS1557). The trimethylammonium group of **284** has been substituted by alkoxides to give triazines **285** (85KGS1125).

B. ELECTROPHILIC SUBSTITUTION

1,3,5-Triazines are resistant to electrophilic substitution. Previously referenced work reports only allylation of the ring nitrogen atoms (84M16).

C. FREE-RADICAL SUBSTITUTION

There are no reported examples of free-radical substitution reactions of 1,3,5-triazines.

IX. Substitution of 1,2,3,4-Tetrazines

The aromatic title compounds **286a** and **286b** are unknown. The chemistry of these compounds is restricted to their dihydro and tetrahydro derivatives and will not be covered in this article.



X. Substitution of 1,2,3,5-Tetrazines

Substitution reactions of compounds **287** are unknown.

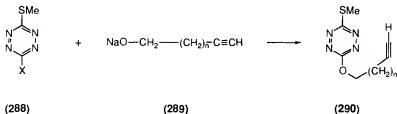


XI. Substitution of 1,2,4,5-Tetrazines

A. NUCLEOPHILIC SUBSTITUTION

Unsubstituted 1,2,4,5-tetrazine is attacked by ammonia or hydrazine to give 6-aminodihydro adducts, which can be oxidized with potassium permanganate to yield the 6-amino-1,2,4,5-tetrazine (84MI5).

Hetero substituents exchange readily, though it has been shown that substitution of bromine by amide ion occurs through the S_N (ANRORC) mechanism. Replacement of an amino group with ammonia or hydrazine occurs by both A_E and S_N (ANRORC) mechanisms (84MI5). The only example of this type of nucleophilic substitution in the current literature is the displacement of halogens in tetrazine **288** by alkoxide **289** to yield **290** (85TL4355).



B. ELECTROPHILIC SUBSTITUTION

There are no references to electrophilic substitution reactions of 1,2,4,5-tetrazines.

C. FREE-RADICAL SUBSTITUTION

Free-radical substitution reactions of 1,2,4,5-tetrazines are unknown.

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The Literature of Heterocyclic Chemistry, Part III

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I. Introduction

This survey can be regarded as a sequel to those by Katritzky *et al.* (66AHC(7)225; 79AHC(25)303). It includes monographs and reviews published during the period 1979–1986 and is not restricted to reviews in English.

Sources in Russian, German, Japanese, French, Czech, Polish, and other languages are surveyed and classified.

The survey is based mainly on short bibliographic articles published by the author and co-workers in the Soviet journal *Khimiya Geterotsiklicheskikh Soedinenii* (Chemistry of Heterocyclic Compounds) since 1979 (79KGS1282; 81KGS273, 81KGS708, 81KGS850, 81KGS1574; 82KGS130, 82KGS271, 82KGS555, 82KGS1131, 82KGS1282, 82KGS1565; 83KGS1568; 84KGS711, 84KGS1142, 84KGS1696; 85KGS280, 85KGS1142, 85KGS1699; 86KGS1141, 86KGS1430, 86KGS1574, 86KGS1700). Several important reviews and monographs published before 1979 in languages other than English are also included.

Although all references are given to original publications, the principal Soviet journals publishing reviews, particularly *Khim. Geterotsikl. Soedin.*, *Usp. Khim.* (Russ. Chem. Rev.), and *Izv. Akad. Nauk SSSR, Ser. Khim.* (Bull. Acad. Sci. USSR, Div. Chem. Sci.), as well as the German *Angew. Chem. Int. Ed.* are available in English translations. Other journals have informative English abstracts and their schemes and lists of references are quite understandable and very useful. Carbohydrates are mentioned either as molecules having another heterocyclic fragment (i.e., nucleosides) or as starting compounds for the synthesis of other heterocycles.

II. General Sources and Problems

A. GENERAL BOOKS AND REVIEWS

1. General Monographs

85MI12, 85MI14; 84MI32; 79MI14.

2. Textbooks

82MI27; 81MI19; 80MI19; 78MI4; 75MI3.

3. Annual Reports

a. *Comprehensive Reports.* 86AR(82)181; 85AR(81)183; 84AR(80)245; 83AR(79)209; 82AR(78)233; 81AR(77)179; 80AR(76)211.

b. *Specialized Reports.* 85MI18; 82MI29; 81MI20; 80MI48.

c. *Synthesis of Saturated Heterocycles*. 84GSM349; 82GSM288; 81GSM279; 80GSM265; 78GSM197.

4. *Other Reviews*

a. *Collections of Reviews from Khim. Geterotsikl. Soedin.* 85MI5; 79MI11; 76MI2.

b. *Concept of π -Deficient Heteroaromatic Compounds*. 79KGS1155.

c. *Concept of π -Excessive Heteroaromatic Compounds*. 77KGS723.

d. *Heteroaromaticity*. 85KGS867.

e. *Heterocyclic Chemistry, General Problems, Classification, Reactivity*. 85KGS1443, 85KGS1587; 81MI17.

f. *Topics in Heterocyclic Chemistry*. 81MI11.

5. *History of Heterocyclic Chemistry, Name Reactions, Biographies*

a. *History*. Initial period: 85KGS1270.

Investigations in Rostov University (U.S.S.R.): 82KGS1589.

Investigations of G. Ja. Vanags successors: 86MI25.

Miscellaneous topics: 82YGK991.

b. *Name Reactions*. 81KGS703; 79KGS1567; 76MI3.

c. *Biographical*. Handbook of scientific biographies: 84MI28.

Personal activities of Ya. L. Gol'dfarb: 86KGS723; S. A. Hillers: 85MI19;

A. N. Kost: 80KGS1155; I. Ya. Postovskii: 81KGS1443.

B. GENERAL TOPICS AND REACTION TYPES

1. *Structure and Stereochemistry*

a. *Theoretical Calculations*. 86CRV1111.

b. *Molecular Dimensions*. 83MI28; 82UK153.

c. *Molecular Spectra*. Magnetic circular dichroism: 84T3845.

Mass spectrometry: 81MI3; 79UK854, 79UK1180; 78AG449, 78KGS1443.

Lanthanide shift reagents: 79KGS1299.

NMR of heteroaromatic compounds: 82MI22.

¹³C NMR of heterocycles: 84MI20; 80ANM(10)1, 80MI11; 79MI15.

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Chalcogen anthracenes: 83KGS435.

Hetarynes: 82T427.

Five-membered hetarynes: 82MI19.

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Heterocyclic ammonium and sulfonium cations in organic metals: 79ACR79.

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Heterocyclic ylides: 81UK813, 81UK909.

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1H-Isobenzofurylium (phthalylum) salts: 86KGS1299.

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Mesoionic heterocycles: 83KGS3; 82T2965.

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Valence isomers of heteroaromatic compounds: 82AHC(31)169; 81ACR76.

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Conjugated enamines: 84T2989.

Cyclodextrine derivatives containing heterocyclic moieties: 83T1417.

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Fluorinated heterocyclic β -keto esters: 85UK1997.

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cis-Hydroxylation of unsaturated heterocycles with OsO₄: 80CRV187.

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7-Heterabicyclo[2.2.1]heptenes, extrusion of heteroatoms and synthesis of arenes from: 83H(20)1815.

Heterocyclic activated derivatives of carboxylic acids, applications in peptide and polymer synthesis: 81YGK312.

Heterocyclic protecting groups for carboxylic acids: 80T2409.

Heterocyclic protecting groups in syntheses of compounds with quaternary carbon atoms: 80T419.

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3. *Synthesis*

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Capto-dative effects in synthesis of heterocycles: 79AG982.

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Carbenes and nitrenes, intramolecular reactions in heterocyclic chemistry: 81AHC(28)232.

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Synthesis and reactions of heterocycles on alumina surface: 78AG527.

Synthetic methods in heterocyclic chemistry: 82MI26; 76MI3.

Thermal electrocyclic reactions with heterocycle formation: 80MI18.

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b. *Ring Synthesis from Nonheterocyclic Compounds.* Acetylene in synthesis of heterocycles: 86ZC41; 83SR(3)83.

1-Acyl-1-thiocarbocations as intermediates: 82YKG658.

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Ambident sulfur-containing anions as intermediates: 85PS(23)223.

Amidines and ylidemalononitriles in syntheses of heterocycles: 81KGS1587.

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[4 + 2]-Cycloaddition of heterodienes: 84YKG125.

Cycloaddition reactions of acylisocyanates: 82S433.

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- 1,3-Cyclohexanediones in heterocyclic synthesis: 74KGS1011.
 α -Diazoimines in synthesis of heterocycles: 81BSB615.
 α -Diazoketone decomposition, heterocycle formation by: 81T2407.
Dichloromaleimide, synthesis of heterocycles from: 81ZC19.
1,3-Dipolar cycloaddition: 80H(14)1529.
1,3-Dipolar cycloaddition in synthesis of azoles with organoelement substituents: 86UK1495.
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Heterocyclic α -keto acids, synthesis of: 83CRV321.
Heterocyclic N-methylamino acids: 85MI20.
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Lossen rearrangement in synthesis of condensed heterocycles: 82WCH735.
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- Natural heterocyclic β -acyl acrylates, synthesis of: 86YGK819.
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Nitroalkenes in heterocyclic synthesis: 86CRV751, 86H(24)2645; 78WCH723.
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 α -Oxoketene dithioacetals as three-carbon synthons: 86T3029.
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Photocyclization of haloarenes into heterocycles: 81CSR181.
Photocyclization of stilbene analogues with formation of condensed heterocycles: 84OR(30)1.
Propargyl derivatives in synthesis of heterocycles: 84UK853.
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o-Quinone diazides, formation of condensed heterocycles from: 80MI49.
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Regio- and stereoselectivity in radical reactions leading to heterocycle formation: 81T3071.
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Silyl ketene acetals in synthesis of heterocycles: 86YGK1118.
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Sterically hindered heterocycles, synthesis of: 78T1855.
Sulfamic acid derivatives in synthesis of heterocycles: 80CRV151.
Sulfamides in synthesis of heterocycles: 840PP49.
Tetracyanoethylene, syntheses of heterocycles from: 86S249.
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Thiocyanates in intramolecular cyclizations: 79ZC41.
Thionyl chloride as reagent in heterocyclization: 81S661.
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Tropone derivatives, cycloaddition reactions with sulfenes or ketenes leading to heterocycles: 82H(18)343.
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c. Syntheses by Transformation of Heterocycles. Bihetaryls, synthesis of: 80T3327.

Borane complexes of 2-aminoheterocycles: 86YGK896.

Heterocyclic carbonyl compounds, synthesis of: 81WCH231; 79S633.

Heterocyclic β -enamino esters as synthons for preparation of heterocycles: 85AHC(38)299.

Heterocycles, chiral syntheses from carbohydrates: 84MI29, 84T3161; 81YGK275.

Heterocycles, electrosynthesis of their derivatives: 84MI26.

Homophthalic anhydrides in synthesis of heterocycles: 84KGS1587.

Metallation as key step in heterocyclic synthesis: 83S957.

α -Methylamino acids—heterocyclic derivatives, synthesis of: 85MI21.

Polysubstituted heterocycles in syntheses of bi- and polycyclic systems: 82MI8.

Radical ions in synthesis of heterocycles: 86MI8.

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Thiocarboxylic acids of heterocycles: 84CRV17.

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4. *Properties and Applications (Except Drugs and Pesticides)*

a. *Dyes and Intermediates.* Acridine dyes: 86AG115.

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Fluorinated dyes: 83UK1732.

Intermediates: 80MI17.

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Chromotropism: 86YGK431.

General sources: 84MI25, 84ZVK646; 82APO(18)187; 74KGS1443.

c. *Liquid Crystals.* 81ZC9; 80KGS3.

d. *Solvents and Extractants.* Extractants for platinum metals: 82MI34.

N-Oxides of saturated nitrogen heterocycles as solvents for cellulose: 81BSF(2)319.

e. *Polymers.* Biopolymers, chemospecific chromatography of: 80UK879.

Ionic polymerization of heterocycles: 85MI16; 83MI1.

NMR of polyheteroarylenes: 82MI5.
Oligomers and polymers with reactive acetylenic groups: 84UK518.
Polyheteroarylenes: 84MI2; 83UK812; 81UK2250.
Polycondensation methods for thermostable polymer synthesis: 86MI12.
Polyimides from heterocyclic monomers: 80UK2418.
Polymeric organic metals: 81AG352.
Polymerization of cyclic derivatives of carboxylic acids: 81YGK723.
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C. SPECIALIZED HETEROCYCLES

1. Nitrogen Heterocycles (Except Alkaloids)

a. *General Sources and Topics.* Monograph: 85MI2. (For N,O- and N,S-heterocycles, see Sections II,C,2 and II,C,3, respectively.)

Gas chromatography of N-heterocycles: 82MI23, 82MI33.

Liquid chromatography of N-heterocycles: 84MI22.

b. *Structure and Stereochemistry.* Back donation in heterocyclic N-oxides and their NMR and IR spectra: 82H(19)93.

Conformational analysis of N-heterocycles, general aspects: 83IZV2432.

Conformational equilibria of six-membered saturated N-heterocycles: 84AHC(36)1.

Conformations of 1,3-dinitrogen heterocycles: 83MI9.

Conformations of heterocyclic derivatives of hydroxylamine: 81T849.

Electron spectroscopy for chemical evaluation (ESCA) investigation of structure and bond nature in N-heterocycles: 82ZC86.

¹⁴N and ¹⁵N NMR of N-heterocycles, effects of solvents, protonation, and complex formation on: 85PIA(C)241.

¹⁵N NMR of N-heterocycles: 84BSB559; 81ZC47; 79MI3; 77ANM(7)117.

PE spectra of saturated N-heterocycles: 86ZSK146.

Vibrational spectra of N-heterocyclic free radicals: 84UK1959.

c. *Reactivity.* Amination of N-heterocycles (Chichibabin reaction): 78UK1933.

Azaaromatic compounds, σ -adducts: 78WCH283.

Azaazulenes, reactivity and synthesis of: 81H(15)547, 81YGK690.

Azadienes, heterocyclic, Diels-Alder reactions of: 86CRV781.

Bicyclic N-heterocycles with medium-sized rings, bridgehead transformations of: 83ACR321.

- Binuclear N-heterocycles, Rh complexes of: 84PIA(C)753.
Bridgehead N-heterocycles, ring-opening polymerization of: 83CRV549.
Cationic ring-opening polymerization of lactams: 85MI16.
Cyclic ammonium compounds, host-guest interactions of: 85AG721.
Cyclic imines, asymmetric reduction of: 83Y GK451.
Cycloimmonium ylides, reactivity of: 84H(22)2079.
Electrolysis of N-heterocycles: 84AHC(36)235.
Electroreduction mechanisms and polarography of bicyclic N-heterocycles: 85PHA81.
Enamines, heterocyclic, as intermediates in alkylation of ketones and aldehydes: 83S517.
 δ -Enamino lactams, reactivity and synthesis of: 83KGS867.
 π -Equivalent N-heterocycles, reactivity of: 78KGS147.
Fluorination of N-heterocycles: 86CRV997.
N-Heterocycles as electrophiles: 80AG147.
Hexamethylenetetramine as reagent: 79S161.
Hydrogen bonds and reactivity of N-heterocycles in proton-transfer and nucleophilic substitution reactions: 79UK1600.
Hydrogenation of N-heterocycles, metallocomplex catalysts in: 85UK289.
Ionic hydrogenation of N-heterocycles: 79KFZ(8)75.
Metallation of saturated N-heterocycles: 84CRV471.
Mutual transformations of N-heterocycles: 74KGS723.
N-Oxides of heteroaromatics, reactions with ketenes: 79H(12)819.
Photochemical electron transfer in reactions of N-heterocycles: 82YZ716.
Photochemical transformations of heterocyclic enamides: 78S489.
Photochemistry of N-heterocycles: 82AHC(30)239.
Photochemistry of heterocyclic iminium salts: 83ACR130, 83T3845.
Photochemistry of heterocyclic N-oxides: 84CRV43.
Photooxidation of N-heterocycles: 79CRV447.
Photoreactions of cyclic imides: 78ACR407.
Quantitative estimation of substituent effects in five-membered N-heteroaromatics: 86UK769.
Radical intermediates in electron-transfer reactions with participation of N-heteroaromatics: 85ACR22.
Radicals, heterocyclic, N-centered: 78Y GK342.
Radicals, iminoxyl heterocyclic: 78Y GK362, 78Y GK377.
Radical, succinyl aminyl, transformation into pyrrolidines: 78Y GK352.
Reactions of N-heterocycles in multiphase systems using fluoride anion: 81Y GK14.
Reactions of N-heterocycles with isoelectronic Hg(II), Tl(III), and Pb(IV) acetates: 84CRV249.
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- d. *Synthesis*. Acyl cyanides in synthesis of N-heterocycles: 82AG1.
N-Acyliminium intermediates, intramolecular reactions of: 85T4367.
Allyl and propargyl imino esters as precursors of N-heterocycles: 80ACR218.
Aluminum organic reagents in synthesis and transformations of N-heterocycles: 85AG670.
Amidines in synthesis of N-heterocycles: 83H(20)1591, 83UK669; 80KGS1200.
N-Amino heterocycles, synthesis of bridgehead N-heterocycles: 86BSB973.
Annulation of N-heterocycles via Reissert compounds: 85H(23)731.
Arenediazonium compounds, cyclization of: 82YGK752.
1-Azabicyclo[3.1.0]hexanes and their analogues with two or more heteroatoms: 80AHC(27)1.
Azadienes, [4 + 2]-cycloaddition reactions of: 83T2869; 72KGS579.
Azaphenolenes, synthesis of: 83H(20)87.
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- Lactams, regioselective formation from bridged bicyclic ketones: 81T1283.
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1,3-Oxathiacyclanes, structure, reactivity, synthesis: 83UK619.

1,3-Oxazacyclanes, structure, reactivity, synthesis: 82KGS435.

Lactones, IR spectra of: 84H(22)2601.

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Hydrazidoyl halides in synthesis of N,O-heterocycles: 80JHC833.

Intramolecular [4 + 2]-cycloaddition with formation of O-heterocycles: 86UK2008; 84CJC183.

α -Isocyano acetates in synthesis of N,O-heterocycles: 85YGK764.

Labeled O-heterocycles, synthesis of: 80M139.

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Natural lactones with carbon skeleton of picrotoxane, synthesis of: 85YZ305.

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S-Heterocycles in organic synthesis: 80H(14)1615.

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b. *Structure and Stereochemistry.* Conformations of N,S-heterocycles: 83MI9.

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Mass spectra of S-heterocycles: 80MI38.

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α -Lithiated cyclic thioketals, reactivity of: 80T2531.

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Azoalkenes, conjugated, as intermediates in synthesis of S-heterocycles: 86OPP301.

Chlorinated N,S-heterocycles, synthesis via dehalogenation by sulfur action: 85S586.

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Cyclic S-ylides, synthesis, structure, reactivity: 85MI24.

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Hydrazidoyl halides, synthesis of N,S-heterocycles from: 83H(20)2239; 80JHC833.

Labeled S-heterocycles, synthesis of: 80MI39.

Natural S-heterocycles, biosynthesis of: 83T1215.

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Thio-Claisen rearrangement in synthesis of S-heterocycles: 80KGS435.

Thionyl chloride in synthesis and transformations of S-heterocycles: 81S661.

Thiocarbonyl ylides in synthesis of five-membered S-heterocycles: 84BSB511.

S-Transferring reagents in synthesis of heterocycles: 82AHC(30)47.

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1. *General Sources*

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Progress in chemistry of organic natural products: 79FOR(36)231, 79FOR(37), 79FOR(38); 80FOR(39); 81FOR(40); 82FOR(41), 82FOR(42);

83FOR(43), 83FOR(44); 84FOR(45), 84FOR(46); 85FOR(47), 85FOR(48); 86FOR(49).

Heterocycles, bioorganic chemistry of, lecture: 84MI8.

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a. General. Alkaloids from plants of the U.S.S.R., textbook: 81MI8.
Chemistry and pharmacology, periodical monographs: 84MI17; 83MI20.
Contributions of laboratories in India: 84PIA(C)491, 84PIA(C)661.
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Molecular mechanisms of alkaloid action: 78MI2.

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b. Structure. NMR Spectra of alkaloids; 78ANM(8)1.

¹³C NMR: 83H(20)863.

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Lactones as building blocks in alkaloid synthesis: 81H(16)449.

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Phosphorylated alkaloids as choline esterase inhibitors: 83UK1602.

Photo-induced hydrogen and electron transfer in alkaloid synthesis: 84PIA(C)565.

Polonovsky reaction in alkaloid synthesis: 80YGK10.

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Berbine alkaloids, chemistry of: 80H(14)59.

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Emetin, synthesis of: 85KFZ190.

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Lycopodium alkaloids, total synthesis of: 81H(15)611.

Macrocyclic alkaloids, synthesis of: 80YGK333, 80YGK1176.

Macrocyclic spermin and spermidin alkaloids, total synthesis of: 82H(17)581; 81YGK1151.

Morphine and related compounds as inducers of specific antibody synthesis: 78KFZ(9)3.

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Phenanthridine alkaloids from *Amaryllidaceae*, total synthesis of: 81YZ295.

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Pyrrolizidine alkaloids, syntheses of: 85YGK464; 84YGK497.

Pyrrolo[3,4-*b*]quinoline alkaloid camphothecin having antitumor activity, chemistry, biogenesis, medicinal chemistry of: 81T1047.

Quinolizidine alkaloids with thiaspirane fragments: 80ACR39.

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Lysergic acid and indolylalkylamines, correlation of hallucinogenic activity with structure: 83CRV633.

Lysergyl peptides, synthesis of: 84ZC201.

¹H and ¹³C NMR in structure investigations of indole alkaloids: 86KPS3.

¹H-NMR data for monoterpenoid indole alkaloids: 86H(24)3129.

Synthesis of indole alkaloids from maleic anhydride and D-mannite: 86Y GK191.

Synthesis of indole alkaloids from indole-2,3-quinodimethanes: 84ACR35.

Synthesis of indole alkaloids, introduction of ethylidene substituent: 83H(20)2471.

Synthesis of polycyclic indole alkaloids using compounds of metals: 84YZ701.

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Synthesis of antibiotics based on symmetrization–asymmetrization concept: 86Y GK38.

Syntheses using four-component condensation with isonitriles: 82AG826.

b. *Antitumor Antibiotics*. Antitumor antibiotics, mechanism of action: 86ACR230; 84UK1929; 82ACR381; 81Y GK1097.

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Trichothecenes, synthesis, structure, activity: 82ACR388.

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Penems, synthesis and antibacterial activity of: 83Y GK1168.

Penicillin and cephalosporin derivatives, chemistry of: 84ACR144; 80PS(9)1.

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Synthesis of carbapenems: 82H(17)463.

Synthesis of cephalosporins: 81MI6.

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d. *Macrocyclic Antibiotics*. Antibiotics—ionophores (depsipeptides and lactones): 84YGK900.

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Structure and ^{13}C -NMR spectra: 82H(17)555.

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f. *Miscellaneous Antibiotics*. Curomycin and related oligosaccharide antibiotics, correlation of structure with ^{13}C -NMR spectra: 81H(15)1621.

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Biotin, synthesis of: 85KFZ190.

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Tocopherol, structure and functions of: 86ACR194.

Tocopherol, synthesis of: 86KFZ329; 82YGK268.

Vitamin B₁₂, general review: 81YGK1039; 80CSR125.

Vitamin K and ubiquinones, synthesis of: 82YGK268.

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Fluorinated heterocycles, physiological activity of: 80ZVK552.

Fluorinated heterocycles, toxicology and pharmacology: 83M12.

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Synthesis of drugs: 83M123; 80M113; 77KGS1443.

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Anthelmintics: 86KFZ1171; 80M116.

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Antihypertensive agents: 84KFZ271.

Antiinflammatory agents: 86T4095; 80KFZ(9)22, 80M15.

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Antiparasitic agents: 82PHA395.

Antirheumatics: 80M115.

Antitumor agents: 85KGS18; 81AG311, 81BSF(2)150, 81H(15)1275; 79KFZ(3)10.

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Cyclosporin and analogues: 85AG88.

Cyclic amino acids, amides of: 83MI17.

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1,4-Dihydropyridines: 86KFZ1443.

Heterocyclic analogues of γ -aminobutyric acid: 79UK1273.

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Bipyridines, chemistry and biological activity: 75MI2.

Fluorinated N- and N,S-heterocycles as pesticides: 84YGK809.

N,S-Heterocycles with pesticide activity, synthesis of: 86YGK939.

b. *Types of Activity*. Acaricides: 84ZVK40.

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Fungicides: 84ZVK74.

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a. *General*. Acyclonucleosides, chemistry and antiviral activity of: 86JHC289.

Biometallochromophores, structure and biological functions of: 85YZ199.

Biosynthesis of natural S-heterocycles: 83T1215.

Heterocycles as flavoring agents: 81BSB553.

N-Heterocycles as super cytostatics and cytotoxins: 82KPS409.

Heterocycles from plants and microorganisms as immunomodulators: 85PHA10.

Natural and synthetic heterocycles in ion transport: 82H(17)431.

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Heterocycles as intermediates in enzyme-catalyzed reactions: 84CSR97.

Coenzymes, mechanism of action: 85APO(21)1.

Complexes of N-heterocycles as models of metalloenzyme active sites: 86ACR363.

Condensed N-heterocycles as dimensional probes of active sites in enzymes: 86T1917.

Dihydropyridines as NADH models: 86NJC511.

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Heterocycles as enzyme inhibitors: 86T4909; 84MI33; 81WCH87.

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c. *Amino Acids and Peptides.* Cyclic peptides, conformation and biological activity: 82AG509.

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d. *Prostanoids.* Dioxabicyclo[3.1.1]heptanes as thromboxane A analogues, synthesis and biological activity: 84YGK62.

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h. *Other Topics*. Dipyrroimidazole derivatives as biomycin functional analogues: 85YGK908.

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b. *Saturated Rings.* Aziridines, general review: 83HC(42,1)1.

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b. *Saturated Rings.* Allene oxides, chemistry of: 80MI45, 80T2269.

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c. *Reactivity of Oxiranes.* Copolymerization with amines: 82ZC166.

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3. *One Nitrogen and One Sulfur Atom*

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b. *Benzazetes*. Chemistry of benzazetes: 79SOC356.

c. *2-Azetidinones* (β -Lactams). 2-Azetidinones, reduction of: 84M115.

β -Lactam antibiotics, reaction mechanisms of: 84ACR144.

β -Lactams and β -lactam antibiotics, general problems: 86M11; 83M14; 80PS(9)1; 78T1731.

β -Lactams, synthesis, general reviews: 83HC(42,2)219; 80Y GK97.

β -Lactams, synthesis from cyano ketones: 81CSR289.

β -Lactams, synthesis from halo ketenes: 81T2949.

β -Lactams, synthesis by photochemical pericyclic reactions: 86YGK1058.

β -Lactam antibiotics, syntheses via hydroxamates: 86ACR49.

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a. *Oxetanes*. Oxetanes, formation by asymmetric $[2 + 2]$ -photocycloaddition: 83WCH167.

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b. *β -Lactones*. Diketene, addition to $C=C$ bond with retention of β -lactone ring: 81YGK733.

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β -Lactones in synthesis of carbon skeleton of natural compounds: 82YGK618.

β -Lactones, synthesis from cyano ketenes: 81CSR289.

β -Lactones, synthesis from halo ketenes: 81T2949.

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Thiazetes and thiazetidines, general review: 84AHC(35)199.

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A. GENERAL PROBLEMS

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π -Excessive heterocycles, alkylation with olefins: 80AKZ977.

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Valence isomers of five-membered heterocycles: 82YGK880.

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1. *General*

Bihetaryls, synthesis by oxidative coupling of hetarenes using complexes of transition metals as catalysts: 78UK1231.

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Electrophilic substitution of five-membered heteroaromatics, quantum chemical study of: 79SOC154.

Electron structure, physical properties, and reactivity of five-membered heteroaromatics, quantum chemical study: 77KGS3.

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a. *General*. Synthesis based on nitrene reactions: 78KGS291.

b. *Monocyclic Pyrroles*. Amidoalkylation of pyrroles: 84S85, 84S181.

Carboxyalkylaminomethylation of pyrroles: 82UK678.

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Pyrroles as dienes, cycloaddition of allyl cations in synthesis of seven-membered heterocycles: 84AG29.

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2*H*- and 3*H*-Pyrroles, general review: 82AHC(32)233.

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c. *Hydropyrroles*. Dehydropyrolines, synthesis and properties: 86MI30.

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d. *Pyrrole Pigments*. Bile pigments, isomerization and cyclization: 78H(9)677.

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e. *Porphyrins and Related Systems*. Corroles and octadehydrocorrins, structure and reactivity: 83UK1136.

Corroles and octadehydrocorrins, synthesis of: 80UK2132.

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Porphyrin dimers, synthesis and properties of: 86MI20.
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Porphyrins, biosynthesis of: 79AG453.
Porphyrins, complex formation with metal ions: 84PIA(C)767.
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Carboxyalkylaminomethylation: 82UK678.
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Electrooxidation of indoles: 81H(15)495.
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Thio-Claisen rearrangement of indole derivatives: 79PS(7)69.

Vinylindoles as dienes in Diels-Alder reaction: 84YKG860.

g. *Indoles: Synthesis.* 2-Aminoindoles, synthesis from arylhydrazides (Kost reaction): 85KGS1155.

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3-Indoleacetic acid, enzymatic oxidation of: 80ACR225.

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General reviews: 82AHC(29)341; 81UK2073.

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k. *Polycyclic Systems Including Two Heterocycles*. 2-Azabicyclo[2.2.1]heptanes, -heptenes, and -heptadienes, synthesis and reactivity: 82H(19)2155.

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Indolizines, stereochemistry of: 79UK481.

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Pyrido[2,3-*c*]indoles (β -carbolines), biochemistry of: 83MI10.

Pyrido[3,2-*c*]indoles, tetrahydro-, (tetrahydro- γ -carbolines), general review: 73KGS291.

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Pyrrolizines, general review: 84AHC(37)1.

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Pyrrolo[1,4]benzodiazepines, synthesis and action on central nervous system (CNS): 80YGK1105.

Pyrrolo[1,2-*a*]indoles, syntheses of: 85RTC199; 78H(9)293.

Pyrrolo[2,3-*d*]pyrimidines, synthesis and properties of: 85UK450.

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Thienopyrroles, synthesis of: 85S143.

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a. *Furans*. Advances in furan chemistry, monograph: 78M15.

Advances in furan chemistry, general review in two parts: 82AHC(30)167, 82AHC(31)237.

Amidoalkylation of furans: 84S85, 84S181.

Catalytic oxidation of furans: 77KGS881.

Catalytic reactions of furans, monograph: 85M17.

- Furans as intermediates in synthesis of arenes: 85H(22)875.
Furans as intermediates in synthesis of cyclopentenones: 82H(19)1735.
Furans as intermediates in organic synthesis: 86CRV795.
Furans as intermediates in synthesis of carbo- and heterocyclic systems: 85KGS1299.
Furans in synthesis of lignans and neolignans: 82CSR75.
Furans in synthesis of seven-membered carbocycles: 84AG29.
Furans in synthesis of C-nucleosides: 80YGK947.
Hydrogen bonding in furan derivatives: 79UK2216.
Intramolecular Diels–Alder reactions of furan derivatives: 86YGK109.
Oxidative coupling of furans under the action of transition metal catalysts: 78UK1231.
Selenides of furan series, synthesis and reactivity: 72KGS723.
Silyloxyfurans as dienes in Diels–Alder reaction: 84YGK860.
Synthesis of furans: 85ACR284; 80H(14)1703.
Synthesis and practical applications of furan carboxylic acids: 85MI8.
Synthetic pyrethroids—furan derivatives of chrysanthemic acid: 80YGK1151.

b. *Hydrofurans*. Dihydrofurans, catalytic synthesis of: 82KGS1299.
Perfluorinated tetrahydrofurans as blood-substituting agents: 78AG654.
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Tetrahydrofurans, catalytic synthesis of: 84MI31.
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c. *Benzannelated Furans*. Benzo[*b*]furans, photochemical addition reactions: 84MI38.

- Benzo[*c*]furans, general reviews: 80AHC(26)135; 78H(9)865.
Benzofurans, hydrogenation and dehydrogenation of: 76KGS435.
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1*H*-Isobenzofurylium (phthalylum) salts: 86KGS1299.
Naphthofurans, structure of: 84PIA(C)531.

d. *Terpenoids Including Furan Rings*. Diterpenoids from plant *Teucrium*: 81H(15)1489.

- Mono- and sesquiterpenic insect pheromones: 84UK1709.
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e. *Miscellaneous Bi- and Polycyclic Systems (Including Fragments of Five-Membered Heterocycles with One Oxygen Atom)*. 2H-Cyclohepta[b]furan-2-ones, reactions with enamines as a route to azulene derivatives: 81Y GK1172.

1,6-Dioxaspiro[4.4]nonanes, synthesis and properties: 86KGS1155.

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Furo[2,3-d]pyrimidines: 85UK450.

f. *Five-Membered Lactones*. γ -Butyrolactones and related compounds, synthesis of: 80H(14)661.

γ -Exomethylene- γ -butyrolactones: 81Y GK25.

α -Methylene- γ -butyrolactones, synthesis of: 86H(24)441; 81Y GK358.

α -Methylene- γ -butyrolactones, synthesis and biological activity of: 85AG96.

Natural 4-ylidenebutenolides and 4-ylidenetetrone acids: 78FOR(35)133.

Phthalones and their structure analogues: 75KGS435.

α,β -Unsaturated γ -lactones, synthesis using Diels-Alder reaction: 82Y GK102.

4. *One Sulfur Atom*

a. *Thiophenes*. i. *General sources and problems*. General monographs: 85HC(44,1)1; 76MI1; 74MI2.

History of benzothiophene chemistry: 82MI6.

The 100th anniversary of thiophene discovery: 83KGS848.

ii. *Monocyclic thiophenes and bithiophenes, structure and reactivity*. Amidoalkylation of thiophenes: 84S85, 84S181.

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Bithiophenes, reactivity: 74MI2.

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gem-Dithienylalkanes, general review: 82AHC(32)83.

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Radical reactions of thiophenes: 85HC(44,1)651.

Reactions on S atom of thiophenes: 85HC(44,1)629.

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Thiophene 1,1-dioxides, sesquioxides, and 1-oxides, general review: 85HC(44,1)571.

iii. *Synthesis*. 2-Aminothiophenes, synthesis and reactivity: 76KGS1299.

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Selenides of thiophene series, synthesis of: 72KGS723.

Thiophene 1,1-dioxides, formation from diallenyl sulfones: 85PS(23)297.

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b. *Annelated Thiophenes*. Benzo[b]thiophenes, advances in chemistry of: 82AHC(29)171; 79SOC250.

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Pharmacologically active thiophenes, general review: 85HC(44,1)353.

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Ylideneazolones, advances in chemistry of: 83H(20)1615.

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b. *Hydropyrazoles*. Diantipyrilmethanes as extractants: 83ZAK2051. Iminopyrazolidines and pyrazolidones, chemistry of: 81KGS3.

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- d. *Imidazoles*. 1-Acylimidazoles in organic synthesis: 83YGK38.
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- e. *Hydroimidazoles*. Histidine in active sites of enzymes: 78AG616.
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- f. *Benzannelated Imidazoles*. 2-Aminobenzimidazoles, synthesis, reactivity, application: 83S861.
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- g. *Purines and Related Systems*. Adenine and cytosine, ethylene derivatives of: 80KGS291.
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- Nucleosides, active sites for transition metal ions in: 85ACR32.
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- a. *1,2-Heterocycles.* Arylazaisoxazolones, chemistry of: 85JHC241.
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- b. *1,3-Heterocycles.* 2-Aminooxazoles, synthesis and reactivity of: 81KGS1011.
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1,3-Oxazacycloalkanes, advances in chemistry of: 81M11.

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Oxazoles, reactivity of: 76KGS579.

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2-Oxazolidones, synthesis and properties of: 83UK1018.

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Oxazolines, complex formation with organolithium compounds: 86ACR356.

2-Oxazolone derivatives, synthetic application as carboxy group activators: 83Y GK77.

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b. *1,3-Heterocycles*. 3-Acyl-1,3-thiazolidine-2-thiones, controlled aminolysis of in syntheses of macrocyclic alkaloids and peptides: 82H(17)537.

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Chlorinated thiazoles, synthesis of: 85S586.

Dihydrothiazolo[3,2-*a*]pyridinium hydroxide and related systems, synthesis and reactivity: 81H(15)1349.

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Thiazole chemistry, new perspectives: 85PS(24)1.

Thiazolidine derivatives in photo-sensitized oxygenation: 86Y GK974.

1,3-Thiazolidine-2-thione in synthesis of physiologically active compounds: 82YZ401.

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Benzo-1,3-dioxole and related systems, reactivity of benzene ring in: 81H(15)1395.

1,2-Dioxolanes in biosynthesis of prostaglandins: 83AG854.

1,3-Dioxolanes, formation by acetylation of carbohydrates using 2-alkoxypropenes: 81H(16)1587.

1,3-Dioxolanium salts, synthesis and properties: 75KGS869.

6. *One Oxygen and One Sulfur Atom*

γ -Sultines and γ -sultones, formation from allenic sulfones and sulfinates: 85PS(23)297.

1,3-Oxathiolium cations, synthetic application of: 83SR(3)1; 81YGK192.

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1,2-Dithiol-3-thione-S-imides, chemistry of: 84SR(4)33.

1,2-Dithiolium ions, advances in chemistry of: 80AHC(27)152.

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b. *1,3-Heterocycles.* 2-Alkoxy-1,3-benzodithiols and 1,3-benzodithiolium salts, reactivity and synthetic applications of: 85SR(4)159; 79YGK655.

1,3-Dithiolanes, mechanism of acid-catalyzed hydrolysis of: 86ACR370.

1,3-Dithiols, 1,3-dithiolium salts, synthesis and reactivity of: 82MI31.

1,3-Dithiolium ions, advances in chemistry of: 80AHC(27)152.

1,3-Dithiolium ions, synthetic applications of: 83SR(3)1; 81YGK192.

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1,2,4-Triazoles, cycloaddition reactions of: 79SOC309.

b. *Hydrotriazoles*. Arylazotriazolones, advances in chemistry of: 85JHC241.

4-Phenyl-1,2,4-triazoline-3,5-dione in organic synthesis: 83KGS147.

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Δ^2 -1,2,3-Triazolines as intermediates in synthesis: 78BSF485.

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c. *Annelated Triazoles*. Condensed 1,2,4-triazoles, synthesis of: 77KGS147.

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Furoxans, structure and synthesis, monograph: 81MI10.

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1,3,4-Oxadiazoles, cycloaddition reactions of: 79SOC309.

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1,2,5-Thiadiazole and annelated 1,2,5-thiadiazoles, biologically active compounds in the series: 82KFZ(11)31.

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1,3,4-Thiadiazoles, cycloaddition reactions of: 79SOC309.

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3,5-Diaryl-1,2,4-dithiazolium salts as synthons: 85H(23)997.

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Tetrazoles, medicinal chemistry of: 80MI26.

Tetrazoles, synthesis from hydrazines: 84(22)1821.

Tetrazoles, synthesis using Schmidt reaction: 75KGS723.

Tetrazoles, tautomerism and acid-base properties of: 80KGS867.

Tetrazoles, thermolysis and mass spectral fragmentation of: 85KGS723.

2. *Three Nitrogen Atoms and One Sulfur Atom*

Thiadiazoles, synthesis from hydrazines: 84H(22)1821.

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A. GENERAL

Aromaticity and antiaromaticity of azines: 80MI51.

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Nucleophilic substitution in azines: 73KGS723.

Nucleophilic substitution in azines, S_N (ANRORC) mechanism: 78ACR462.

Photochemical addition-substitution reactions of azines: 74KGS867.

Polyazaphenanthrenes: 79H(12)529.

Quaternary salts of azines, photochemistry of: 74KGS437.

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Tautomerism of azines: 80MI53.

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B. ONE HETEROATOM

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Crystal structures of pyridine derivatives: 81UK1491.

2,6-Di-*tert*-butylpyridine as base: 82H(18)411.

IR spectra of pyridine adsorbed on transition metal oxides: 85MI15.

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ii. *Reactivity.* Anhydro bases of pyridines: 82KGS291.

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Cyanation of pyridines (Reissert-Henze and related reactions): 84H(22)2375.

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Cycloaddition reactions of pyridines: 81WCH833; 80H(14)1793.

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4-Dialkylaminopyridines as catalysts for acylation and alkylation: 83CSR129; 78AG602.

2,6-Disubstituted pyridines, chemistry of: 81UK1072; 80MI55.

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Pyridines in organic synthesis: 84CSR47.

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2-Pyridones and 2-thiopyridones, Diels–Alder reactions of: 84KGS3.

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Radical intermediates in electron-transfer reactions of pyridines: 85ACR22.

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β -Hydroxypyridines: 82KFZ(4)28.

Phosphorylated pyridines: 86KGS1587.

Photochemical synthesis of pyridines: 86YGK1058.

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Pyridines, synthesis from aldehydes and ammonia: 75KGS1587.

b. *Pyridinium Compounds*. N-(β -Acylvinyl)pyridinium salts, chemistry of: 78ZC121.

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Cycloaddition reactions of pyridinium N-methylides: 82WCH231.

Nucleophilic reactions of pyridinium salts: 83MI13.

Nucleophilic ring opening of pyridinium salts with formation of glutamic aldehyde and syntheses based on: 80S589.

Pyridinium chloride and other halides in organic synthesis: 85CLY1233; 77KGS579.

Pyridinium chlorochromate as oxidant in organic synthesis: 82S245.

Pyridinium compounds, kinetics and mechanism of nucleophilic substitution at sp^3 -hybridized C-atoms with heterocyclic leaving group: 85H(23)1765.

Pyridinium salts in organic synthesis: 79AG798.

Ring-degenerate transformations of N-methyl- and N-aminopyridinium salts: 78KGS867.

N-substituted pyridinium salts, chemistry of: 86H(24)181; 85H(23)1513.

c. *Pyridine N-Oxides and N-Imines*. N-Iminopyridines, monograph: 82MI17.

N-Iminopyridines, ring transformations of: 83YZ373.

Pyridine N-oxide, structure and magnetic properties of transition metal complexes with: 86CRV659.

Pyridine N-oxides, deoxidative substitution of under thiol action: 86H(24)161.

d. *Applications and Sources of Pyridines*. Analytic reagents from pyridine aldehydes: 85ZAK386.

β -Picolinic fraction of coal tar as a source in drug production: 81KGS435.

Polymers with pyridyl substituents as support for metal-containing catalysts: 86MI23.

Synthetic and natural sources of pyridines: 84HC(14,5)1.

e. *Bipyridines*. Bipyridines, general review: 84AHC(35)281.

Bipyridines, chemistry and biological activity, monograph: 75MI2.

Bipyridinium herbicides, monograph: 80MI22.

2,2'-Bipyridines, metal chelates of: 83MI3; 81RTC129.

Electrochemistry of 1,1'-disubstituted 4,4'-bipyridinium salts (viologens): 81CSR49.

f. *Hydropyridines*. Bispiperidines, synthesis of: 83KFZ1045.

Dihydropyridines, advances in chemistry of: 82CRV223.

Dihydropyridines, hydride-transfer mechanism in: 84KGS1299.

Dihydropyridines, Polonovsky reaction in the series: 83MI19.

2,6-Disubstituted piperidines, synthesis of: 83CRV379.

Free radicals of piperidine series: 86YGK1134; 84S895, 84UK1959.

Piperidine derivatives, syntheses of: 84KFZ1294; 83KFZ540; 81YGK813.

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C. TWO HETEROATOMS

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2. *One Nitrogen and One Oxygen Atom*

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6. *Two Sulfur Atoms*

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D. THREE HETEROATOMS

Three Nitrogen Atoms

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E. FOUR HETEROATOMS

Four Nitrogen Atoms

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F. FIVE HETEROATOMS

Five Nitrogen Atoms

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VII. Rings with More than Six Members

A. SEVEN-MEMBERED RINGS

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ACKNOWLEDGMENT

I am indebted to Mrs. N. D. Kruchkovskaya who helped me for some years in collecting references, and to my wife Dr. V. N. Gramenitskaya for helpful assistance in preparation of the manuscript.

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